09-21-00

A

Practitioner's Docket No. 49218-C *PATENT*

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

Box Patent Application
Assistant Commissioner for Patents
Washington, D.C. 20231

NEW APPLICATION TRANSMITTAL

Transmitted herewith for filing is the patent application of

Inventor(s): Kimiyuki SHIBUYA, Toru MIURA, Katsumi KAWAMINE, Yukihiro SATO, Tadaaki OHGIYA, Takahiro KITAMURA, Chiyoka OZAKI, Toshijuki EDANO and Mitsuteru HIRATA

WARNING: *37 CFR 1.41(a)(1) points out:*

"(a) A patent is applied for in the name or names of the actual inventor or inventors.

(1) The inventorship of a nonprovisional application is that inventorship set forth in the oath or declaration as prescribed by § 1.63, except as provided for in § 1.53(d)(4) and § 1.63(d). If an oath or declaration as prescribed by § 1.63 is not filed during the pendency of a nonprovisional application, the inventorship is that inventorship set forth in the application papers filed pursuant to § 1.53(b), unless a petition under this paragraph accompanied by the fee set forth in § 1.17(i) is filed supplying or changing the name or names of the inventor or inventors."

For (title): **NOVEL AMIDE COMPOUNDS AND MEDICATIONS CONTAINING THE SAME TECHNICAL FIELD**

CERTIFICATION UNDER 37 C.F.R. 1.10*
*(Express Mail label number is **mandatory**.)*
(Express Mail certification is optional.)

I hereby certify that this correspondence and the documents referred to as attached therein are being deposited with the United States Postal Service on this date September 20, 2000, in an envelope as "Express Mail Post Office to Addressee," mailing Label Number EL298354558US addressed to the: Assistant Commissioner for Patents, Washington, D.C. 20231.

Peter F. Corless
(type or print name of person mailing paper)

Signature of person mailing paper

WARNING: *Certificate of mailing (first class) or facsimile transmission procedures of 37 C.F.R. 1.8 cannot be used to obtain a date of mailing or transmission for this correspondence.*

WARNING:* *Each paper or fee filed by "Express Mail" **must have the number of the "Express Mail" mailing label placed thereon prior to mailing. 37 C.F.R. 1.10(b).*
"Since the filing of correspondence under § 1.10 without the Express Mail mailing label thereon is an oversight that can be avoided by the exercise of reasonable care, requests for waiver of this requirement will

not be granted on petition." Notice of Oct. 24, 1996, 60 Fed. Reg. 56,439, at 56,442

1. Type of Application

This new application is for a(n)

(check one applicable item below)

[ ] Original (nonprovisional)

[ ] Design

[ ] Plant

WARNING: ***Do not*** *use this transmittal for a completion in the U.S. of an International Application under 35 U.S.C. 371(c)(4), unless the International Application is being filed as a divisional, continuation or continuation-in-part application.*

WARNING: ***Do not*** *use this transmittal for the filing of a provisional application.*

NOTE: If one of the following 3 items apply, then complete and attach ADDED PAGES FOR NEW APPLICATION TRANSMITTAL WHERE BENEFIT OF A PRIOR U.S. APPLICATION CLAIMED and a NOTIFICATION IN PARENT APPLICATION OF THE FILING OF THIS CONTINUATION APPLICATION.

[ ] Divisional.

[X] Continuation.

[ ] Continuation-in-part (C-I-P).

2. Benefit of Prior U.S. Application(s) (35 U.S.C. 119(e), 120, or 121)

NOTE: A nonprovisional application may claim an invention disclosed in one or more prior filed copending nonprovisional applications or copending international applications designating the United States of America. In order for a nonprovisional application to claim the benefit of a prior filed copending nonprovisional application or copending international application designating the United States of America, each prior application must name as an inventor at least one inventor named in the later filed nonprovisional application and disclose the named inventor's invention claimed in at least one claim of the later filed nonprovisional application in the manner provided by the first paragraph of 35 U.S.C. 112. Each prior application must also be:

(i) An international application entitled to a filing date in accordance with PCT Article 11 and designating the United States of America; or

(ii) Complete as set forth in § 1.51(b); or

(iii) Entitled to a filing date as set forth in § 1.53(b) or § 1.53(d) and include the basic filing fee set forth in § 1.16; or

(iv) Entitled to a filing date as set forth in § 1.53(b) and have paid therein the processing and retention fee set forth in § 1.21(l) within the time period set forth in § 1.53(f).

37 CFR 1.78(a)(1).

NOTE *If the new application being transmitted is a divisional, continuation or a continuation-in-part of a parent case, or where the parent case is an International Application which designated the U.S., or benefit of a prior provisional application is claimed, then check the following item and complete and attach ADDED PAGES FOR NEW APPLICATION TRANSMITTAL WHERE BENEFIT OF PRIOR U.S. APPLICATION(S) CLAIMED.*

WARNING: *If an application claims the benefit of the filing date of an earlier filed application under 35 U.S.C. 120, 121 or 365(c), the 20-year term of that application will be based upon the filing date of the earliest U.S. application that the application makes reference to under 35 U.S.C. 120, 121 or 365(c). (35 U.S.C. 154(a)(2) does not take into account, for the determination of the patent term, any application on which priority is claimed under 35 U.S.C. 119, 365(a) or 365(b).) For a c-i-p application, applicant should review whether any claim in the patent that will issue is supported by an earlier application and, if not, the applicant should consider canceling the reference to the earlier filed application. The term of a patent is not based on a claim-by-claim approach. See Notice of April 14, 1995, 60 Fed. Reg. 20,195, at 20,205.*

WARNING: *When the last day of pendency of a provisional application falls on a Saturday, Sunday, or Federal holiday within the District of Columbia, any nonprovisional application claiming benefit of the provisional application* ***must*** *be filed prior to the Saturday, Sunday, or Federal holiday within the District of Columbia. See 37 C.F.R. § 1.78(a)(3).*

[X] The new application being transmitted claims the benefit of prior U.S. application(s). Enclosed are ADDED PAGES FOR NEW APPLICATION TRANSMITTAL WHERE BENEFIT OF PRIOR U.S. APPLICATION(S) CLAIMED.

3. Papers Enclosed

A. Required for Filing Date under 37 C.F.R. 1.53(b) (Regular) or 37 C.F.R. 1.153 (Design) Application

230 Pages of Specification
4 Pages of Claims
0 Sheets of Drawing
[ ] Formal
[ ] Informal

B. Other Papers Enclosed

2 Pages of Abstract
_____ Other

WARNING: ***DO NOT*** *submit original drawings. A high quality copy of the drawings should be supplied when filing a patent application. The drawings that are submitted to the Office must be on strong, white, smooth, and non-shiny paper and meet the standards according to § 1.84. If corrections to the drawings are necessary, they should be made to the original drawing and a high-quality copy of the corrected original drawing then submitted to the Office. Only one copy is required or desired. For comments on proposed then-new 37 C.F.R. 1.84, see Notice of March 9, 1988 . . . (1990 O.G. 57-62).*

NOTE: *"Identifying indicia, if provided, should include the application number or the title of the invention, inventor's name, docket number (if any), and the name and telephone number of a person to call if the Office is unable to match the drawings to the proper application. This information should be placed on the back of each sheet of drawing a minimum distance of 1.5 cm. (5/8 inch) down from the top of the page." 37 C.F.R. 1.84(c)).*

(complete the following, if applicable)

[ ] The enclosed drawing(s) are photograph(s), and there is also attached a "PETITION TO ACCEPT PHOTOGRAPH(S) AS DRAWING(S)." 37 C.F.R. 1.84(b).

4. Additional Papers Enclosed

[ ] Preliminary Amendment
[ ] Information Disclosure Statement (37 C.F.R. 1.98)
[ ] Form PTO-1449
[ ] Citations
[ ] Declaration of Biological Deposit
[ ] Submission of "Sequence Listing," computer readable copy and/or amendment pertaining thereto for biotechnology invention containing nucleotide and/or amino acid sequence.
[ ] Authorization of Attorney(s) to Accept and Follow Instructions from Representative
[ ] Special Comments
[ ] Other:

5. Declaration or Oath

NOTE: A newly executed declaration is not required in a continuation or divisional application provided the prior nonprovisional application contained a declaration as required, the application being filed is by all or fewer than all the inventors named in the prior application, there is no new matter in the application being filed, and a copy of the executed declaration filed in the prior application (showing the signature or an indication thereon that it was signed) is submitted. The copy must be accompanied by a statement requesting deletion of the names of person(s) who are not inventors of the application being filed. If the declaration in the prior application was filed under § 1.47 then a copy of that declaration must be filed accompanied by a copy of the decision granting § 1.47 status or, if a nonsigning person under § 1.47 has subsequently joined in a prior application, then a copy of the subsequently executed declaration must be filed. See 37 CFR 1.63(d).

NOTE: A declaration filed to complete an application must be executed, identify the specification to which it is directed, identify each inventor by full name, including the family name, and at least one given name without abbreviation together with any other given name or initial, and the residence, post office address and country of citizenship of each inventor and state whether the inventor is a sole or joint inventor. 37 CFR 1.63(a)(1)-(4).

[X] Enclosed (copy as filed in parent application)

Executed by

(check **all** *applicable boxes)*

[X] inventor(s).
[ ] legal representative of inventor(s). 37 CFR 1.42 or 1.43.
[ ] joint inventor or person showing a proprietary interest on behalf of inventor who refused to sign or cannot be reached.
[ ] This is the petition required by 37 CFR 1.47 and the statement required by 37 CFR 1.47 is also attached. See item 13 below for fee.

[ ] Not Enclosed.

NOTE: *Where the filing is a completion in the U.S. of an International Application, or where the completion of the U.S. application contains subject matter in addition to the International Application, the application may be treated as a continuation or continuation-in-part, as the case may be, utilizing ADDED PAGE FOR NEW APPLICATION TRANSMITTAL WHERE BENEFIT OF PRIOR U.S. APPLICATION CLAIMED.*

[ ] Application is made by a person authorized under 37 C.F.R. 1.41(c) on behalf of *all* the above named inventor(s).

(The declaration or oath, along with the surcharge required by 37 CFR 1.16(e), can be filed subsequently).

NOTE: It is important that all the correct inventor(s) are named for filing under 37 CFR 1.41(c) and 1.53(b).

[ ] Showing that the filing is authorized.
(not required unless called into question. 37 CFR 1.41(d))

6. Inventorship Statement

WARNING: *If the named inventors are each not the inventors of all the claims an explanation, including the ownership of the various claims at the time the last claimed invention was made, should be submitted.*

The inventorship for all the claims in this application are:

[ ] The same.

or

[ ] Not the same. An explanation, including the ownership of the various claims at the time the last claimed invention was made,
[ ] is submitted.
[ ] will be submitted.

7. Language

NOTE: An application including a signed oath or declaration may be filed in a language other than English. An English translation of the non-English language application and the processing fee of $130.00 required by 37 CFR 1.17(k) is required to be filed with the application, or within such time as may be set by the Office. 37 CFR 1.52(d).

[X] English
[ ] Non-English
[ ] The attached translation includes a statement that the translation is accurate. 37 C.F.R. 1.52(d).

8. Assignment

[X] An assignment of the invention to Kowa Company, Ltd. of Aich, Japan

[ ] is attached. A separate [ ] "COVER SHEET FOR ASSIGNMENT (DOCUMENT) ACCOMPANYING NEW PATENT APPLICATION" or [ ] FORM PTO 1595 is also attached.

[X] was filed in the parent application; Reel 010577, Frame 0970
[ ] will follow.

NOTE: "If an assignment is submitted with a new application, send two separate letters-one for the application and one for the assignment" Notice of May 4, 1990 (1114 O.G. 77-78).

WARNING: *A newly executed "STATEMENT UNDER 37 CFR 3.73(b)" must be filed when a continuation-in-part application is filed by an assignee. Notice of April 30, 1993, 1150 O.G. 62-64.*

9. Certified Copy

Certified copy(ies) of application(s)

Country	Appln. No.	Filed

from which priority is claimed

[ ] is enclosed.
[ ] was filed.
[ ] will follow.

NOTE: *The foreign application forming the basis for the claim for priority must be referred to in the oath or declaration. 37 CFR 1.55(a) and 1.63.*

NOTE: *This item is for any foreign priority for which the application being filed directly relates. If any parent U.S. application or International Application from which this application claims benefit under 35 U.S.C. 120 is itself entitled to priority from a prior foreign application, then complete item 18 on the ADDED PAGES FOR NEW APPLICATION TRANSMITTAL WHERE BENEFIT OF PRIOR U.S. APPLICATION(S) CLAIMED.*

10. Fee Calculation (37 C.F.R. 1.16)

A. [X] Regular application

CLAIMS AS FILED

Claims	Number Filed	Basic Fee Allowance	Number Extra	Rate	Basic Fee 37 C.F.R. 1.16(a) $690.00
Total Claims (37 CFR 1.16(c))	18	- 20 =	0	x $ 18.00	
Independent Claims (37 CFR 1.16(b))	1	- 3 =	0	x $78.00	
Multiple Dependent Claim(s), if any (37 CFR 1.16(d))			+	$260.00	260.00

[ ] Amendment cancelling extra claims is enclosed.
[ ] Amendment deleting multiple-dependencies is enclosed.
[ ] Fee for extra claims is not being paid at this time.

NOTE: If the fees for extra claims are not paid on filing they must be paid or the claims cancelled by amendment, prior to the expiration of the time period set for response by the Patent and Trademark Office in any notice of fee deficiency. 37 CFR 1.16(d).

Filing Fee Calculation $ 950.00

B. [ ] Design application
($330.00—37 CFR 1.16(f))

Filing Fee Calculation $_____

C. [ ] Plant application
($540.00—37 CFR 1.16(g))

Filing Fee Calculation $ _____

11. Small Entity Statement(s)

[ ] Statement(s) that this is a filing by a small entity under 37 CFR 1.9 and 1.27 is (are) attached.

WARNING: *"Status as a small entity must be specifically established in each application or patent in which the status is available and desired. Status as a small entity in one application or patent does not affect any other application or patent, including applications or patents which are directly or indirectly dependent upon the application or patent in which the status has been established. The refiling of an application under § 1.53 as a continuation, division, or continuation-in-part (including a continued prosecution application under § 1.53(d)), or the filing of a reissue application requires a new determination as to continued entitlement to small entity status for the continuing or reissue application. A nonprovisional application claiming benefit under 35 U.S.C. 119(e), 120, 121, or 365(c) of a prior application, or a reissue application may rely on a statement filed in the prior application or in the patent if the nonprovisional application or the reissue application includes a reference to the statement in the prior application or in the patent or includes a copy of the statement in the prior application or in the patent and status as a small entity is still proper and desired. The payment of the small entity basic statutory filing fee will be treated as such a reference for purposes of this section." 37 CFR 1.28(a)(2).*

(complete the following, if applicable)

[ ] Status as a small entity was claimed in prior application _____, filed on_____ from which benefit is being claimed for this application under:

35 U.S.C. § [ ] 119(e),
[ ] 120,
[ ] 121,
[ ] 365(c),

and which status as a small entity is still proper and desired.

[ ] A copy of the statement in the prior application is included.

Filing Fee Calculation (50% of **A**, **B** or **C** above) $_____

NOTE: Any excess of the full fee paid will be refunded if a small entity status is established refund request are filed within 2 months of the date of timely payment of a full fee. The two-month period is not extendable under § 1.136. 37 CFR 1.28(a).

12. Request for International-Type Search (37 C.F.R. 1.104(d))

(complete, if applicable)

[ ] Please prepare an international-type search report for this application at the time when national examination on the merits takes place.

13. Fee Payment Being Made at This Time

[ ] Not Enclosed

[ ] No filing fee is to be paid at this time.
(This and the surcharge required by 37 C.F.R. 1.16(e) can be paid subsequently.)

[ ] Enclosed

[ ]	Filing fee	$ 950.00
[ ]	Recording assignment ($40.00; 37 C.F.R. 1.21(h)) (See attached "COVER SHEET FOR ASSIGNMENT ACCOMPANYING NEW APPLICATION.")	$_____
[ ]	Petition fee for filing by other than all the inventors or person on behalf of the inventor where inventor refused to sign or cannot be reached ($130.00; 37 C.F.R. 1.47 and 1.17(i))	$_____
[ ]	For processing an application with a specification in a non-English language ($130.00; 37 C.F.R. 1.52(d) and 1.17(k))	$_____
[ ]	Processing and retention fee ($130.00; 37 C.F.R. 1.53(d) and 1.21(l))	$_____
[ ]	Fee for international-type search report ($40.00; 37 C.F.R. 1.21(e))	$_____

NOTE: 37 CFR 1.21(l) establishes a fee for processing and retaining any application that is abandoned for failing to complete the application pursuant to 37 CFR 1.53(f) and this, as well as the changes to 37 CFR 1.53 and 1.78(a)(1), indicate that in order to obtain the benefit of a prior U.S. application, either the basic filing fee must be paid, or the processing and retention fee of § 1.21(l) must be paid, within 1 year from notification under § 53(f).

Total Fees Enclosed $ 950.00

14. Method of Payment of Fees

[ ] Check in the amount of $_____

[X] Charge Account No. 04-1105 in the amount of $ 950.00 .

A duplicate of this transmittal is attached.

NOTE: Fees should be itemized in such a manner that it is clear for which purpose the fees are paid. 37 CFR 1.22(b).

15. Authorization to Charge Additional Fees

WARNING: *If no fees are to be paid on filing, the following items should not be completed.*

WARNING: *Accurately count claims, especially multiple dependent claims, to avoid unexpected high charges, if extra claim charges are authorized.*

[X] The Commissioner is hereby authorized to charge the following additional fees by this paper and during the entire pendency of this application to Account No. 04-1105

[X] 37 C.F.R. 1.16(a), (f) or (g) (filing fees)

[X] 37 C.F.R. 1.16(b), (c) and (d) (presentation of extra claims)

NOTE: Because additional fees for excess or multiple dependent claims not paid on filing or on later presentation must only be paid or these claims cancelled by amendment prior to the expiration of the time period set for response by the PTO in any notice of fee deficiency (37 CFR 1.16(d)), it might be best not to authorize the PTO to charge additional claim fees, except possibly when dealing with amendments after final action.

[X] 37 C.F.R. 1.16(e) (surcharge for filing the basic filing fee and/or declaration on a date later than the filing date of the application)

[X] 37 CFR 1.17(a)(1)-(5) (extension fees pursuant to § 1.136(a).

[X] 37 C.F.R. 1.17 (application processing fees)

NOTE: "A written request may be submitted in an application that is an authorization to treat any concurrent or future reply, requiring a petition for an extension of time under this paragraph for its timely submission, as incorporating a petition for extension of time for the appropriate length of time. An authorization to charge all required fees, fees under § 1.17, or all required extension of time fees will be treated as a constructive petition for an extension of time in any concurrent or future reply requiring a petition for an extension of time under this paragraph for its timely submission. Submission of the fee set forth in § 1.17(a) will also be treated as a constructive petition for an extension of time in any concurrent reply requiring a petition for an extension of time under this paragraph for its timely submission." 37 CFR 1.136(a)(3).

[ ] 37 C.F.R. 1.18 (issue fee at or before mailing of Notice of Allowance, pursuant to 37 C.F.R. 1.311(b))

NOTE: Where an authorization to charge the issue fee to a deposit account has been filed before the mailing of a Notice of Allowance, the issue fee will be automatically charged to the deposit account at the time of mailing the notice of allowance. 37 CFR 1.311(b)).

NOTE: 37 CFR 1.28(b) requires "Notification of any change in status resulting in loss of entitlement to small entity status must be filed in the application . . . prior to paying, or at the time of paying, . . issue fee." From the wording of 37 CFR 1.28(b), (a) notification of change of status must be made even if the fee is paid as "other than a small entity" and (b) no notification is required if the change is to another small entity.

16. Instructions as to Overpayment

NOTE: ". . . Amounts of twenty-five dollars or less will not be returned unless specifically requested within a reasonable time, nor will the payer be notified of such amounts; amounts over twenty-five dollars may be returned by check or, if requested, by credit to a deposit account." 37 CFR 1.26(a).

[X] Credit Account No. 04-1105.

[ ] Refund

SIGNATURE OF PRACTITIONER

Reg. No. 33,860

Peter F. Corless
(type or print name of practitioner)

EDWARDS & ANGELL, LLP
Dike, Bronstein, Roberts & Cushman, IP Group

Tel. No.: (617) 523-3400

130 Water Street
P.O. Address

Customer No.:

Boston, MA 02109

[X] **Incorporation by reference of added pages**

(check the following item if the application in this transmittal claims the benefit of prior U.S. application(s) (including an international application entering the U.S. stage as a continuation, divisional or C-I-P application) and complete and attach the ADDED PAGES FOR NEW APPLICATION TRANSMITTAL WHERE BENEFIT OF PRIOR U.S. APPLICATION(S) CLAIMED)

[X] Plus Added Pages for New Application Transmittal Where Benefit of Prior U.S. Application(s) Claimed

Number of pages added 5

[X] Plus Added Pages for Papers Referred to in Item 4 Above

Number of pages added _____

[ ] Plus added pages deleting names of inventor(s) named on prior application(s) who is/are no longer inventor(s) of the subject matter claimed in this application.

Number of pages added _____

[ ] Plus "Assignment Cover Letter Accompanying New Application"

Number of pages added _____

[ ] **Statement Where No Further Pages Added**

(if no further pages form a part of this Transmittal, then end this Transmittal with this page and check the following item)

[ ] This transmittal ends with this page.

#117456

ADDED PAGES FOR APPLICATION TRANSMITTAL WHERE BENEFIT OF PRIOR U.S. APPLICATION(S) CLAIMED

NOTE: See 37 CFR 1.78.

17. Relate Back

WARNING: If an application claims the benefit of the filing date of an earlier filed application under 35 U.S.C. 120, 121 or 365(c), the 20-year term of that application will be based upon the filing date of the earliest U.S. application that the application makes reference to under 35 U.S.C. 120, 121 or 365(c). (35 U.S.C. 154(a)(2) does not take into account, for the determination of the patent term, any application on which priority is claimed under 35 U.S.C. 119, 365(a) or 365(b).) For a c-i-p application, applicant should review whether any claim in the patent that will issue is supported by an earlier application and, if not, the applicant should consider canceling the reference to the earlier filed application. The term of a patent is not based on a claim-by-claim approach. See Notice of April 14, 1995, 60 Fed. Reg. 20,195, at 20,205.

(complete the following, if applicable)

[X] Amend the specification by inserting, before the first line, the following sentence:

A. 35 U.S.C. 119(e)

NOTE: "Any nonprovisional application claiming the benefit of one or more prior filed copending provisional applications must contain or be amended to contain in the first sentence of the specification following the title a reference to each such prior provisional application, identifying it as a provisional application, and including the provisional application number (consisting of series code and serial number)." 37 C.F.R. § 1.78(a)(4).

[ ] "This application claims the benefit of U.S. Provisional Application(s) No(s).:

APPLICATION NO(S).:	FILING DATE
_____	_____"
_____	_____"
_____/_____	_____"

B. 35 U.S.C. 120, 121 and 365(c)

NOTE: "Except for a continued prosecution application filed under § 1.53(d), any nonprovisional application claiming the benefit of one or more prior filed copending nonprovisional applications or international applications designating the United States of America must contain or be amended to contain in the first sentence of the specification following the title a reference to each such prior application, identifying it by application number (consisting of the series code and serial number) or international application number and international filing date and indicating the relationship of the applications. . . . Cross-references to other related applications may be made when appropriate." (See § 1.14(a)). 37 C.F.R. § 1.78(a)(2).

[X] "This application is a

[X] continuation

[ ] continuation-in-part

[ ] divisional

of copending application(s)

[X] application number 09/358,083 filed on July 21, 1999.

[ ] International Application _____ filed on _____ and which designated the U.S."

NOTE: The proper reference to a prior filed PCT application that entered the U.S. national phase is the U.S. serial number and the filing date of the PCT application that designated the U.S.

NOTE: (1) Where the application being transmitted adds subject matter to the International Application, then the filing can be as a continuation-in-part or (2) if it is desired to do so for other reasons then the filing can be as a continuation.

NOTE: The deadline for entering the national phase in the U.S. for an international application was clarified in the Notice of April 28, 1987 (1079 O.G. 32 to 46) as follows:

"The Patent and Trademark Office considers the International application to be pending until the 22nd month from the priority date if the United States has been designated and no Demand for International Preliminary Examination has been filed prior to the expiration of the 19th month from the priority date and until the 32nd month from the priority date if a Demand for International Preliminary Examination which elected the United States of America has been filed prior to the expiration of the 19th month from the priority date, provided that a copy of the international application has been communicated to the Patent and Trademark Office within the 20 or 30 month period respectively. If a copy of the international application has not been communicated to the Patent and Trademark Office within the 20 or 30 month period respectively, the international application becomes abandoned as to the United States 20 or 30 months from the priority date respectively. These periods have been placed in the rules as paragraph (h) of § 1.494 and paragraph (i) of § 1.495. A continuing application under 35 U.S.C. 365(c) and 120 may be filed anytime during the pendency of the international application."

[ ] "The nonprovisional application designated above, namely application _____/_____, filed _____, claims the benefit of U.S. Provisional Application(s) No(s).:

APPLICATION NO(S).:	**FILING DATE**
_____/_____	_____"
_____/_____	_____"
_____/_____	_____"

[ ] Where more than one reference is made above please combine all references into one sentence.

18. Relate Back—35 U.S.C. 119 Priority Claim for Prior Application

The prior U.S. application(s), including any prior International Application designating the U.S., identified above in item 17**B**, in turn itself claim(s) foreign priority(ies) as follows:

Country	**Appln. no.**	**Filed**

The certified copy(ies) has (have)

[ ] been filed on _____, in prior application _____, which was filed on _____.

[ ] is (are) attached.

WARNING: The certified copy of the priority application that may have been communicated to the PTO by the International Bureau may not be relied on without any need to file a certified copy of the priority application in the continuing application. This is so because the certified copy of the priority application communicated by the International Bureau is placed in a folder and is not assigned a U.S. serial number unless the national stage is entered. Such folders are disposed of if the national stage is not entered. Therefore, such certified copies may not be available if needed later in the prosecution of a continuing application. An alternative would be to physically remove the priority documents from the folders and transfer them to the continuing application. The resources required to request transfer, retrieve the folders, make suitable record notations, transfer the certified copies, enter and make a record of such copies in the Continuing Application are substantial. Accordingly, the priority documents in folders of international applications that have not entered the national stage may not be relied on. Notice of April 28, 1987 (1079 O.G. 32 to 46).

19. Maintenance of Copendency of Prior Application

NOTE: The PTO finds it useful if a copy of the petition filed in the prior application extending the term for response is filed with the papers constituting the filing of the continuation application. Notice of November 5, 1985 (1060 O.G. 27).

A. [ ] Extension of time in prior application

*(This item **must** be completed and the papers filed **in the prior application,** if the period set in the prior application has run.)*

[ ] A petition, fee and response extends the term in the pending **prior** application until _____

[ ] A **copy** of the petition filed in prior application is attached.

B. [ ] Conditional Petition for Extension of Time in Prior Application

(complete this item, if previous item not applicable)

[ ] A conditional petition for extension of time is being filed in the pending **prior** application.

[ ] **A copy** of the conditional petition filed in the prior application is attached.

20. Further Inventorship Statement Where Benefit of Prior Application(s) Claimed

(complete applicable item (a), (b) and/or (c) below)

(a) [ ] This application discloses and claims only subject matter disclosed in the prior application whose particulars are set out above and the inventor(s) in this application are

[ ] the same.

[ ] less than those named in the prior application. It is requested that the following inventor(s) identified for the prior application be deleted:

_____

(type name(s) of inventor(s) to be deleted)

(b) [ ] This application discloses and claims additional disclosure by amendment and a new declaration or oath is being filed. With respect to the prior application, the inventor(s) in this application are

[ ] the same.

[ ] the following additional inventor(s) have been added:

_____

(type name(s) of inventor(s) to be deleted)

(c) [ ] The inventorship for all the claims in this application are

[ ] the same.

[ ] not the same. An explanation, including the ownership of the various claims at the time the last claimed invention was made

[ ] is submitted.

[ ] will be submitted.

21. Abandonment of Prior Application *(if applicable)*

[ ] Please abandon the prior application at a time while the prior application is pending, or when the petition for extension of time or to revive in that application is granted, and when this application is granted a filing date, so as to make this application copending with said prior application.

NOTE: According to the Notice of May 13, 1983 (103, TMOG 6-7), the filing of a continuation or continuation-in- part application is a proper response with respect to a petition for extension of time or a petition to revive and should include the express abandonment of the prior application conditioned upon the granting of the petition and the granting of a filing date to the continuing application.

22. Petition for Suspension of Prosecution for the Time Necessary to File an Amendment

WARNING: *"The claims of a new application may be finally rejected in the first Office action in those situations where (1) the new application is a continuing application of, or a substitute for, an earlier application, and (2) all the claims of the new application (a) are drawn to the same invention claimed in the earlier application, and (b) would have been properly finally rejected on the grounds of art of record in the next Office action if they had been entered in the earlier application." MPEP, § 706.07(b).*

NOTE: *Where it is possible that the claims on file will give rise to a first action final for this continuation application and for some reason an amendment cannot be filed promptly (e.g., experimental data is being gathered) it may be desirable to file a petition for suspension of prosecution for the time necessary.*

(check the next item, if applicable)

[ ] There is provided herewith a Petition To Suspend Prosecution for the Time Necessary to File An Amendment (New Application Filed Concurrently)

23. Small Entity (37 CFR § 1.28(a))

[ ] Applicant has established small entity status by the filing of a statement in parent application No. _____.

[ ] A copy of the statement previously filed is included.

WARNING: *See 37 CFR § 1.28(a).*

24. NOTIFICATION IN PARENT APPLICATION OF THIS FILING

[ ] A notification of the filing of this
(check one of the following)

[ ] continuation
[ ] continuation-in-part
[ ] divisional

is being filed in the parent application, from which this application claims priority under 35 U.S.C. § 120.

#117457

Docket No. 49218-C
Express Mail Label No. EL298354558US

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE
NEW PATENT APPLICATION

TITLE: NOVEL AMIDE COMPOUNDS AND MEDICATIONS CONTAINING THE SAME TECHNICAL FIELD

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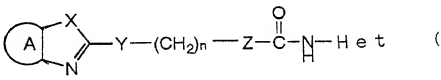
DESCRIPTION

NOVEL AMIDE COMPOUNDS AND MEDICATIONS CONTAINING THE SAME

TECHNICAL FIELD:

The present invention relates to novel amide compounds and medications containing the same. More specifically, the present invention relates to compounds represented by the the formula (I)



(I)

wherein

represents an optionally substituted divalent residue such as benzene, pyridine, cyclohexane or naphthalene, or a group,

Het represents a 5- to 8-membered, substituted or unsubstituted heterocyclic group containing at least one heteroatom selected from the group consisting of a nitrogen atom, an oxygen atom and a sulfur atom, such as a monocyclic group,

a polycyclic group or a group of a fused ring,

X represents -NH-, an oxygen atom or a sulfur atom,

Y represents $-NR_4-$, an oxygen atom, a sulfur atom, a sulfoxide or a sulfone,

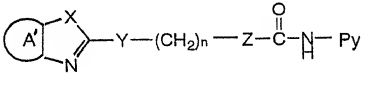
Z represents a single bond or $-NR_5-$,

R_4 represents a hydrogen atom, a lower alkyl group, an aryl group or an optionally substituted silyl lower alkyl group,

R_5 represents a hydrogen atom, a lower alkyl group, an aryl group or an optionally substituted silyl lower alkyl group, and n is an integer of from 1 to 15,

or salts or solvates thereof, and a pharmaceutical composition containing these compounds.

Specifically, the preent invention relates to compounds represented by the the formula (IA)



(I A)

wherein

represents an optionally substituted divalent residue such as benzen or pyridine,

Py represents an optionally substituted pyridyl or pyrimidyl group,

Y represents $-NR_4-$, an oxygen atom, a sulfur atom, a sulfoxide or a sulfone,

Z represents a single bond or $-NR_5-$,

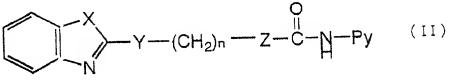
R_4 represents a hydrogen atom, a lower alkyl group, an aryl group or an optionally substituted silyl lower alkyl group,

R_5 represents a hydrogen atom, a lower alkyl group, an aryl group or an optionally substituted silyl lower alkyl group, and

n is an integer of from 1 to 15,

or salts or solvates thereof, and a pharmaceutical composition containing these compounds.

More specifically, the present invention relates to compounds represented by the formula (II)



(II)

wherein

X represents -NH-, an oxygen atom or a sulfur atom,

Y represents $-NR_4-$, an oxygen atom, a sulfur atom, a sulfoxide or a sulfone,

Z represents a single bond or $-NR_5-$,

R_4 represents a hydrogen atom, a lower alkyl group, an aryl group or an optionally substituted silyl lower alkyl group,

R_5 represents a hydrogen atom, a lower alkyl group, an aryl group or an optionally substituted silyl lower alkyl group,

Py represents an optionally substituted pyridyl or

pyrimidyl group, and

n is an integer of from 1 to 15,

or salts or solvates thereof, and a pharmaceutical composition containing these compounds.

BACKGROUND ART:

In recent years, hyperlipemia and arteriosclerosis derived therefrom have been rapidly increased with the change to western eating habits with high-calory and high-cholesterol foods based on the higher level of life and with the advance of age of the population, and this has been one of social problems. The conventional pharmacotherapy of hyperlipemia and arteriosclerosis has mainly put stress on the decrease in blood lipid that causes these diseases, and the lesion of the arteriosclerosis itself has not been treated as a target. Acyl coenzyme A cholesterol acyltransferase (ACAT) is an enzyme that catalyzes synthesis from cholesterol to cholesterol ester, and plays a vital role in metabolism of cholesterol and absorption thereof in digestive organs. Inhibition of the ACAT enzyme that catalyzes esterification of free cholesterol in epithelial cells of the small intestine results in inhibition of absorption of cholesterol from the intestine, and inhibition of synthesis of cholesterol ester in the liver based on the ACAT inhibition results in suppression of secretion of VLDL from the liver to the blood. These results are considered to lead to an activity

of decreasing blood cholesterol. Most of conventional ACAT inhibitors have been expected to exhibit an activity of decreasing blood cholesterol as an antihyperlipemic agent by acting on the ACAT enzymes in the small intestine and the liver.

For example, as an ACAT inhibitor, the specification of U. S. Patent No. 4,716,175 describes 2,2-dimethyl-N-(2,4,6-trimethoxyphenyl)dodecanamide, and European Patent No. 372,445 describes N'-(2,4-difluorophenyl)-N-[5-(4,5-diphenyl-1H-imidazol-2-ylthio)pentyl]-N-heptylurea. However, most of the conventional ACAT inhibitors have put stress on an activity of decreasing blood cholesterol as an antihyperlipemic agent, and the administration thereof at a high dose for exhibiting its activity has often caused side effects such as intestinal bleeding, intestinal disorders, diarrhea, hepatopathy and the like at the stage of a clinical test, making difficult the clinical development thereof.

The arteriosclerosis is inherently a characteristic lesion such as intima hypertrophy and lipidosis of the blood vessel. According to the recent studies, suppression of foamation of macrophages that play a main role in formation of the arteriosclerosis lesion has been expected to lead to regression of the arteriosclerosis lesion itself. Foam cells derived from macrophages (cholesterol ester is stored in cells as fat droplets) have been observed in the gruel arteriosclerosis lesion, and the foamation of macrophages is deemed to deeply

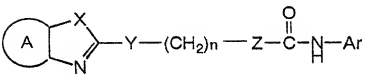
participate in the progression of the lesion. Further, it has been reported that the ACAT activity in the blood vessel wall in the arteriosclerosis lesion site is increased and cholesterol ester is stored in the blood vessel wall [refer to Gillease, J. et al., Exp. Mole. Pathol., 44, 329 - 339 (1986)].

The inhibition of esterification of cholesterol with an ACAT inhibitor results in formation of free cholesterol in cells, and this free cholesterol is removed with high-density lipoprotein (HDL), transferred to the liver (inversely transferred with HDL), and metabolized. Accordingly, suppression of storage of cholesterol ester in the lesion site is expected. As a result, it is considered to provide a direct anti-arteriosclerotic activity. There is a report that ACAT includes two types, a type present in the small intestine and a type present in the blood vessel wall [Kinunen M. et al., Biochemistry, 27, 7344 - 7350 (1988)]. However, many of the past researches on the ACAT inhibitor have been conducted using an enzyme of a type present in the small intestine and the liver [Tomoda Eiichi et al., J. Antibiotics, 47, 148 - 153 (1994)].

The present inventors considered that medications which selectively inhibit an ACAT enzyme of a type present in the blood vessel wall can be those for treating arteriosclerosis that give less side effects, and have conducted synthesis and researches of such inhibitors.

The present inventors continued studies for achieving this

object, and found in advance that compounds represented by the formula (IV)



(IV)

wherein

represents an optionally substituted divalent residue such as benzene, pyridine, cyclohexane or naphthalene or a group,

Ar represents an optionally substituted aryl group

X represents -NH-, an oxygen atom or a sulfur atom,

Y represents $-NR_4-$, an oxygen atom, a sulfur atom, a sulfoxide or a sulfone,

Z represents a single bond or $-NR_5-$,

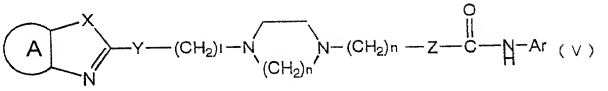
R_4 represents a hydrogen atom, a lower alkyl group, an aryl group or an optionally substituted silyl lower alkyl group,

R_5 represents a hydrogen atom, a lower alkyl group, an aryl group or an optionally substituted silyl lower alkyl group, and

n is an integer of from 0 to 15,

or salts or solvates thereof, and compounds represented by the

formula (V)



wherein

represents an optionally substituted divalent residue such as benzene, pyridine, cyclohexane or naphthalene, or a group,

Ar represents an optionally substituted aryl group,

X represents -NH-, an oxygen atom or a sulfur atom,

Y represents $-NR_4-$, an oxygen atom, a sulfur atom, a sulfoxide or a sulfone,

Z represents a single bond or $-NR_5-$,

R_4 represents a hydrogen atom, a lower alkyl group, an aryl group or an optionally substituted silyl lower alkyl group,

R_5 represents a hydrogen atom, a lower alkyl group, an aryl group or an optionally substituted silyl lower alkyl group,

l is an integer of from 0 to 15,

m is an integer of 2 or 3, and

n is integer of from 0 to 3,

or salts or solvates thereof have an excellent ACAT inhibitory activity, and they applied the same for patents (Japanese Patent Application Nos. 88,660/1997, 90,146/1997 and 149,892/1997).

Further, as compounds similar to the compounds represented by the formula (I), 3-(benzothiazol-2-ylthio)-N-(phenyl)propanamide is disclosed in J. Chem. Eng. Data, 27, 207 (1982), and 3-(benzoxazol-2-ylthio)-N-(phenyl)propanamide in Fungitsidy, Ed. Melnikov, N. N. Izd. Fan Uzb. SSR: Tashkent, USSR. 82 - 88 (1980). However, these compounds are not only those in which an amide moiety is a phenyl group, but also these documents are totally devoid of the description that the compounds have an ACAT inhibitory activity.

Thus, the present inventors found that the compounds represented by the formula (IV) or (V) have an organ-selective ACAT inhibitory activity and an intracellular cholesterol transfer inhibitory activity, and that these are useful as an antihyperlipemic agent having an activity of decreasing blood cholesterol and as an agent for preventing and treating arteriosclerosis having a macrophage foamation inhibitory activity.

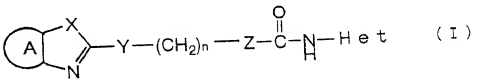
However, the compounds represented by these formulas (IV) and (V) did not necessarily have a sufficient activity, nor was the organ-selectivity satisfactory.

Under these circumstances, the present inventors have conducted further investigations to develop an ACAT inhibitor

having a superior ACAT inhibitory activity, and have consequently found that the compounds represented by the formula (I) are useful ACAT inhibitors which conquer the above-mentioned defects. This finding has led to the completion of the present invention.

Disclosure of Invention

The present invention is to provide compounds represented by the formula (I)



(I)

wherein

represents an optionally substituted divalent residue such as benzene, pyridine, cyclohexane or naphthalene, or a group

Het represents a 5- to 8-membered, substituted or unsubstituted heterocyclic group containing at least one

heteroatom selected from the group consisting of a nitrogen atom, an oxygen atom and a sulfur atom, such as a monocyclic group, a polycyclic group or a group of a fused ring,

X represents -NH-, an oxygen atom or a sulfur atom,

Y represents $-NR_4-$, an oxygen atom, a sulfur atom, a sulfoxide or a sulfone,

Z represents a single bond or $-NR_5-$,

R_4 represents a hydrogen atom, a lower alkyl group, an aryl group or an optionally substituted silyl lower alkyl group,

R_5 represents a hydrogen atom, a lower alkyl group, an aryl group or an optionally substituted silyl lower alkyl group, and

n is an integer of from 1 to 15,

or salts or solvates thereof.

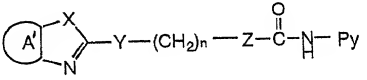
Further, the present invention is to provide a pharmaceutical composition containing at least one type selected from the compounds represented by the formula (I), and the salts and the solvates thereof in a therapeutically effective amount, and a pharmaceutically acceptable carrier.

Still further, the present invention is to provide an ACAT inhibitor, an intracellular cholesterol transfer inhibitor, a blood cholesterol depressant or a macrophage foamation suppressant containing at least one type selected from the compounds represented by the formula (I), and the salts and the solvates thereof in a therapeutically effective amount, and a pharmaceutically acceptable carrier. That is, the present

invention is to provide a medication for treating or preventing diseases such as hyperlipemia, arteriosclerosis, cervical and cerebral arteriosclerosis, cerebrovascular accidents, ischemic heart disease, coronary arteriosclerosis, nephrosclerosis, arteriosclerotic nephrosclerosis, arteriolonephrosclerosis, malignant nephrosclerosis, ischemic intestinal disease, acute occlusion of mesenteric vessel, chronic mesenteric angina, ischemic colitis, aortic aneurysm and arteriosclerosis obliterans (ASO), this medication containing at least one type selected from the compounds represented by the formula (I), and the salts and the solvates thereof, and a pharmaceutically acceptable carrier, as well as a therapeutic method using the same.

Best Mode for Carrying Out the Invention

As preferable examples of the compounds represented by the the formula (IA)



(IA)

wherein

represents an optionally substituted divalent residue such as benzen or pyridine,

Py represents an optionally substituted pyridyl or pyrimidyl group,

X represents -NH-, an oxygen atom or a sulfur atom,

Y represents $-NR_4-$, an oxygen atom, a sulfur atom, a sulfoxide or a sulfone,

Z represents a single bond or $-NR_5-$,

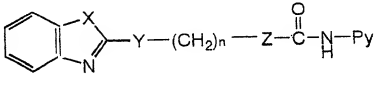
R_4 represents a hydrogen atom, a lower alkyl group, an aryl group or an optionally substituted silyl lower alkyl group,

R_5 represents a hydrogen atom, a lower alkyl group, an aryl group or an optionally substituted silyl lower alkyl group, and

n is an integer of from 1 to 15,

or salts or solvates thereof, and a pharmaceutical composition containing these compounds can be mentioned.

As more preferable examples of the compounds represented by the formula (I) in the present invention, the compounds represented by the formula (II)

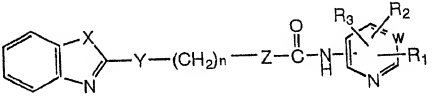


(II)

wherein Py represents an optionally substituted pyridyl

or pyrimidyl group, and the other substituents are the same as described in the above-mentioned the formula (I), and the salts or the solvates thereof can be mentioned.

As further preferable examples of the compounds represented by the formula (I) in the present invention, the compounds represented by the formula (III)



(III)

wherein

W represents =CH- or =N-, and

R_1, R_2 and R_3 are the same or different, and each represents a hydrogen atom, a lower alkyl group, a lower alkoxy group, a halogen atom, a hydroxyl group, a phosphate group, a sulfonamide group, a lower alkylthio group or an optionally substituted amino group, or two of R_1, R_2 and R_3 together form an alkylenedioxide group.

The substituent Het of the compounds represented by the formula (I) in the present invention is a 5- to 8-membered, substituted or unsubstituted heterocyclic group containing at least one heteroatom selected from the group consisting of a nitrogen atom, an oxygen atom and a sulfur atom. This cyclic group may be a monocyclic group, a polycyclic group in which the

heterocyclic groups are bound to each other or bound to a carbon ring such as a 6-membered aromatic ring either directly or through a carbon chain, or a group of a fused ring in which the heterocyclic groups are fused to each other or to a carbon ring such as a 6-membered aromatic ring. Among these heterocyclic groups, a 5- to 8-membered heterocyclic group, preferably a 5- or 6-membered heterocyclic group, containing one or two nitrogen atoms is preferable. Preferable examples of the substituent Het include a substituted or unsubstituted pyridyl group, a substituted or unsubstituted pyrimidyl group, a substituted or unsubstituted indolyl group, and a substituted or unsubstituted quinolyl group. A substituted or unsubstituted pyridyl group, and a substituted or unsubstituted pyrimidyl group are further preferable.

These heterocyclic groups may be unsubstituted, but have preferably one or more substituents. The substituent of these heterocyclic groups is not particularly limited unless the ACAT inhibitory activity of the present invention is impaired. Preferable examples thereof include an amino group substituted with a lower alkyl group, a lower alkoxy group, a lower alkylthio group, a lower alkylcarbonyl group, a halogen atom, an amino group or a lower alkyl group; a substituted or unsubstituted aryl group such as a phenyl group or a naphthyl group; and a substituted or unsubstituted aralkyl group such as a benzyl group or a phenetyl group. Further, two substituents may be bound to form

an alkylenedioxy group such as a methylenedioxy group.

As the lower alkyl group, a linear or branched alkyl group having from 1 to 10 carbon atoms, preferably from 1 to 6 carbon atoms is preferable. Especially preferable examples thereof include methyl, ethyl, n-propyl, iso-propyl, n-butyl, iso-butyl, tert-butyl, n-pentyl and n-hexyl groups.

As the lower alkyl group in the lower alkoxy group, the lower alkylthio group and the lower alkylcarbonyl group, the above-mentioned linear or branched alkyl group having from 1 to 10 carbon atoms, preferably from 1 to 6 carbon atoms is preferable. Examples thereof include methoxy, ethoxy, n-propoxy, iso-propoxy, n-butoxy, iso-butoxy, tert-butoxy, n-pentyloxy, n-hexyloxy, methylthio, ethylthio, n-propylthio, iso-propylthio, n-butylthio, iso-butylthio, tert-butylthio, n-pentylthio, n-hexylthio, methylcarbonyl, ethylcarbonyl, n-propylcarbonyl, iso-propylcarbonyl, n-butylcarbonyl, iso-butylcarbonyl, tert-butylcarbonyl, n-pentylcarbonyl and n-hexylcarbonyl groups.

Preferable examples of the halogen atom include fluorine, chlorine, bromine and iodine atoms.

As the aryl group, an aryl group having from 6 to 20 carbon atoms, preferably from 6 to 10 carbon atoms is mentioned. This aryl group may be unsubstituted or substituted with the above-mentioned lower alkyl group, lower alkoxy group, lower alkylthio group, lower alkylcarbonyl group, halogen atom, amino

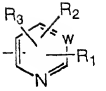
group or amino group substituted with the lower alkyl group. Preferable examples of the aryl group include phenyl, naphthyl, 2-methoxyphenyl and 4-methylthiophenyl groups.

The aralkyl group is an aralkyl group having from 7 to 20 carbon atoms, preferably from 7 to 12 carbon atoms. This aralkyl group may be unsubstituted or substituted with the above-mentioned lower alkyl group, lower alkoxy group, lower alkylthio group, lower alkylcarbonyl group, halogen atom, amino group or amino group substituted with the lower alkyl group. Preferable examples of the aralkyl group include benzyl, phenetyl and 4-methylbenzyl groups.

Examples of the substituent in the substituted amino group include the above-mentioned lower alkyl, lower alkylcarbonyl, aryl and aralkyl groups, and the number of the substituent in the amino group may be 1 or 2. Preferable examples of the substituted amino group include methylamino, ethylamino, dimethylamino, diethylamino, acetylamino and benzylamino groups.

The alkylene group of the alkylenedioxy group is a linear or branched alkylene group having from 1 to 10 carbon atoms, preferably from 1 to 5 carbon atoms. Preferable examples thereof include methylenedioxy and ethylenedioxy groups.

As the preferable Het group, a group represented by the formula (VI) is mentioned.



wherein W, R_1, R_2 and R_3 are as defined above.

Preferable examples of the Het group include

2-methylthio-3-pyridyl,

2-ethylthio-3-pyridyl,

2-(iso-propylthio)-3-pyridyl,

2-methoxy-3-pyridyl,

2-chloro-3-pyridyl,

2-methylthio-4-methyl-3-pyridyl,

2-ethylthio-4-methyl-3-pyridyl,

2-(iso-propylthio)-4-methyl-3-pyridyl,

2-methoxy-4-methyl-3-pyridyl,

2,6-bis(methylthio)-3-pyridyl,

2,6-bis(ethylthio)-3-pyridyl,

2,6-bis(iso-propylthio)-3-pyridyl,

2-methylthio-6-methoxy-3-pyridyl,

2-ethylthio-6-methoxy-3-pyridyl,

2-(iso-propylthio)-6-methoxy-3-pyridyl,

2-methylthio-6-methyl-3-pyridyl,

2-ethylthio-6-methyl-3-pyridyl,

2-(iso-propylthio)-6-methyl-3-pyridyl

2,6-dimethoxy-3-pyridyl,

2-methoxy-6-methyl-3-pyridyl,

2-methyl-6-methylthio-3-pyridyl,

2-methyl-6-ethylthio-3-pyridyl,

2-methyl-6-(iso-propylthio)-3-pyridyl,

2-methyl-6-methoxy-3-pyridyl,

2,6-dimehtyl-3-pyridyl,

2,6-diethyl-3-pyridyl,

2,4-bismethylthio-6-methyl-3-pyridyl,

2,4-bisethylthio-6-methyl-3-pyridyl,

2,4-bis(iso-propylthio)-6-methyl-3-pyridyl,

2,4-dimethoxy-6-methyl-3-pyridyl,

2,4,6-trimethyl-3-pyridyl,

4-ethyl-2,6-dimethyl-3-pyridyl,

2,4-dichloro-6-methyl-3-pyridyl,

4,6-bis(methylthio)-5-pyrimidyl,

4,6-bis(ethylthio)-5-pyrimidyl,

4,6-bis(iso-propylthio)-5-pyrimidyl,

4,6-dimethoxy-5-pyrimidyl,

4,6-dichloro-2-methyl-5-pyrimidyl,

4,6-bis(dimethylamino)-5-pyrimidyl,

4,6-bismethylthio-2-methyl-5-pyrimidyl,

2,4,6-trimethoxy-5-pyrimidyl

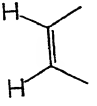
4-methyl-6-methyltio-3-pyridyl,

5-methylthio-2-pyridyl,

2,4,6-tris(methylthio)-5-pyrimidyl groups and so on.

The substituent

in the compounds represented by the the formula (I) in the present invention is a divalent group adjacent the azole ring which is formed with two carbon atoms constituting the azole ring. It is preferably an optionally substituted divalent group such as benzene, pyridine, cyclohexane or naphthalene, or a group as follows.



An optionally substituted divalent residue such as benzen or pyridine is preferable. These divalent groups may have a substituent. Examples of the substituent include the above-mentioned lower alkyl group, lower alkoxy group, lower alkylsulfonyl group lower alkylthio group, lower alkylcarbonyl group, halogen atom, amino group, amino group substituted with the lower alkyl group, substituted or unsubstituted aryl group such as the phenyl group or the naphthyl group, and substituted or unsubstituted aralkyl group such as the benzyl group or the phenetyl group. Further, the two substituents may be bound to form an alkylenedioxy group such as a methylenedioxy group.

The substituent X in the compounds represented by the

formula (I) in the present invention represents -NH-, an oxygen atom or a sulfur atom, and forms, together with the above-mentioned substituent, an azole ring such as imidazole, oxazole or thiazole.

Further, the substituent Y in the compounds represented by the formula (I) of the present invention represents $-NR_4-$, an oxygen atom, a sulfur atom, a sulfoxide or a sulfone, and the substituent R_4 of the nitrogen atom represents a hydrogen atom, a lower alkyl group, an aryl group or an optionally substituted silyl lower alkyl group. The lower alkyl group or the aryl group as the substituent R_4 is as mentioned above. Examples thereof include methyl, ethyl and phenyl groups. The lower alkyl group of the optionally substituted silyl lower alkyl group as the substituent R_4 may be the above-mentioned group. Examples of the substituent of the silyl lower alkyl group include the above-mentioned lower alkyl, aryl and aralkyl groups. Preferable examples thereof include trimethylsilylmethyl and dimethylphenylsilylmethyl groups.

As the substituent Y, a sulfur atom is preferable.

The substituent Z in the compounds represented by the formula (I) of the present invention represents a single bond or $-NR_5-$, and the substituent R_5 of the nitrogen atom represents a hydrogen atom, a lower alkyl group, an aryl group or an optionally substituted silyl lower alkyl group. Examples of these substituents are the above-mentioned groups.

The number n of recurring units in the compounds represented by the formula (I) in the present invention is an integer of from 1 to 15, preferably an integer of from 1 to 9. As the recurring unit, a methylene group is mentioned in the formula (I). The methylene group may have a substituent or one or more methylene units may be substituted with a heteroatom such as a nitrogen atom, an oxygen atom or a sulfur atom unless the ACAT inhibitory activity of the present invention is impaired.

The substituents X, Y, Z and the recurring unit in the compounds represented by the formula (II) in the present invention are the above-mentioned ones. The substituent Py represents an optionally substituted pyridyl or pyrimidyl group. The substituent of the pyridyl or pyrimidyl group is not particularly limited unless the ACAT inhibitory activity of the present invention is impaired. The group represented by the formula (VI) is preferable.

The substituents X, Y, Z and the recurring unit in the compounds represented by the formula (III) in the present invention are the above-mentioned ones. The substituent W represents a carbon atom or a nitrogen atom, and forms a pyridine or pyrimidine ring. Further, the substituents R_1, R_2 and R_3 are the same or different, and each represents a hydrogen atom, a lower alkyl group, a lower alkoxy group, a halogen atom, a hydroxyl group, a phosphate group, a sulfonamide group, a lower alkylthio group or an optionally substituted amino group, or two

of R_1, R_2 and R_3 together form an alkylenedioxy group. Of these groups, the lower alkyl group, the lower alkoxy group, the halogen atom, the lower alkylthio group, the optionally substituted amino group and the alkylenedioxy group are the above-mentioned ones. Preferable examples of R_1, R_2 and R_3 include methyl, ethyl, iso-propyl, methoxy, ethoxy and iso-propoxy groups, chlorine, and methylthio, ethylthio, iso-propylthio and dimethylamino groups. The site of the pyridine ring or the pyrimidine ring bound to the adjacent nitrogen atom is not particularly limited either unless the ACAT inhibitory activity of the present invention is impaired.

The salts of the compounds represented by the formula (I), (II) or (III) in the present invention are not particularly limited unless the ACAT inhibitory activity of the present invention is impaired. Acid addition salts or base addition salts can be used as required. Preferable examples of the acid addition salts include inorganic acid salts such as a hydrochloride, a sulfate, a nitrate and a phosphate; and organic acid salts such as a methanesulfonate, a maleate, a fumarate and a citrate.

Further, the solvates of the compounds represented by the formula (I), (II) or (III) in the present invention are products to which solvents used in the production, the purification or the like, such as water, alcohol and the like are added, and are not particularly limited unless they have an adverse effect on

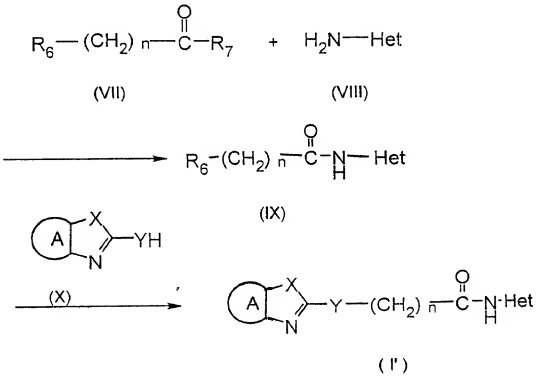
the ACAT inhibitory activity. As the solvates, hydrides are preferable.

A process for producing the compounds of the present invention is described below.

Compounds (I) can be produced by various known processes, and the process is not particularly limited. For example, compounds (I) can be produced according to the following reaction steps.

1. Process for producing compounds of the formula (I) when the substituent Z is a single bond:

A carboxylic acid represented by the formula (VII) or its reactive derivative, for example, an acid halide, is reacted with a heterocyclic amine represented by the formula (VIII) according to the following reaction formulae



wherein R_6 represents a leaving group, and R_7 represents a reactive derivative residue of a hydroxyl group or a carboxylate group, to form an amide derivative represented by the formula (IX). When the resulting compound of the formula (IX) is reacted with an azole derivative represented by the formula (X), a desired compound (I') in which the substituent Z in the formula (I) is a single bond can be produced.

An ordinary method used in peptide synthesis can be applied to the reaction between compounds (VII) and (VIII). Examples of the leaving group R_6 in the formula (VII) include halogen atoms such as chlorine and bromine atoms. Preferable examples of the reactive derivative residue R_7 include acid anhydride residues with mesylic acid, tosylic acid, acetic acid, pivaloylic acid and the like. This reaction is described more specifically below. The desired compound can be obtained by reacting both of the compounds in a solvent in the presence of a condensation agent. As the condensation agent, for example, 1-(3'-dimethylaminopropyl)-3-ethylcarbodiimide (WSC) and 1,3-dicyclohexylcarbodiimide (DCC) may be used singly, and a combination of 1-hydroxybenzotriazole (HOBt) and N-hydroxysuccinimide (HOSu) is also available. The solvent is not particularly limited. For example, dimethylformamide, methylene chloride, chloroform, tetrahydrofuran and toluene can be used either singly or in combination. The reaction conditions

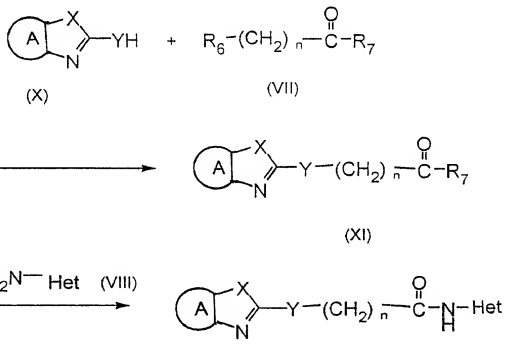
vary depending on a starting material to be used. Generally, the reaction is conducted at from 0 to 100°C, preferably at a temperature close to room temperature, for from 1 to 30 hours, preferably for from 10 to 20 hours. In this manner, the reaction is completed. Further, when a carbonyl halide having a high reactivity is used as compound (VII), for example, compounds (VII) and (VIII) can be reacted in the presence of a base, for example, triethylamine, 4-dimethylaminopyridine or N-methylmorpholine in a usual manner.

With respect to starting compounds (VII) and (VIII), for example, compound (VII) can be produced by a method in which a haloalkyl alcohol is oxidized into a carboxylic acid with a Jones' reagent or the like, and compound (VIII) by a method in which a nitrated heterocyclic compound is subjected to a reduction reaction such as a catalytic reduction or the like to obtain a corresponding amino heterocyclic compound, respectively.

The reaction between compounds (IX) and (X) obtained by the above-mentioned methods can be conducted in a solvent in the presence or absence of a base. As the solvent, the above-mentioned various types can be used. The base includes inorganic bases, for example, alkali metal hydroxides such as sodium hydroxide and potassium hydroxide, alkali metal carbonates such as sodium carbonate and potassium carbonate, and alkali metal hydrogencarbonates such as sodium hydrogencarbonate and

potassium hydrogencarbonate; and organic bases such as pyridine, triethylamine, N,N-diisopropylethylamine, N-methylmorpholine and N,N-dimethylaniline.

Further, with respect to the desired compound represented by the formula (I'), according to the reaction shown by the following formula



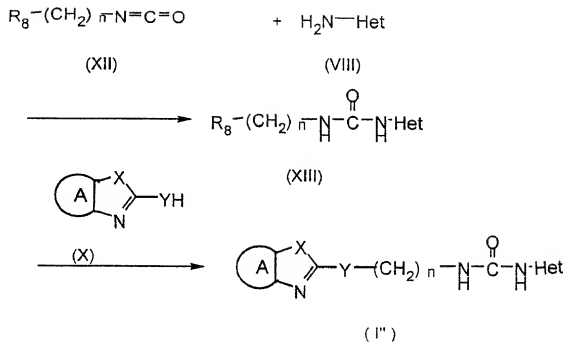
wherein R_6 represents a leaving group, and R_7 represents a reactive derivative residue of a hydroxyl group or a carboxylate group, an azole derivative represented by the formula (X) is reacted with a free carboxylic acid or an inactive substance of a carboxylic acid as the compound represented by the formula (VII) to obtain a carboxylic acid derivative

represented by the formula (XI). When the resulting compound represented by the formula (XI) or its reactive derivative, for example, an acid halide, is reacted with a heterocyclic amine derivative represented by the formula (VIII), the desired compound (I') in which the substituent Z in the formula (I) is a single bond can be produced.

The reaction between compounds (X) and (VII) can be conducted according to the second step of the above-mentioned reaction formula. The reaction in which potassium hydroxide is used as a base and ethanol as a solvent respectively is especially preferable. The reaction between the resulting compounds (XI) and (VIII) can be conducted according to the first step of the above-mentioned reaction formula.

2. Process for producing compounds of the formula (I) when the substituent Z is -NH-:

The compound represented by the formula (I) in which Z is -NH- can be produced by various processes. It is preferable to produce the same by the process shown by the following reaction formula.



wherein R_8 represents a leaving group.

The isocyanate derivative represented by the formula (XII) is reacted with the heterocyclic amine represented by the formula (VIII) to obtain an urea derivative represented by the formula (XIII). The resulting urea derivative is reacted with compound (X) to form desired compound (I") in which the substituent Z in the formula (I) is -NH-.

With respect to the reaction between compounds (XII) and (VIII) in the first step of this reaction formula, compound (XII) is reacted with compound (VIII) in an amount of from 1 to 2 equivalents in a solvent to obtain compound (XIII). At this time, the solvent is not particularly limited. Preferable examples thereof include methylene chloride, chloroform, ether, tetrahydrofuran, toluene, xylene and dimethylformamide. The reaction proceeds in a boiling point of a solvent used from 0

°C for a reaction time of from 1 to 24 hours.

The isocyanate derivative represented by the formula (XII) is a known compound, and it can be produced by, for example, a method in which the above-mentioned carboxylic acid as compound (VII) is reacted with diphenylphospholyl azide in the presence of a base (method of Shioiri et al.), a method via an acid azide by reacting the acid halide of compound (VII) with sodium azide.

The reaction between compounds (XIII) and (X) can be conducted according to the second step of the above-mentioned reaction formula.

Further, when the substituent Z in the formula (I) is $-NR_5-$ (wherein R_5 represents the above-mentioned groups except a hydrogen atom), the compound can be produced by replacing a nitrogen atom with the substituent R_5 at an appropriate stage.

The intermediate and the desired compound obtained in each of the above-mentioned reactions can be isolated and purified by a purification method which is ordinarily used in the synthetic organic chemistry, such as filtration, extraction, washing, drying, concentration, recrystallization and various chromatographies. Further, each intermediate is subjected to the subsequent step without any purification unless any trouble is caused, which is well known to those skilled in the art.

The resulting compounds (I) can be formed into salts of the present invention in a usual manner.

Further, compounds (I) can be formed into solvates with

solvents such as a reaction solvent, a recrystallization solvent and the like, especially hydrides in a usual manner, which is well known to those skilled in the art.

The compounds represented by the formula (I), (II) or (III), which are obtained by the process of the present invention are shown in Tables 1 to 63 below.

[Table 1]

Compound No.	A	X	Y	Z	n	Het
1		O	S	*	1	2-methylthio-3-pyridyl
2	ib(id).	O	S	*	2	2-methylthio-3-pyridyl
3	ib(id).	O	S	*	3	2-methylthio-3-pyridyl
4	ib(id).	O	S	*	4	2-methylthio-3-pyridyl
5	ib(id).	O	S	*	5	2-methylthio-3-pyridyl
6	ib(id).	O	S	*	6	2-methylthio-3-pyridyl
7	ib(id).	O	S	*	7	2-methylthio-3-pyridyl
8	ib(id).	O	S	*	8	2-methylthio-3-pyridyl
9	ib(id).	O	S	*	9	2-methylthio-3-pyridyl
10	ib(id).	O	S	*	14	2-methylthio-3-pyridyl
11	ib(id).	S	S	*	1	2-methylthio-3-pyridyl
12	ib(id).	S	S	*	2	2-methylthio-3-pyridyl
13	ib(id).	S	S	*	3	2-methylthio-3-pyridyl
14	ib(id).	S	S	*	4	2-methylthio-3-pyridyl
15	ib(id).	S	S	*	5	2-methylthio-3-pyridyl
16	ib(id).	S	S	*	6	2-methylthio-3-pyridyl
17	ib(id).	S	S	*	7	2-methylthio-3-pyridyl
18	ib(id).	S	S	*	8	2-methylthio-3-pyridyl
19	ib(id).	S	S	*	9	2-methylthio-3-pyridyl
20	ib(id).	S	S	*	14	2-methylthio-3-pyridyl

* : Single Bond

[Table 2]

Compound No.	A	X	Y	Z	n	Het
21		NH	S	*	1	2-methylthio-3-pyridyl
22	ib(id).	NH	S	*	2	2-methylthio-3-pyridyl
23	ib(id).	NH	S	*	3	2-methylthio-3-pyridyl
24	ib(id).	NH	S	*	4	2-methylthio-3-pyridyl
25	ib(id).	NH	S	*	5	2-methylthio-3-pyridyl
26	ib(id).	NH	S	*	6	2-methylthio-3-pyridyl
27	ib(id).	NH	S	*	7	2-methylthio-3-pyridyl
28	ib(id).	NH	S	*	8	2-methylthio-3-pyridyl
29	ib(id).	NH	S	*	9	2-methylthio-3-pyridyl
30	ib(id).	NH	S	*	14	2-methylthio-3-pyridyl
31	ib(id).	O	S	*	1	2-ethylthio-3-pyridyl
32	ib(id).	O	S	*	2	2-ethylthio-3-pyridyl
33	ib(id).	O	S	*	3	2-ethylthio-3-pyridyl
34	ib(id).	O	S	*	4	2-ethylthio-3-pyridyl
35	ib(id).	O	S	*	5	2-ethylthio-3-pyridyl
36	ib(id).	O	S	*	6	2-ethylthio-3-pyridyl
37	ib(id).	O	S	*	7	2-ethylthio-3-pyridyl
38	ib(id).	O	S	*	8	2-ethylthio-3-pyridyl
39	ib(id).	O	S	*	9	2-ethylthio-3-pyridyl
40	ib(id).	O	S	*	14	2-ethylthio-3-pyridyl

* : Single Bond

[Table 3]

Compound No.	A	X	Y	Z	n	Het
41		S	S	*	1	2-ethylthio-3-pyridyl
42	ib(id).	S	S	*	2	2-ethylthio-3-pyridyl
43	ib(id).	S	S	*	3	2-ethylthio-3-pyridyl
44	ib(id).	S	S	*	4	2-ethylthio-3-pyridyl
45	ib(id).	S	S	*	5	2-ethylthio-3-pyridyl
46	ib(id).	S	S	*	6	2-ethylthio-3-pyridyl
47	ib(id).	S	S	*	7	2-ethylthio-3-pyridyl
48	ib(id).	S	S	*	8	2-ethylthio-3-pyridyl
49	ib(id).	S	S	*	9	2-ethylthio-3-pyridyl
50	ib(id).	S	S	*	14	2-ethylthio-3-pyridyl
51	ib(id).	NH	S	*	1	2-ethylthio-3-pyridyl
52	ib(id).	NH	S	*	2	2-ethylthio-3-pyridyl
53	ib(id).	NH	S	*	3	2-ethylthio-3-pyridyl
54	ib(id).	NH	S	*	4	2-ethylthio-3-pyridyl
55	ib(id).	NH	S	*	5	2-ethylthio-3-pyridyl
56	ib(id).	NH	S	*	6	2-ethylthio-3-pyridyl
57	ib(id).	NH	S	*	7	2-ethylthio-3-pyridyl
58	ib(id).	NH	S	*	8	2-ethylthio-3-pyridyl
59	ib(id).	NH	S	*	9	2-ethylthio-3-pyridyl
60	ib(id).	NH	S	*	14	2-ethylthio-3-pyridyl

* : Single Bond

[Table 4]

Compound No.	A	X	Y	Z	n	Het
61		O	S	*	1	2-(iso-propylthio)-3-pyridyl
62	ib(id).	O	S	*	2	2-(iso-propylthio)-3-pyridyl
63	ib(id).	O	S	*	3	2-(iso-propylthio)-3-pyridyl
64	ib(id).	O	S	*	4	2-(iso-propylthio)-3-pyridyl
65	ib(id).	O	S	*	5	2-(iso-propylthio)-3-pyridyl
66	ib(id).	O	S	*	6	2-(iso-propylthio)-3-pyridyl
67	ib(id).	O	S	*	7	2-(iso-propylthio)-3-pyridyl
68	ib(id).	O	S	*	8	2-(iso-propylthio)-3-pyridyl
69	ib(id).	O	S	*	9	2-(iso-propylthio)-3-pyridyl
70	ib(id).	O	S	*	14	2-(iso-propylthio)-3-pyridyl
71	ib(id).	S	S	*	1	2-(iso-propylthio)-3-pyridyl
72	ib(id).	S	S	*	2	2-(iso-propylthio)-3-pyridyl
73	ib(id).	S	S	*	3	2-(iso-propylthio)-3-pyridyl
74	ib(id).	S	S	*	4	2-(iso-propylthio)-3-pyridyl
75	ib(id).	S	S	*	5	2-(iso-propylthio)-3-pyridyl
76	ib(id).	S	S	*	6	2-(iso-propylthio)-3-pyridyl
77	ib(id).	S	S	*	7	2-(iso-propylthio)-3-pyridyl
78	ib(id).	S	S	*	8	2-(iso-propylthio)-3-pyridyl
79	ib(id).	S	S	*	9	2-(iso-propylthio)-3-pyridyl
80	ib(id).	S	S	*	14	2-(iso-propylthio)-3-pyridyl

* : Single Bond

[Table 5]

Compound No.	A	X	Y	Z	n	Het
81		NH	S	*	1	2-(iso-propylthio)-3-pyridyl
82	ib(id).	NH	S	*	2	2-(iso-propylthio)-3-pyridyl
83	ib(id).	NH	S	*	3	2-(iso-propylthio)-3-pyridyl
84	ib(id).	NH	S	*	4	2-(iso-propylthio)-3-pyridyl
85	ib(id).	NH	S	*	5	2-(iso-propylthio)-3-pyridyl
86	ib(id).	NH	S	*	6	2-(iso-propylthio)-3-pyridyl
87	ib(id).	NH	S	*	7	2-(iso-propylthio)-3-pyridyl
88	ib(id).	NH	S	*	8	2-(iso-propylthio)-3-pyridyl
89	ib(id).	NH	S	*	9	2-(iso-propylthio)-3-pyridyl
90	ib(id).	NH	S	*	14	2-(iso-propylthio)-3-pyridyl
91	ib(id).	O	S	*	1	2-methoxy-3-pyridyl
92	ib(id).	O	S	*	2	2-methoxy-3-pyridyl
93	ib(id).	O	S	*	3	2-methoxy-3-pyridyl
94	ib(id).	O	S	*	4	2-methoxy-3-pyridyl
95	ib(id).	O	S	*	5	2-methoxy-3-pyridyl
96	ib(id).	O	S	*	6	2-methoxy-3-pyridyl
97	ib(id).	O	S	*	7	2-methoxy-3-pyridyl
98	ib(id).	O	S	*	8	2-methoxy-3-pyridyl
99	ib(id).	O	S	*	9	2-methoxy-3-pyridyl
100	ib(id).	O	S	*	14	2-methoxy-3-pyridyl

* : Single Bond

[Table 6]

Compound No.	A	X	Y	Z	n	Het
101		S	S	*	1	2-methoxy-3-pyridyl
102	ib(id).	S	S	*	2	2-methoxy-3-pyridyl
103	ib(id).	S	S	*	3	2-methoxy-3-pyridyl
104	ib(id).	S	S	*	4	2-methoxy-3-pyridyl
105	ib(id).	S	S	*	5	2-methoxy-3-pyridyl
106	ib(id).	S	S	*	6	2-methoxy-3-pyridyl
107	ib(id).	S	S	*	7	2-methoxy-3-pyridyl
108	ib(id).	S	S	*	8	2-methoxy-3-pyridyl
109	ib(id).	S	S	*	9	2-methoxy-3-pyridyl
110	ib(id).	S	S	*	14	2-methoxy-3-pyridyl
111	ib(id).	NH	S	*	1	2-methoxy-3-pyridyl
112	ib(id).	NH	S	*	2	2-methoxy-3-pyridyl
113	ib(id).	NH	S	*	3	2-methoxy-3-pyridyl
114	ib(id).	NH	S	*	4	2-methoxy-3-pyridyl
115	ib(id).	NH	S	*	5	2-methoxy-3-pyridyl
116	ib(id).	NH	S	*	6	2-methoxy-3-pyridyl
117	ib(id).	NH	S	*	7	2-methoxy-3-pyridyl
118	ib(id).	NH	S	*	8	2-methoxy-3-pyridyl
119	ib(id).	NH	S	*	9	2-methoxy-3-pyridyl
120	ib(id).	NH	S	*	14	2-methoxy-3-pyridyl

* : Single Bond

[Table 7]

Compound No.	A	X	Y	Z	n	Het
121		O	S	*	1	2-chloro-3-pyridyl
122	ib(id).	O	S	*	2	2-chloro-3-pyridyl
123	ib(id).	O	S	*	3	2-chloro-3-pyridyl
124	ib(id).	O	S	*	4	2-chloro-3-pyridyl
125	ib(id).	O	S	*	5	2-chloro-3-pyridyl
126	ib(id).	O	S	*	6	2-chloro-3-pyridyl
127	ib(id).	O	S	*	7	2-chloro-3-pyridyl
128	ib(id).	O	S	*	8	2-chloro-3-pyridyl
129	ib(id).	O	S	*	9	2-chloro-3-pyridyl
130	ib(id).	O	S	*	14	2-chloro-3-pyridyl
131	ib(id).	S	S	*	1	2-chloro-3-pyridyl
132	ib(id).	S	S	*	2	2-chloro-3-pyridyl
133	ib(id).	S	S	*	3	2-chloro-3-pyridyl
134	ib(id).	S	S	*	4	2-chloro-3-pyridyl
135	ib(id).	S	S	*	5	2-chloro-3-pyridyl
136	ib(id).	S	S	*	6	2-chloro-3-pyridyl
137	ib(id).	S	S	*	7	2-chloro-3-pyridyl
138	ib(id).	S	S	*	8	2-chloro-3-pyridyl
139	ib(id).	S	S	*	9	2-chloro-3-pyridyl
140	ib(id).	S	S	*	14	2-chloro-3-pyridyl

* : Single Bond

[Table 8]

Compound No.	A	X	Y	Z	n	Het
141		NH	S	*	1	2-chloro-3-pyridyl
142	ib(id).	NH	S	*	2	2-chloro-3-pyridyl
143	ib(id).	NH	S	*	3	2-chloro-3-pyridyl
144	ib(id).	NH	S	*	4	2-chloro-3-pyridyl
145	ib(id).	NH	S	*	5	2-chloro-3-pyridyl
146	ib(id).	NH	S	*	6	2-chloro-3-pyridyl
147	ib(id).	NH	S	*	7	2-chloro-3-pyridyl
148	ib(id).	NH	S	*	8	2-chloro-3-pyridyl
149	ib(id).	NH	S	*	9	2-chloro-3-pyridyl
150	ib(id).	NH	S	*	14	2-chloro-3-pyridyl
151	ib(id).	O	S	*	1	2-methylthio-4-methyl-3-pyridyl
152	ib(id).	O	S	*	2	2-methylthio-4-methyl-3-pyridyl
153	ib(id).	O	S	*	3	2-methylthio-4-methyl-3-pyridyl
154	ib(id).	O	S	*	4	2-methylthio-4-methyl-3-pyridyl
155	ib(id).	O	S	*	5	2-methylthio-4-methyl-3-pyridyl
156	ib(id).	O	S	*	6	2-methylthio-4-methyl-3-pyridyl
157	ib(id).	O	S	*	7	2-methylthio-4-methyl-3-pyridyl
158	ib(id).	O	S	*	8	2-methylthio-4-methyl-3-pyridyl
159	ib(id).	O	S	*	9	2-methylthio-4-methyl-3-pyridyl
160	ib(id).	O	S	*	14	2-methylthio-4-methyl-3-pyridyl

* : Single Bond

[Table 9]

Compound No.	A	X	Y	Z	n	Het
161		S	S	*	1	2-methylthio-4-methyl-3-pyridyl
162	ib(id).	S	S	*	2	2-methylthio-4-methyl-3-pyridyl
163	ib(id).	S	S	*	3	2-methylthio-4-methyl-3-pyridyl
164	ib(id).	S	S	*	4	2-methylthio-4-methyl-3-pyridyl
165	ib(id).	S	S	*	5	2-methylthio-4-methyl-3-pyridyl
166	ib(id).	S	S	*	6	2-methylthio-4-methyl-3-pyridyl
167	ib(id).	S	S	*	7	2-methylthio-4-methyl-3-pyridyl
168	ib(id).	S	S	*	8	2-methylthio-4-methyl-3-pyridyl
169	ib(id).	S	S	*	9	2-methylthio-4-methyl-3-pyridyl
170	ib(id).	S	S	*	14	2-methylthio-4-methyl-3-pyridyl
171	ib(id).	NH	S	*	1	2-methylthio-4-methyl-3-pyridyl
172	ib(id).	NH	S	*	2	2-methylthio-4-methyl-3-pyridyl
173	ib(id).	NH	S	*	3	2-methylthio-4-methyl-3-pyridyl
174	ib(id).	NH	S	*	4	2-methylthio-4-methyl-3-pyridyl
175	ib(id).	NH	S	*	5	2-methylthio-4-methyl-3-pyridyl
176	ib(id).	NH	S	*	6	2-methylthio-4-methyl-3-pyridyl
177	ib(id).	NH	S	*	7	2-methylthio-4-methyl-3-pyridyl
178	ib(id).	NH	S	*	8	2-methylthio-4-methyl-3-pyridyl
179	ib(id).	NH	S	*	9	2-methylthio-4-methyl-3-pyridyl
180	ib(id).	NH	S	*	14	2-methylthio-4-methyl-3-pyridyl

* : Single Bond

[Table 1 0]

Compound No.	A	X	Y	Z	n	Het
181		O	S	*	1	2-ethylthio-4-methyl-3-pyridyl
182	ib(id).	O	S	*	2	2-ethylthio-4-methyl-3-pyridyl
183	ib(id).	O	S	*	3	2-ethylthio-4-methyl-3-pyridyl
184	ib(id).	O	S	*	4	2-ethylthio-4-methyl-3-pyridyl
185	ib(id).	O	S	*	5	2-ethylthio-4-methyl-3-pyridyl
186	ib(id).	O	S	*	6	2-ethylthio-4-methyl-3-pyridyl
187	ib(id).	O	S	*	7	2-ethylthio-4-methyl-3-pyridyl
188	ib(id).	O	S	*	8	2-ethylthio-4-methyl-3-pyridyl
189	ib(id).	O	S	*	9	2-ethylthio-4-methyl-3-pyridyl
190	ib(id).	O	S	*	14	2-ethylthio-4-methyl-3-pyridyl
191	ib(id).	S	S	*	1	2-ethylthio-4-methyl-3-pyridyl
192	ib(id).	S	S	*	2	2-ethylthio-4-methyl-3-pyridyl
193	ib(id).	S	S	*	3	2-ethylthio-4-methyl-3-pyridyl
194	ib(id).	S	S	*	4	2-ethylthio-4-methyl-3-pyridyl
195	ib(id).	S	S	*	5	2-ethylthio-4-methyl-3-pyridyl
196	ib(id).	S	S	*	6	2-ethylthio-4-methyl-3-pyridyl
197	ib(id).	S	S	*	7	2-ethylthio-4-methyl-3-pyridyl
198	ib(id).	S	S	*	8	2-ethylthio-4-methyl-3-pyridyl
199	ib(id).	S	S	*	9	2-ethylthio-4-methyl-3-pyridyl
200	ib(id).	S	S	*	14	2-ethylthio-4-methyl-3-pyridyl

* : Single Bond

[Table 11]

Compound No.	A	X	Y	Z	n	Het
201		NH	S	*	1	2-ethylthio-4-methyl-3-pyridyl
202	ib(id).	NH	S	*	2	2-ethylthio-4-methyl-3-pyridyl
203	ib(id).	NH	S	*	3	2-ethylthio-4-methyl-3-pyridyl
204	ib(id).	NH	S	*	4	2-ethylthio-4-methyl-3-pyridyl
205	ib(id).	NH	S	*	5	2-ethylthio-4-methyl-3-pyridyl
206	ib(id).	NH	S	*	6	2-ethylthio-4-methyl-3-pyridyl
207	ib(id).	NH	S	*	7	2-ethylthio-4-methyl-3-pyridyl
208	ib(id).	NH	S	*	8	2-ethylthio-4-methyl-3-pyridyl
209	ib(id).	NH	S	*	9	2-ethylthio-4-methyl-3-pyridyl
210	ib(id).	NH	S	*	14	2-ethylthio-4-methyl-3-pyridyl
211	ib(id).	O	S	*	1	2-(iso-propylthio)-4-methyl-3-pyridyl
212	ib(id).	O	S	*	2	2-(iso-propylthio)-4-methyl-3-pyridyl
213	ib(id).	O	S	*	3	2-(iso-propylthio)-4-methyl-3-pyridyl
214	ib(id).	O	S	*	4	2-(iso-propylthio)-4-methyl-3-pyridyl
215	ib(id).	O	S	*	5	2-(iso-propylthio)-4-methyl-3-pyridyl
216	ib(id).	O	S	*	6	2-(iso-propylthio)-4-methyl-3-pyridyl
217	ib(id).	O	S	*	7	2-(iso-propylthio)-4-methyl-3-pyridyl
218	ib(id).	O	S	*	8	2-(iso-propylthio)-4-methyl-3-pyridyl
219	ib(id).	O	S	*	9	2-(iso-propylthio)-4-methyl-3-pyridyl
220	ib(id).	O	S	*	14	2-(iso-propylthio)-4-methyl-3-pyridyl

* : Single Bond

[Table 12]

Compound No.	A	X	Y	Z	n	Het
221		S	S	*	1	2-(iso-propylthio)-4-methyl-3-pyridyl
222	ib(id).	S	S	*	2	2-(iso-propylthio)-4-methyl-3-pyridyl
223	ib(id).	S	S	*	3	2-(iso-propylthio)-4-methyl-3-pyridyl
224	ib(id).	S	S	*	4	2-(iso-propylthio)-4-methyl-3-pyridyl
225	ib(id).	S	S	*	5	2-(iso-propylthio)-4-methyl-3-pyridyl
226	ib(id).	S	S	*	6	2-(iso-propylthio)-4-methyl-3-pyridyl
227	ib(id).	S	S	*	7	2-(iso-propylthio)-4-methyl-3-pyridyl
228	ib(id).	S	S	*	8	2-(iso-propylthio)-4-methyl-3-pyridyl
229	ib(id).	S	S	*	9	2-(iso-propylthio)-4-methyl-3-pyridyl
230	ib(id).	S	S	*	14	2-(iso-propylthio)-4-methyl-3-pyridyl
231	ib(id).	NH	S	*	1	2-(iso-propylthio)-4-methyl-3-pyridyl
232	ib(id).	NH	S	*	2	2-(iso-propylthio)-4-methyl-3-pyridyl
233	ib(id).	NH	S	*	3	2-(iso-propylthio)-4-methyl-3-pyridyl
234	ib(id).	NH	S	*	4	2-(iso-propylthio)-4-methyl-3-pyridyl
235	ib(id).	NH	S	*	5	2-(iso-propylthio)-4-methyl-3-pyridyl
236	ib(id).	NH	S	*	6	2-(iso-propylthio)-4-methyl-3-pyridyl
237	ib(id).	NH	S	*	7	2-(iso-propylthio)-4-methyl-3-pyridyl
238	ib(id).	NH	S	*	8	2-(iso-propylthio)-4-methyl-3-pyridyl
239	ib(id).	NH	S	*	9	2-(iso-propylthio)-4-methyl-3-pyridyl
240	ib(id).	NH	S	*	14	2-(iso-propylthio)-4-methyl-3-pyridyl

* : Single Bond

[Table 13]

Compound No.	A	X	Y	Z	n	Het
241		O	S	*	1	2-methoxy-4-methyl-3-pyridyl
242	ib(id).	O	S	*	2	2-methoxy-4-methyl-3-pyridyl
243	ib(id).	O	S	*	3	2-methoxy-4-methyl-3-pyridyl
244	ib(id).	O	S	*	4	2-methoxy-4-methyl-3-pyridyl
245	ib(id).	O	S	*	5	2-methoxy-4-methyl-3-pyridyl
246	ib(id).	O	S	*	6	2-methoxy-4-methyl-3-pyridyl
247	ib(id).	O	S	*	7	2-methoxy-4-methyl-3-pyridyl
248	ib(id).	O	S	*	8	2-methoxy-4-methyl-3-pyridyl
249	ib(id).	O	S	*	9	2-methoxy-4-methyl-3-pyridyl
250	ib(id).	O	S	*	14	2-methoxy-4-methyl-3-pyridyl
251	ib(id).	S	S	*	1	2-methoxy-4-methyl-3-pyridyl
252	ib(id).	S	S	*	2	2-methoxy-4-methyl-3-pyridyl
253	ib(id).	S	S	*	3	2-methoxy-4-methyl-3-pyridyl
254	ib(id).	S	S	*	4	2-methoxy-4-methyl-3-pyridyl
255	ib(id).	S	S	*	5	2-methoxy-4-methyl-3-pyridyl
256	ib(id).	S	S	*	6	2-methoxy-4-methyl-3-pyridyl
257	ib(id).	S	S	*	7	2-methoxy-4-methyl-3-pyridyl
258	ib(id).	S	S	*	8	2-methoxy-4-methyl-3-pyridyl
259	ib(id).	S	S	*	9	2-methoxy-4-methyl-3-pyridyl
260	ib(id).	S	S	*	14	2-methoxy-4-methyl-3-pyridyl

* : Single Bond

[Table 14]

Compound No.	A	X	Y	Z	n	Het
261		NH	S	*	1	2-methoxy-4-methyl-3-pyridyl
262	ib(id).	NH	S	*	2	2-methoxy-4-methyl-3-pyridyl
263	ib(id).	NH	S	*	3	2-methoxy-4-methyl-3-pyridyl
264	ib(id).	NH	S	*	4	2-methoxy-4-methyl-3-pyridyl
265	ib(id).	NH	S	*	5	2-methoxy-4-methyl-3-pyridyl
266	ib(id).	NH	S	*	6	2-methoxy-4-methyl-3-pyridyl
267	ib(id).	NH	S	*	7	2-methoxy-4-methyl-3-pyridyl
268	ib(id).	NH	S	*	8	2-methoxy-4-methyl-3-pyridyl
269	ib(id).	NH	S	*	9	2-methoxy-4-methyl-3-pyridyl
270	ib(id).	NH	S	*	14	2-methoxy-4-methyl-3-pyridyl
271	ib(id).	O	S	*	1	2,6-bismethylthio-3-pyridyl
272	ib(id).	O	S	*	2	2,6-bismethylthio-3-pyridyl
273	ib(id).	O	S	*	3	2,6-bismethylthio-3-pyridyl
274	ib(id).	O	S	*	4	2,6-bismethylthio-3-pyridyl
275	ib(id).	O	S	*	5	2,6-bismethylthio-3-pyridyl
276	ib(id).	O	S	*	6	2,6-bismethylthio-3-pyridyl
277	ib(id).	O	S	*	7	2,6-bismethylthio-3-pyridyl
278	ib(id).	O	S	*	8	2,6-bismethylthio-3-pyridyl
279	ib(id).	O	S	*	9	2,6-bismethylthio-3-pyridyl
280	ib(id).	O	S	*	14	2,6-bismethylthio-3-pyridyl

* : Single Bond

[Table 15]

Compound No.	A	X	Y	Z	n	Het
281		S	S	*	1	2,6-bismethylthio-3-pyridyl
282	ib(id).	S	S	*	2	2,6-bismethylthio-3-pyridyl
283	ib(id).	S	S	*	3	2,6-bismethylthio-3-pyridyl
284	ib(id).	S	S	*	4	2,6-bismethylthio-3-pyridyl
285	ib(id).	S	S	*	5	2,6-bismethylthio-3-pyridyl
286	ib(id).	S	S	*	6	2,6-bismethylthio-3-pyridyl
287	ib(id).	S	S	*	7	2,6-bismethylthio-3-pyridyl
288	ib(id).	S	S	*	8	2,6-bismethylthio-3-pyridyl
289	ib(id).	S	S	*	9	2,6-bismethylthio-3-pyridyl
290	ib(id).	S	S	*	14	2,6-bismethylthio-3-pyridyl
291	ib(id).	NH	S	*	1	2,6-bismethylthio-3-pyridyl
292	ib(id).	NH	S	*	2	2,6-bismethylthio-3-pyridyl
293	ib(id).	NH	S	*	3	2,6-bismethylthio-3-pyridyl
294	ib(id).	NH	S	*	4	2,6-bismethylthio-3-pyridyl
295	ib(id).	NH	S	*	5	2,6-bismethylthio-3-pyridyl
296	ib(id).	NH	S	*	6	2,6-bismethylthio-3-pyridyl
297	ib(id).	NH	S	*	7	2,6-bismethylthio-3-pyridyl
298	ib(id).	NH	S	*	8	2,6-bismethylthio-3-pyridyl
299	ib(id).	NH	S	*	9	2,6-bismethylthio-3-pyridyl
300	ib(id).	NH	S	*	14	2,6-bismethylthio-3-pyridyl

* : Single Bond

[Table 16]

Compound No.	A	X	Y	Z	n	Het
301		O	S	*	1	2,6-bisethylthio-3-pyridyl
302	ib(id).	O	S	*	2	2,6-bisethylthio-3-pyridyl
303	ib(id).	O	S	*	3	2,6-bisethylthio-3-pyridyl
304	ib(id).	O	S	*	4	2,6-bisethylthio-3-pyridyl
305	ib(id).	O	S	*	5	2,6-bisethylthio-3-pyridyl
306	ib(id).	O	S	*	6	2,6-bisethylthio-3-pyridyl
307	ib(id).	O	S	*	7	2,6-bisethylthio-3-pyridyl
308	ib(id).	O	S	*	8	2,6-bisethylthio-3-pyridyl
309	ib(id).	O	S	*	9	2,6-bisethylthio-3-pyridyl
310	ib(id).	O	S	*	14	2,6-bisethylthio-3-pyridyl
311	ib(id).	S	S	*	1	2,6-bisethylthio-3-pyridyl
312	ib(id).	S	S	*	2	2,6-bisethylthio-3-pyridyl
313	ib(id).	S	S	*	3	2,6-bisethylthio-3-pyridyl
314	ib(id).	S	S	*	4	2,6-bisethylthio-3-pyridyl
315	ib(id).	S	S	*	5	2,6-bisethylthio-3-pyridyl
316	ib(id).	S	S	*	6	2,6-bisethylthio-3-pyridyl
317	ib(id).	S	S	*	7	2,6-bisethylthio-3-pyridyl
318	ib(id).	S	S	*	8	2,6-bisethylthio-3-pyridyl
319	ib(id).	S	S	*	9	2,6-bisethylthio-3-pyridyl
320	ib(id).	S	S	*	14	2,6-bisethylthio-3-pyridyl

* : Single Bond

[Table 17]

Compound No.	A	X	Y	Z	n	Het
321		NH	S	*	1	2,6-bisethylthio-3-pyridyl
322	ib(id).	NH	S	*	2	2,6-bisethylthio-3-pyridyl
323	ib(id).	NH	S	*	3	2,6-bisethylthio-3-pyridyl
324	ib(id).	NH	S	*	4	2,6-bisethylthio-3-pyridyl
325	ib(id).	NH	S	*	5	2,6-bisethylthio-3-pyridyl
326	ib(id).	NH	S	*	6	2,6-bisethylthio-3-pyridyl
327	ib(id).	NH	S	*	7	2,6-bisethylthio-3-pyridyl
328	ib(id).	NH	S	*	8	2,6-bisethylthio-3-pyridyl
329	ib(id).	NH	S	*	9	2,6-bisethylthio-3-pyridyl
330	ib(id).	NH	S	*	14	2,6-bisethylthio-3-pyridyl
331	ib(id).	O	S	*	1	2,6-bis(iso-propylthio)-3-pyridyl
332	ib(id).	O	S	*	2	2,6-bis(iso-propylthio)-3-pyridyl
333	ib(id).	O	S	*	3	2,6-bis(iso-propylthio)-3-pyridyl
334	ib(id).	O	S	*	4	2,6-bis(iso-propylthio)-3-pyridyl
335	ib(id).	O	S	*	5	2,6-bis(iso-propylthio)-3-pyridyl
336	ib(id).	O	S	*	6	2,6-bis(iso-propylthio)-3-pyridyl
337	ib(id).	O	S	*	7	2,6-bis(iso-propylthio)-3-pyridyl
338	ib(id).	O	S	*	8	2,6-bis(iso-propylthio)-3-pyridyl
339	ib(id).	O	S	*	9	2,6-bis(iso-propylthio)-3-pyridyl
340	ib(id).	O	S	*	14	2,6-bis(iso-propylthio)-3-pyridyl

* : Single Bond

[Table 18]

Compound No.	A	X	Y	Z	n	Het
341		S	S	*	1	2,6-bis(iso-propylthio)-3-pyridyl
342	ib(id).	S	S	*	2	2,6-bis(iso-propylthio)-3-pyridyl
343	ib(id).	S	S	*	3	2,6-bis(iso-propylthio)-3-pyridyl
344	ib(id).	S	S	*	4	2,6-bis(iso-propylthio)-3-pyridyl
345	ib(id).	S	S	*	5	2,6-bis(iso-propylthio)-3-pyridyl
346	ib(id).	S	S	*	6	2,6-bis(iso-propylthio)-3-pyridyl
347	ib(id).	S	S	*	7	2,6-bis(iso-propylthio)-3-pyridyl
348	ib(id).	S	S	*	8	2,6-bis(iso-propylthio)-3-pyridyl
349	ib(id).	S	S	*	9	2,6-bis(iso-propylthio)-3-pyridyl
350	ib(id).	S	S	*	14	2,6-bis(iso-propylthio)-3-pyridyl
351	ib(id).	NH	S	*	1	2,6-bis(iso-propylthio)-3-pyridyl
352	ib(id).	NH	S	*	2	2,6-bis(iso-propylthio)-3-pyridyl
353	ib(id).	NH	S	*	3	2,6-bis(iso-propylthio)-3-pyridyl
354	ib(id).	NH	S	*	4	2,6-bis(iso-propylthio)-3-pyridyl
355	ib(id).	NH	S	*	5	2,6-bis(iso-propylthio)-3-pyridyl
356	ib(id).	NH	S	*	6	2,6-bis(iso-propylthio)-3-pyridyl
357	ib(id).	NH	S	*	7	2,6-bis(iso-propylthio)-3-pyridyl
358	ib(id).	NH	S	*	8	2,6-bis(iso-propylthio)-3-pyridyl
359	ib(id).	NH	S	*	9	2,6-bis(iso-propylthio)-3-pyridyl
360	ib(id).	NH	S	*	14	2,6-bis(iso-propylthio)-3-pyridyl

* : Single Bond

[Table 19]

Compound No.		X	Y	Z	n	Het
361		O	S	*	1	2-methylthio-6-methoxy-3-pyridyl
362	ib(id).	O	S	*	2	2-methylthio-6-methoxy-3-pyridyl
363	ib(id).	O	S	*	3	2-methylthio-6-methoxy-3-pyridyl
364	ib(id).	O	S	*	4	2-methylthio-6-methoxy-3-pyridyl
365	ib(id).	O	S	*	5	2-methylthio-6-methoxy-3-pyridyl
366	ib(id).	O	S	*	6	2-methylthio-6-methoxy-3-pyridyl
367	ib(id).	O	S	*	7	2-methylthio-6-methoxy-3-pyridyl
368	ib(id).	O	S	*	8	2-methylthio-6-methoxy-3-pyridyl
369	ib(id).	O	S	*	9	2-methylthio-6-methoxy-3-pyridyl
370	ib(id).	O	S	*	14	2-methylthio-6-methoxy-3-pyridyl
371	ib(id).	S	S	*	1	2-methylthio-6-methoxy-3-pyridyl
372	ib(id).	S	S	*	2	2-methylthio-6-methoxy-3-pyridyl
373	ib(id).	S	S	*	3	2-methylthio-6-methoxy-3-pyridyl
374	ib(id).	S	S	*	4	2-methylthio-6-methoxy-3-pyridyl
375	ib(id).	S	S	*	5	2-methylthio-6-methoxy-3-pyridyl
376	ib(id).	S	S	*	6	2-methylthio-6-methoxy-3-pyridyl
377	ib(id).	S	S	*	7	2-methylthio-6-methoxy-3-pyridyl
378	ib(id).	S	S	*	8	2-methylthio-6-methoxy-3-pyridyl
379	ib(id).	S	S	*	9	2-methylthio-6-methoxy-3-pyridyl
380	ib(id).	S	S	*	14	2-methylthio-6-methoxy-3-pyridyl

* : Single Bond

[Table 20]

Compound No.	A	X	Y	Z	n	Het
381		NH	S	*	1	2-methylthio-6-methoxy-3-pyridyl
382	ib(id).	NH	S	*	2	2-methylthio-6-methoxy-3-pyridyl
383	ib(id).	NH	S	*	3	2-methylthio-6-methoxy-3-pyridyl
384	ib(id).	NH	S	*	4	2-methylthio-6-methoxy-3-pyridyl
385	ib(id).	NH	S	*	5	2-methylthio-6-methoxy-3-pyridyl
386	ib(id).	NH	S	*	6	2-methylthio-6-methoxy-3-pyridyl
387	ib(id).	NH	S	*	7	2-methylthio-6-methoxy-3-pyridyl
388	ib(id).	NH	S	*	8	2-methylthio-6-methoxy-3-pyridyl
389	ib(id).	NH	S	*	9	2-methylthio-6-methoxy-3-pyridyl
390	ib(id).	NH	S	*	14	2-methylthio-6-methoxy-3-pyridyl
391	ib(id).	O	S	*	1	2-ethylthio-6-methoxy-3-pyridyl
392	ib(id).	O	S	*	2	2-ethylthio-6-methoxy-3-pyridyl
393	ib(id).	O	S	*	3	2-ethylthio-6-methoxy-3-pyridyl
394	ib(id).	O	S	*	4	2-ethylthio-6-methoxy-3-pyridyl
395	ib(id).	O	S	*	5	2-ethylthio-6-methoxy-3-pyridyl
396	ib(id).	O	S	*	6	2-ethylthio-6-methoxy-3-pyridyl
397	ib(id).	O	S	*	7	2-ethylthio-6-methoxy-3-pyridyl
398	ib(id).	O	S	*	8	2-ethylthio-6-methoxy-3-pyridyl
399	ib(id).	O	S	*	9	2-ethylthio-6-methoxy-3-pyridyl
400	ib(id).	O	S	*	14	2-ethylthio-6-methoxy-3-pyridyl

* : Single Bond

[Table 2 1]

Compound No.	A	X	Y	Z	n	Het
401		S	S	*	1	2-ethylthio-6-methoxy-3-pyridyl
402	ib(id).	S	S	*	2	2-ethylthio-6-methoxy-3-pyridyl
403	ib(id).	S	S	*	3	2-ethylthio-6-methoxy-3-pyridyl
404	ib(id).	S	S	*	4	2-ethylthio-6-methoxy-3-pyridyl
405	ib(id).	S	S	*	5	2-ethylthio-6-methoxy-3-pyridyl
406	ib(id).	S	S	*	6	2-ethylthio-6-methoxy-3-pyridyl
407	ib(id).	S	S	*	7	2-ethylthio-6-methoxy-3-pyridyl
408	ib(id).	S	S	*	8	2-ethylthio-6-methoxy-3-pyridyl
409	ib(id).	S	S	*	9	2-ethylthio-6-methoxy-3-pyridyl
410	ib(id).	S	S	*	14	2-ethylthio-6-methoxy-3-pyridyl
411	ib(id).	NH	S	*	1	2-ethylthio-6-methoxy-3-pyridyl
412	ib(id).	NH	S	*	2	2-ethylthio-6-methoxy-3-pyridyl
413	ib(id).	NH	S	*	3	2-ethylthio-6-methoxy-3-pyridyl
414	ib(id).	NH	S	*	4	2-ethylthio-6-methoxy-3-pyridyl
415	ib(id).	NH	S	*	5	2-ethylthio-6-methoxy-3-pyridyl
416	ib(id).	NH	S	*	6	2-ethylthio-6-methoxy-3-pyridyl
417	ib(id).	NH	S	*	7	2-ethylthio-6-methoxy-3-pyridyl
418	ib(id).	NH	S	*	8	2-ethylthio-6-methoxy-3-pyridyl
419	ib(id).	NH	S	*	9	2-ethylthio-6-methoxy-3-pyridyl
420	ib(id).	NH	S	*	14	2-ethylthio-6-methoxy-3-pyridyl

* : Single Bond

[Table 2 2]

Compound No.	A	X	Y	Z	n	Het
421		O	S	*	1	2-(iso-propylthio)-6-methoxy-3-pyridyl
422	ib(id).	O	S	*	2	2-(iso-propylthio)-6-methoxy-3-pyridyl
423	ib(id).	O	S	*	3	2-(iso-propylthio)-6-methoxy-3-pyridyl
424	ib(id).	O	S	*	4	2-(iso-propylthio)-6-methoxy-3-pyridyl
425	ib(id).	O	S	*	5	2-(iso-propylthio)-6-methoxy-3-pyridyl
426	ib(id).	O	S	*	6	2-(iso-propylthio)-6-methoxy-3-pyridyl
427	ib(id).	O	S	*	7	2-(iso-propylthio)-6-methoxy-3-pyridyl
428	ib(id).	O	S	*	8	2-(iso-propylthio)-6-methoxy-3-pyridyl
429	ib(id).	O	S	*	9	2-(iso-propylthio)-6-methoxy-3-pyridyl
430	ib(id).	O	S	*	14	2-(iso-propylthio)-6-methoxy-3-pyridyl
431	ib(id).	S	S	*	1	2-(iso-propylthio)-6-methoxy-3-pyridyl
432	ib(id).	S	S	*	2	2-(iso-propylthio)-6-methoxy-3-pyridyl
433	ib(id).	S	S	*	3	2-(iso-propylthio)-6-methoxy-3-pyridyl
434	ib(id).	S	S	*	4	2-(iso-propylthio)-6-methoxy-3-pyridyl
435	ib(id).	S	S	*	5	2-(iso-propylthio)-6-methoxy-3-pyridyl
436	ib(id).	S	S	*	6	2-(iso-propylthio)-6-methoxy-3-pyridyl
437	ib(id).	S	S	*	7	2-(iso-propylthio)-6-methoxy-3-pyridyl
438	ib(id).	S	S	*	8	2-(iso-propylthio)-6-methoxy-3-pyridyl
439	ib(id).	S	S	*	9	2-(iso-propylthio)-6-methoxy-3-pyridyl
440	ib(id).	S	S	*	14	2-(iso-propylthio)-6-methoxy-3-pyridyl

* : Single Bond

[Table 2 3]

Compound No.	A	X	Y	Z	n	Het
441		NH	S	*	1	2-(iso-propylthio)-6-methoxy-3-pyridyl
442	ib(id).	NH	S	*	2	2-(iso-propylthio)-6-methoxy-3-pyridyl
443	ib(id).	NH	S	*	3	2-(iso-propylthio)-6-methoxy-3-pyridyl
444	ib(id).	NH	S	*	4	2-(iso-propylthio)-6-methoxy-3-pyridyl
445	ib(id).	NH	S	*	5	2-(iso-propylthio)-6-methoxy-3-pyridyl
446	ib(id).	NH	S	*	6	2-(iso-propylthio)-6-methoxy-3-pyridyl
447	ib(id).	NH	S	*	7	2-(iso-propylthio)-6-methoxy-3-pyridyl
448	ib(id).	NH	S	*	8	2-(iso-propylthio)-6-methoxy-3-pyridyl
449	ib(id).	NH	S	*	9	2-(iso-propylthio)-6-methoxy-3-pyridyl
450	ib(id).	NH	S	*	14	2-(iso-propylthio)-6-methoxy-3-pyridyl
451	ib(id).	O	S	*	1	2-methylthio-6-methyl-3-pyridyl
452	ib(id).	O	S	*	2	2-methylthio-6-methyl-3-pyridyl
453	ib(id).	O	S	*	3	2-methylthio-6-methyl-3-pyridyl
454	ib(id).	O	S	*	4	2-methylthio-6-methyl-3-pyridyl
455	ib(id).	O	S	*	5	2-methylthio-6-methyl-3-pyridyl
456	ib(id).	O	S	*	6	2-methylthio-6-methyl-3-pyridyl
457	ib(id).	O	S	*	7	2-methylthio-6-methyl-3-pyridyl
458	ib(id).	O	S	*	8	2-methylthio-6-methyl-3-pyridyl
459	ib(id).	O	S	*	9	2-methylthio-6-methyl-3-pyridyl
460	ib(id).	O	S	*	14	2-methylthio-6-methyl-3-pyridyl

* : Single Bond

[Table 2 4]

Compound No.	A	X	Y	Z	n	Het
461		S	S	*	1	2-methylthio-6-methyl-3-pyridyl
462	ib(id).	S	S	*	2	2-methylthio-6-methyl-3-pyridyl
463	ib(id).	S	S	*	3	2-methylthio-6-methyl-3-pyridyl
464	ib(id).	S	S	*	4	2-methylthio-6-methyl-3-pyridyl
465	ib(id).	S	S	*	5	2-methylthio-6-methyl-3-pyridyl
466	ib(id).	S	S	*	6	2-methylthio-6-methyl-3-pyridyl
467	ib(id).	S	S	*	7	2-methylthio-6-methyl-3-pyridyl
468	ib(id).	S	S	*	8	2-methylthio-6-methyl-3-pyridyl
469	ib(id).	S	S	*	9	2-methylthio-6-methyl-3-pyridyl
470	ib(id).	S	S	*	14	2-methylthio-6-methyl-3-pyridyl
471	ib(id).	NH	S	*	1	2-methylthio-6-methyl-3-pyridyl
472	ib(id).	NH	S	*	2	2-methylthio-6-methyl-3-pyridyl
473	ib(id).	NH	S	*	3	2-methylthio-6-methyl-3-pyridyl
474	ib(id).	NH	S	*	4	2-methylthio-6-methyl-3-pyridyl
475	ib(id).	NH	S	*	5	2-methylthio-6-methyl-3-pyridyl
476	ib(id).	NH	S	*	6	2-methylthio-6-methyl-3-pyridyl
477	ib(id).	NH	S	*	7	2-methylthio-6-methyl-3-pyridyl
478	ib(id).	NH	S	*	8	2-methylthio-6-methyl-3-pyridyl
479	ib(id).	NH	S	*	9	2-methylthio-6-methyl-3-pyridyl
480	ib(id).	NH	S	*	14	2-methylthio-6-methyl-3-pyridyl

* : Single Bond

[Table 2 5]

Compound No.	A	X	Y	Z	n	Het
481		O	S	*	1	2-ethylthio-6-methyl-3-pyridyl
482	ib(id).	O	S	*	2	2-ethylthio-6-methyl-3-pyridyl
483	ib(id).	O	S	*	3	2-ethylthio-6-methyl-3-pyridyl
484	ib(id).	O	S	*	4	2-ethylthio-6-methyl-3-pyridyl
485	ib(id).	O	S	*	5	2-ethylthio-6-methyl-3-pyridyl
486	ib(id).	O	S	*	6	2-ethylthio-6-methyl-3-pyridyl
487	ib(id).	O	S	*	7	2-ethylthio-6-methyl-3-pyridyl
488	ib(id).	O	S	*	8	2-ethylthio-6-methyl-3-pyridyl
489	ib(id).	O	S	*	9	2-ethylthio-6-methyl-3-pyridyl
490	ib(id).	O	S	*	14	2-ethylthio-6-methyl-3-pyridyl
491	ib(id).	S	S	*	1	2-ethylthio-6-methyl-3-pyridyl
492	ib(id).	S	S	*	2	2-ethylthio-6-methyl-3-pyridyl
493	ib(id).	S	S	*	3	2-ethylthio-6-methyl-3-pyridyl
494	ib(id).	S	S	*	4	2-ethylthio-6-methyl-3-pyridyl
495	ib(id).	S	S	*	5	2-ethylthio-6-methyl-3-pyridyl
496	ib(id).	S	S	*	6	2-ethylthio-6-methyl-3-pyridyl
497	ib(id).	S	S	*	7	2-ethylthio-6-methyl-3-pyridyl
498	ib(id).	S	S	*	8	2-ethylthio-6-methyl-3-pyridyl
499	ib(id).	S	S	*	9	2-ethylthio-6-methyl-3-pyridyl
500	ib(id).	S	S	*	14	2-ethylthio-6-methyl-3-pyridyl

* : Single Bond

[Table 2 6]

Compound No.	A	X	Y	Z	n	Het
501		NH	S	*	1	2-ethylthio-6-methyl-3-pyridyl
502	ib(id).	NH	S	*	2	2-ethylthio-6-methyl-3-pyridyl
503	ib(id).	NH	S	*	3	2-ethylthio-6-methyl-3-pyridyl
504	ib(id).	NH	S	*	4	2-ethylthio-6-methyl-3-pyridyl
505	ib(id).	NH	S	*	5	2-ethylthio-6-methyl-3-pyridyl
506	ib(id).	NH	S	*	6	2-ethylthio-6-methyl-3-pyridyl
507	ib(id).	NH	S	*	7	2-ethylthio-6-methyl-3-pyridyl
508	ib(id).	NH	S	*	8	2-ethylthio-6-methyl-3-pyridyl
509	ib(id).	NH	S	*	9	2-ethylthio-6-methyl-3-pyridyl
510	ib(id).	NH	S	*	14	2-ethylthio-6-methyl-3-pyridyl
511	ib(id).	O	S	*	1	2-(iso-propylthio)-6-methyl-3-pyridyl
512	ib(id).	O	S	*	2	2-(iso-propylthio)-6-methyl-3-pyridyl
513	ib(id).	O	S	*	3	2-(iso-propylthio)-6-methyl-3-pyridyl
514	ib(id).	O	S	*	4	2-(iso-propylthio)-6-methyl-3-pyridyl
515	ib(id).	O	S	*	5	2-(iso-propylthio)-6-methyl-3-pyridyl
516	ib(id).	O	S	*	6	2-(iso-propylthio)-6-methyl-3-pyridyl
517	ib(id).	O	S	*	7	2-(iso-propylthio)-6-methyl-3-pyridyl
518	ib(id).	O	S	*	8	2-(iso-propylthio)-6-methyl-3-pyridyl
519	ib(id).	O	S	*	9	2-(iso-propylthio)-6-methyl-3-pyridyl
520	ib(id).	O	S	*	14	2-(iso-propylthio)-6-methyl-3-pyridyl

* : Single Bond

[Table 2 7]

Compound No.	A	X	Y	Z	n	Het
521		S	S	*	1	2-(iso-propylthio)-6-methyl-3-pyridyl
522	ib(id).	S	S	*	2	2-(iso-propylthio)-6-methyl-3-pyridyl
523	ib(id).	S	S	*	3	2-(iso-propylthio)-6-methyl-3-pyridyl
524	ib(id).	S	S	*	4	2-(iso-propylthio)-6-methyl-3-pyridyl
525	ib(id).	S	S	*	5	2-(iso-propylthio)-6-methyl-3-pyridyl
526	ib(id).	S	S	*	6	2-(iso-propylthio)-6-methyl-3-pyridyl
527	ib(id).	S	S	*	7	2-(iso-propylthio)-6-methyl-3-pyridyl
528	ib(id).	S	S	*	8	2-(iso-propylthio)-6-methyl-3-pyridyl
529	ib(id).	S	S	*	9	2-(iso-propylthio)-6-methyl-3-pyridyl
530	ib(id).	S	S	*	14	2-(iso-propylthio)-6-methyl-3-pyridyl
531	ib(id).	NH	S	*	1	2-(iso-propylthio)-6-methyl-3-pyridyl
532	ib(id).	NH	S	*	2	2-(iso-propylthio)-6-methyl-3-pyridyl
533	ib(id).	NH	S	*	3	2-(iso-propylthio)-6-methyl-3-pyridyl
534	ib(id).	NH	S	*	4	2-(iso-propylthio)-6-methyl-3-pyridyl
535	ib(id).	NH	S	*	5	2-(iso-propylthio)-6-methyl-3-pyridyl
536	ib(id).	NH	S	*	6	2-(iso-propylthio)-6-methyl-3-pyridyl
537	ib(id).	NH	S	*	7	2-(iso-propylthio)-6-methyl-3-pyridyl
538	ib(id).	NH	S	*	8	2-(iso-propylthio)-6-methyl-3-pyridyl
539	ib(id).	NH	S	*	9	2-(iso-propylthio)-6-methyl-3-pyridyl
540	ib(id).	NH	S	*	14	2-(iso-propylthio)-6-methyl-3-pyridyl

* : Single Bond

[Table 2 8]

Compound No.	A	X	Y	Z	n	Het
5 4 1		O	S	*	1	2, 6-dimethoxyl-3-pyridyl
5 4 2	ib(id).	O	S	*	2	2, 6-dimethoxyl-3-pyridyl
5 4 3	ib(id).	O	S	*	3	2, 6-dimethoxyl-3-pyridyl
5 4 4	ib(id).	O	S	*	4	2, 6-dimethoxyl-3-pyridyl
5 4 5	ib(id).	O	S	*	5	2, 6-dimethoxyl-3-pyridyl
5 4 6	ib(id).	O	S	*	6	2, 6-dimethoxyl-3-pyridyl
5 4 7	ib(id).	O	S	*	7	2, 6-dimethoxyl-3-pyridyl
5 4 8	ib(id).	O	S	*	8	2, 6-dimethoxyl-3-pyridyl
5 4 9	ib(id).	O	S	*	9	2, 6-dimethoxyl-3-pyridyl
5 5 0	ib(id).	O	S	*	1 4	2, 6-dimethoxyl-3-pyridyl
5 5 1	ib(id).	S	S	*	1	2, 6-dimethoxyl-3-pyridyl
5 5 2	ib(id).	S	S	*	2	2, 6-dimethoxyl-3-pyridyl
5 5 3	ib(id).	S	S	*	3	2, 6-dimethoxyl-3-pyridyl
5 5 4	ib(id).	S	S	*	4	2, 6-dimethoxyl-3-pyridyl
5 5 5	ib(id).	S	S	*	5	2, 6-dimethoxyl-3-pyridyl
5 5 6	ib(id).	S	S	*	6	2, 6-dimethoxyl-3-pyridyl
5 5 7	ib(id).	S	S	*	7	2, 6-dimethoxyl-3-pyridyl
5 5 8	ib(id).	S	S	*	8	2, 6-dimethoxyl-3-pyridyl
5 5 9	ib(id).	S	S	*	9	2, 6-dimethoxyl-3-pyridyl
5 6 0	ib(id).	S	S	*	1 4	2, 6-dimethoxyl-3-pyridyl

* : Single Bond

[Table 29]

Compound No.	A	X	Y	Z	n	Het
561		NH	S	*	1	2,6-dimethoxyl-3-pyridyl
562	ib(id).	NH	S	*	2	2,6-dimethoxyl-3-pyridyl
563	ib(id).	NH	S	*	3	2,6-dimethoxyl-3-pyridyl
564	ib(id).	NH	S	*	4	2,6-dimethoxyl-3-pyridyl
565	ib(id).	NH	S	*	5	2,6-dimethoxyl-3-pyridyl
566	ib(id).	NH	S	*	6	2,6-dimethoxyi-3-pyridyl
567	ib(id).	NH	S	*	7	2,6-dimethoxyl-3-pyridyl
568	ib(id).	NH	S	*	8	2,6-dimethoxyl-3-pyridyl
569	ib(id).	NH	S	*	9	2,6-dimethoxyl-3-pyridyl
570	ib(id).	NH	S	*	14	2,6-dimethoxyl-3-pyridyl
571	ib(id).	O	S	*	1	2-methoxy-6-methyl-3-pyridyl
572	ib(id).	O	S	*	2	2-methoxy-6-methyl-3-pyridyl
573	ib(id).	O	S	*	3	2-methoxy-6-methyl-3-pyridyl
574	ib(id).	O	S	*	4	2-methoxy-6-methyl-3-pyridyl
575	ib(id).	O	S	*	5	2-methoxy-6-methyl-3-pyridyl
576	ib(id).	O	S	*	6	2-methoxy-6-methyl-3-pyridyl
577	ib(id).	O	S	*	7	2-methoxy-6-methyl-3-pyridyl
578	ib(id).	O	S	*	8	2-methoxy-6-methyl-3-pyridyl
579	ib(id).	O	S	*	9	2-methoxy-6-methyl-3-pyridyl
580	ib(id).	O	S	*	14	2-methoxy-6-methyl-3-pyridyl

* : Single Bond

[Table 3 0]

Compound No.	A	X	Y	Z	n	Het
581		S	S	*	1	2-methoxy-6-methyl-3-pyridyl
582	ib(id).	S	S	*	2	2-methoxy-6-methyl-3-pyridyl
583	ib(id).	S	S	*	3	2-methoxy-6-methyl-3-pyridyl
584	ib(id).	S	S	*	4	2-methoxy-6-methyl-3-pyridyl
585	ib(id).	S	S	*	5	2-methoxy-6-methyl-3-pyridyl
586	ib(id).	S	S	*	6	2-methoxy-6-methyl-3-pyridyl
587	ib(id).	S	S	*	7	2-methoxy-6-methyl-3-pyridyl
588	ib(id).	S	S	*	8	2-methoxy-6-methyl-3-pyridyl
589	ib(id).	S	S	*	9	2-methoxy-6-methyl-3-pyridyl
590	ib(id).	S	S	*	14	2-methoxy-6-methyl-3-pyridyl
591	ib(id).	NH	S	*	1	2-methoxy-6-methyl-3-pyridyl
592	ib(id).	NH	S	*	2	2-methoxy-6-methyl-3-pyridyl
593	ib(id).	NH	S	*	3	2-methoxy-6-methyl-3-pyridyl
594	ib(id).	NH	S	*	4	2-methoxy-6-methyl-3-pyridyl
595	ib(id).	NH	S	*	5	2-methoxy-6-methyl-3-pyridyl
596	ib(id).	NH	S	*	6	2-methoxy-6-methyl-3-pyridyl
597	ib(id).	NH	S	*	7	2-methoxy-6-methyl-3-pyridyl
598	ib(id).	NH	S	*	8	2-methoxy-6-methyl-3-pyridyl
599	ib(id).	NH	S	*	9	2-methoxy-6-methyl-3-pyridyl
600	ib(id).	NH	S	*	14	2-methoxy-6-methyl-3-pyridyl

* : Single Bond

[Table 3 1]

Compound No.	A	X	Y	Z	n	Het
601		O	S	*	1	2-methyl-6-methythio-3-pyridyl
602	ib(id).	O	S	*	2	2-methyl-6-methythio-3-pyridyl
603	ib(id).	O	S	*	3	2-methyl-6-methythio-3-pyridyl
604	ib(id).	O	S	*	4	2-methyl-6-methythio-3-pyridyl
605	ib(id).	O	S	*	5	2-methyl-6-methythio-3-pyridyl
606	ib(id).	O	S	*	6	2-methyl-6-methythio-3-pyridyl
607	ib(id).	O	S	*	7	2-methyl-6-methythio-3-pyridyl
608	ib(id).	O	S	*	8	2-methyl-6-methythio-3-pyridyl
609	ib(id).	O	S	*	9	2-methyl-6-methythio-3-pyridyl
610	ib(id).	O	S	*	14	2-methyl-6-methythio-3-pyridyl
611	ib(id).	S	S	*	1	2-methyl-6-methythio-3-pyridyl
612	ib(id).	S	S	*	2	2-methyl-6-methythio-3-pyridyl
613	ib(id).	S	S	*	3	2-methyl-6-methythio-3-pyridyl
614	ib(id).	S	S	*	4	2-methyl-6-methythio-3-pyridyl
615	ib(id).	S	S	*	5	2-methyl-6-methythio-3-pyridyl
616	ib(id).	S	S	*	6	2-methyl-6-methythio-3-pyridyl
617	ib(id).	S	S	*	7	2-methyl-6-methythio-3-pyridyl
618	ib(id).	S	S	*	8	2-methyl-6-methythio-3-pyridyl
619	ib(id).	S	S	*	9	2-methyl-6-methythio-3-pyridyl
620	ib(id).	S	S	*	14	2-methyl-6-methythio-3-pyridyl

* : Single Bond

[Table 3 2]

Compound No.	A	X	Y	Z	n	Het
621		NH	S	*	1	2-methyl-6-methythio-3-pyridyl
622	ib(id).	NH	S	*	2	2-methyl-6-methythio-3-pyridyl
623	ib(id).	NH	S	*	3	2-methyl-6-methythio-3-pyridyl
624	ib(id).	NH	S	*	4	2-methyl-6-methythio-3-pyridyl
625	ib(id).	NH	S	*	5	2-methyl-6-methythio-3-pyridyl
626	ib(id).	NH	S	*	6	2-methyl-6-methythio-3-pyridyl
627	ib(id).	NH	S	*	7	2-methyl-6-methythio-3-pyridyl
628	ib(id).	NH	S	*	8	2-methyl-6-methythio-3-pyridyl
629	ib(id).	NH	S	*	9	2-methyl-6-methythio-3-pyridyl
630	ib(id).	NH	S	*	14	2-methyl-6-methythio-3-pyridyl
631	ib(id).	O	S	*	1	2-methyl-6-ethythio-3-pyridyl
632	ib(id).	O	S	*	2	2-methyl-6-ethythio-3-pyridyl
633	ib(id).	O	S	*	3	2-methyl-6-ethythio-3-pyridyl
634	ib(id).	O	S	*	4	2-methyl-6-ethythio-3-pyridyl
635	ib(id).	O	S	*	5	2-methyl-6-ethythio-3-pyridyl
636	ib(id).	O	S	*	6	2-methyl-6-ethythio-3-pyridyl
637	ib(id).	O	S	*	7	2-methyl-6-ethythio-3-pyridyl
638	ib(id).	O	S	*	8	2-methyl-6-ethythio-3-pyridyl
639	ib(id).	O	S	*	9	2-methyl-6-ethythio-3-pyridyl
640	ib(id).	O	S	*	14	2-methyl-6-ethythio-3-pyridyl

* : Single Bond

[Table 3 3]

Compound No.	A	X	Y	Z	n	Het
641		S	S	*	1	2-methyl-6-ethythio-3-pyridyl
642	ib(id).	S	S	*	2	2-methyl-6-ethythio-3-pyridyl
643	ib(id).	S	S	*	3	2-methyl-6-ethythio-3-pyridyl
644	ib(id).	S	S	*	4	2-methyl-6-ethythio-3-pyridyl
645	ib(id).	S	S	*	5	2-methyl-6-ethythio-3-pyridyl
646	ib(id).	S	S	*	6	2-methyl-6-ethythio-3-pyridyl
647	ib(id).	S	S	*	7	2-methyl-6-ethythio-3-pyridyl
648	ib(id).	S	S	*	8	2-methyl-6-ethythio-3-pyridyl
649	ib(id).	S	S	*	9	2-methyl-6-ethythio-3-pyridyl
650	ib(id).	S	S	*	14	2-methyl-6-ethythio-3-pyridyl
651	ib(id).	NH	S	*	1	2-methyl-6-ethythio-3-pyridyl
652	ib(id).	NH	S	*	2	2-methyl-6-ethythio-3-pyridyl
653	ib(id).	NH	S	*	3	2-methyl-6-ethythio-3-pyridyl
654	ib(id).	NH	S	*	4	2-methyl-6-ethythio-3-pyridyl
655	ib(id).	NH	S	*	5	2-methyl-6-ethythio-3-pyridyl
656	ib(id).	NH	S	*	6	2-methyl-6-ethythio-3-pyridyl
657	ib(id).	NH	S	*	7	2-methyl-6-ethythio-3-pyridyl
658	ib(id).	NH	S	*	8	2-methyl-6-ethythio-3-pyridyl
659	ib(id).	NH	S	*	9	2-methyl-6-ethythio-3-pyridyl
660	ib(id).	NH	S	*	14	2-methyl-6-ethythio-3-pyridyl

* : Single Bond

[Table 3 4]

Compound No.	A	X	Y	Z	n	Het
661		O	S	*	1	2-methyl-6-(iso-propylthio)-3-pyridyl
662	ib(id).	O	S	*	2	2-methyl-6-(iso-propylthio)-3-pyridyl
663	ib(id).	O	S	*	3	2-methyl-6-(iso-propylthio)-3-pyridyl
664	ib(id).	O	S	*	4	2-methyl-6-(iso-propylthio)-3-pyridyl
665	ib(id).	O	S	*	5	2-methyl-6-(iso-propylthio)-3-pyridyl
666	ib(id).	O	S	*	6	2-methyl-6-(iso-propylthio)-3-pyridyl
667	ib(id).	O	S	*	7	2-methyl-6-(iso-propylthio)-3-pyridyl
668	ib(id).	O	S	*	8	2-methyl-6-(iso-propylthio)-3-pyridyl
669	ib(id).	O	S	*	9	2-methyl-6-(iso-propylthio)-3-pyridyl
670	ib(id).	O	S	*	14	2-methyl-6-(iso-propylthio)-3-pyridyl
671	ib(id).	S	S	*	1	2-methyl-6-(iso-propylthio)-3-pyridyl
672	ib(id).	S	S	*	2	2-methyl-6-(iso-propylthio)-3-pyridyl
673	ib(id).	S	S	*	3	2-methyl-6-(iso-propylthio)-3-pyridyl
674	ib(id).	S	S	*	4	2-methyl-6-(iso-propylthio)-3-pyridyl
675	ib(id).	S	S	*	5	2-methyl-6-(iso-propylthio)-3-pyridyl
676	ib(id).	S	S	*	6	2-methyl-6-(iso-propylthio)-3-pyridyl
677	ib(id).	S	S	*	7	2-methyl-6-(iso-propylthio)-3-pyridyl
678	ib(id).	S	S	*	8	2-methyl-6-(iso-propylthio)-3-pyridyl
679	ib(id).	S	S	*	9	2-methyl-6-(iso-propylthio)-3-pyridyl
680	ib(id).	S	S	*	14	2-methyl-6-(iso-propylthio)-3-pyridyl

* : Single Bond

[Table 3 5]

Compound No.	A	X	Y	Z	n	Het
681		NH	S	*	1	2-methyl-6-(iso-propylthio)-3-pyridyl
682	ib(id).	NH	S	*	2	2-methyl-6-(iso-propylthio)-3-pyridyl
683	ib(id).	NH	S	*	3	2-methyl-6-(iso-propylthio)-3-pyridyl
684	ib(id).	NH	S	*	4	2-methyl-6-(iso-propylthio)-3-pyridyl
685	ib(id).	NH	S	*	5	2-methyl-6-(iso-propylthio)-3-pyridyl
686	ib(id).	NH	S	*	6	2-methyl-6-(iso-propylthio)-3-pyridyl
687	ib(id).	NH	S	*	7	2-methyl-6-(iso-propylthio)-3-pyridyl
688	ib(id).	NH	S	*	8	2-methyl-6-(iso-propylthio)-3-pyridyl
689	ib(id).	NH	S	*	9	2-methyl-6-(iso-propylthio)-3-pyridyl
690	ib(id).	NH	S	*	14	2-methyl-6-(iso-propylthio)-3-pyridyl
691	ib(id).	O	S	*	1	2-methyl-6-mehoxy-3-pyridyl
692	ib(id).	O	S	*	2	2-methyl-6-mehoxy-3-pyridyl
693	ib(id).	O	S	*	3	2-methyl-6-mehoxy-3-pyridyl
694	ib(id).	O	S	*	4	2-methyl-6-mehoxy-3-pyridyl
695	ib(id).	O	S	*	5	2-methyl-6-mehoxy-3-pyridyl
696	ib(id).	O	S	*	6	2-methyl-6-mehoxy-3-pyridyl
697	ib(id).	O	S	*	7	2-methyl-6-mehoxy-3-pyridyl
698	ib(id).	O	S	*	8	2-methyl-6-mehoxy-3-pyridyl
699	ib(id).	O	S	*	9	2-methyl-6-mehoxy-3-pyridyl
700	ib(id).	O	S	*	14	2-methyl-6-mehoxy-3-pyridyl

* : Single Bond

[Table 3 6]

Compound No.	A	X	Y	Z	n	Het
701		S	S	*	1	2-methyl-6-mehoxy-3-pyridyl
702	ib(id).	S	S	*	2	2-methyl-6-mehoxy-3-pyridyl
703	ib(id).	S	S	*	3	2-methyl-6-mehoxy-3-pyridyl
704	ib(id).	S	S	*	4	2-methyl-6-mehoxy-3-pyridyl
705	ib(id).	S	S	*	5	2-methyl-6-mehoxy-3-pyridyl
706	ib(id).	S	S	*	6	2-methyl-6-mehoxy-3-pyridyl
707	ib(id).	S	S	*	7	2-methyl-6-mehoxy-3-pyridyl
708	ib(id).	S	S	*	8	2-methyl-6-mehoxy-3-pyridyl
709	ib(id).	S	S	*	9	2-methyl-6-mehoxy-3-pyridyl
710	ib(id).	S	S	*	14	2-methyl-6-mehoxy-3-pyridyl
711	ib(id).	NH	S	*	1	2-methyl-6-mehoxy-3-pyridyl
712	ib(id).	NH	S	*	2	2-methyl-6-mehoxy-3-pyridyl
713	ib(id).	NH	S	*	3	2-methyl-6-mehoxy-3-pyridyl
714	ib(id).	NH	S	*	4	2-methyl-6-mehoxy-3-pyridyl
715	ib(id).	NH	S	*	5	2-methyl-6-mehoxy-3-pyridyl
716	ib(id).	NH	S	*	6	2-methyl-6-mehoxy-3-pyridyl
717	ib(id).	NH	S	*	7	2-methyl-6-mehoxy-3-pyridyl
718	ib(id).	NH	S	*	8	2-methyl-6-mehoxy-3-pyridyl
719	ib(id).	NH	S	*	9	2-methyl-6-mehoxy-3-pyridyl
720	ib(id).	NH	S	*	14	2-methyl-6-mehoxy-3-pyridyl

* : Single Bond

[Table 3 7]

Compound No.	A	X	Y	Z	n	Het
721		O	S	*	1	2, 6-dimethyl-3-pyridyl
722	ib(id).	O	S	*	2	2, 6-dimethyl-3-pyridyl
723	ib(id).	O	S	*	3	2, 6-dimethyl-3-pyridyl
724	ib(id).	O	S	*	4	2, 6-dimethyl-3-pyridyl
725	ib(id).	O	S	*	5	2, 6-dimethyl-3-pyridyl
726	ib(id).	O	S	*	6	2, 6-dimethyl-3-pyridyl
727	ib(id).	O	S	*	7	2, 6-dimethyl-3-pyridyl
728	ib(id).	O	S	*	8	2, 6-dimethyl-3-pyridyl
729	ib(id).	O	S	*	9	2, 6-dimethyl-3-pyridyl
730	ib(id).	O	S	*	14	2, 6-dimethyl-3-pyridyl
731	ib(id).	S	S	*	1	2, 6-dimethyl-3-pyridyl
732	ib(id).	S	S	*	2	2, 6-dimethyl-3-pyridyl
733	ib(id).	S	S	*	3	2, 6-dimethyl-3-pyridyl
734	ib(id).	S	S	*	4	2, 6-dimethyl-3-pyridyl
735	ib(id).	S	S	*	5	2, 6-dimethyl-3-pyridyl
736	ib(id).	S	S	*	6	2, 6-dimethyl-3-pyridyl
737	ib(id).	S	S	*	7	2, 6-dimethyl-3-pyridyl
738	ib(id).	S	S	*	8	2, 6-dimethyl-3-pyridyl
739	ib(id).	S	S	*	9	2, 6-dimethyl-3-pyridyl
740	ib(id).	S	S	*	14	2, 6-dimethyl-3-pyridyl

* : Single Bond

[Table 3 8]

Compound No.	A	X	Y	Z	n	Het
741		NH	S	*	1	2, 6-dimethyl-3-pyridyl
742	ib(id).	NH	S	*	2	2, 6-dimethyl-3-pyridyl
743	ib(id).	NH	S	*	3	2, 6-dimethyl-3-pyridyl
744	ib(id).	NH	S	*	4	2, 6-dimethyl-3-pyridyl
745	ib(id).	NH	S	*	5	2, 6-dimethyl-3-pyridyl
746	ib(id).	NH	S	*	6	2, 6-dimethyl-3-pyridyl
747	ib(id).	NH	S	*	7	2, 6-dimethyl-3-pyridyl
748	ib(id).	NH	S	*	8	2, 6-dimethyl-3-pyridyl
749	ib(id).	NH	S	*	9	2, 6-dimethyl-3-pyridyl
750	ib(id).	NH	S	*	14	2, 6-dimethyl-3-pyridyl
751	ib(id).	O	S	*	1	2, 6-diethyl-3-pyridyl
752	ib(id).	O	S	*	2	2, 6-diethyl-3-pyridyl
753	ib(id).	O	S	*	3	2, 6-diethyl-3-pyridyl
754	ib(id).	O	S	*	4	2, 6-diethyl-3-pyridyl
755	ib(id).	O	S	*	5	2, 6-diethyl-3-pyridyl
756	ib(id).	O	S	*	6	2, 6-diethyl-3-pyridyl
757	ib(id).	O	S	*	7	2, 6-diethyl-3-pyridyl
758	ib(id).	O	S	*	8	2, 6-diethyl-3-pyridyl
759	ib(id).	O	S	*	9	2, 6-diethyl-3-pyridyl
760	ib(id).	O	S	*	14	2, 6-diethyl-3-pyridyl

* : Single Bond

[Table 39]

Compound No.	A	X	Y	Z	n	Het
761		S	S	*	1	2,6-diethyl-3-pyridyl
762	ib(id).	S	S	*	2	2,6-diethyl-3-pyridyl
763	ib(id).	S	S	*	3	2,6-diethyl-3-pyridyl
764	ib(id).	S	S	*	4	2,6-diethyl-3-pyridyl
765	ib(id).	S	S	*	5	2,6-diethyl-3-pyridyl
766	ib(id).	S	S	*	6	2,6-diethyl-3-pyridyl
767	ib(id).	S	S	*	7	2,6-diethyl-3-pyridyl
768	ib(id).	S	S	*	8	2,6-diethyl-3-pyridyl
769	ib(id).	S	S	*	9	2,6-diethyl-3-pyridyl
770	ib(id).	S	S	*	14	2,6-diethyl-3-pyridyl
771	ib(id).	NH	S	*	1	2,6-diethyl-3-pyridyl
772	ib(id).	NH	S	*	2	2,6-diethyl-3-pyridyl
773	ib(id).	NH	S	*	3	2,6-diethyl-3-pyridyl
774	ib(id).	NH	S	*	4	2,6-diethyl-3-pyridyl
775	ib(id).	NH	S	*	5	2,6-diethyl-3-pyridyl
776	ib(id).	NH	S	*	6	2,6-diethyl-3-pyridyl
777	ib(id).	NH	S	*	7	2,6-diethyl-3-pyridyl
778	ib(id).	NH	S	*	8	2,6-diethyl-3-pyridyl
779	ib(id).	NH	S	*	9	2,6-diethyl-3-pyridyl
780	ib(id).	NH	S	*	14	2,6-diethyl-3-pyridyl

* : Single Bond

[Table 4 0]

Compound No.	A	X	Y	Z	n	Het
781		O	S	*	1	2, 4-bismethylthio-6-methyl-3-pyridyl
782	ib(id).	O	S	*	2	2, 4-bismethylthio-6-methyl-3-pyridyl
783	ib(id).	O	S	*	3	2, 4-bismethylthio-6-methyl-3-pyridyl
784	ib(id).	O	S	*	4	2, 4-bismethylthio-6-methyl-3-pyridyl
785	ib(id).	O	S	*	5	2, 4-bismethylthio-6-methyl-3-pyridyl
786	ib(id).	O	S	*	6	2, 4-bismethylthio-6-methyl-3-pyridyl
787	ib(id).	O	S	*	7	2, 4-bismethylthio-6-methyl-3-pyridyl
788	ib(id).	O	S	*	8	2, 4-bismethylthio-6-methyl-3-pyridyl
789	ib(id).	O	S	*	9	2, 4-bismethylthio-6-methyl-3-pyridyl
790	ib(id).	O	S	*	14	2, 4-bismethylthio-6-methyl-3-pyridyl
791	ib(id).	S	S	*	1	2, 4-bismethylthio-6-methyl-3-pyridyl
792	ib(id).	S	S	*	2	2, 4-bismethylthio-6-methyl-3-pyridyl
793	ib(id).	S	S	*	3	2, 4-bismethylthio-6-methyl-3-pyridyl
794	ib(id).	S	S	*	4	2, 4-bismethylthio-6-methyl-3-pyridyl
795	ib(id).	S	S	*	5	2, 4-bismethylthio-6-methyl-3-pyridyl
796	ib(id).	S	S	*	6	2, 4-bismethylthio-6-methyl-3-pyridyl
797	ib(id).	S	S	*	7	2, 4-bismethylthio-6-methyl-3-pyridyl
798	ib(id).	S	S	*	8	2, 4-bismethylthio-6-methyl-3-pyridyl
799	ib(id).	S	S	*	9	2, 4-bismethylthio-6-methyl-3-pyridyl
800	ib(id).	S	S	*	14	2, 4-bismethylthio-6-methyl-3-pyridyl

* : Single Bond

[Table 4 1]

Compound No.	A	X	Y	Z	n	Het
801		NH	S	*	1	2, 4-bismethylthio-6-methyl-3-pyridyl
802	ib(id).	NH	S	*	2	2, 4-bismethylthio-6-methyl-3-pyridyl
803	ib(id).	NH	S	*	3	2, 4-bismethylthio-6-methyl-3-pyridyl
804	ib(id).	NH	S	*	4	2, 4-bismethylthio-6-methyl-3-pyridyl
805	ib(id).	NH	S	*	5	2, 4-bismethylthio-6-methyl-3-pyridyl
806	ib(id).	NH	S	*	6	2, 4-bismethylthio-6-methyl-3-pyridyl
807	ib(id).	NH	S	*	7	2, 4-bismethylthio-6-methyl-3-pyridyl
808	ib(id).	NH	S	*	8	2, 4-bismethylthio-6-methyl-3-pyridyl
809	ib(id).	NH	S	*	9	2, 4-bismethylthio-6-methyl-3-pyridyl
810	ib(id).	NH	S	*	14	2, 4-bismethylthio-6-methyl-3-pyridyl
811	ib(id).	O	S	*	1	2, 4-bisethylthio-6-methyl-3-pyridyl
812	ib(id).	O	S	*	2	2, 4-bisethylthio-6-methyl-3-pyridyl
813	ib(id).	O	S	*	3	2, 4-bisethylthio-6-methyl-3-pyridyl
814	ib(id).	O	S	*	4	2, 4-bisethylthio-6-methyl-3-pyridyl
815	ib(id).	O	S	*	5	2, 4-bisethylthio-6-methyl-3-pyridyl
816	ib(id).	O	S	*	6	2, 4-bisethylthio-6-methyl-3-pyridyl
817	ib(id).	O	S	*	7	2, 4-bisethylthio-6-methyl-3-pyridyl
818	ib(id).	O	S	*	8	2, 4-bisethylthio-6-methyl-3-pyridyl
819	ib(id).	O	S	*	9	2, 4-bisethylthio-6-methyl-3-pyridyl
820	ib(id).	O	S	*	14	2, 4-bisethylthio-6-methyl-3-pyridyl

* : Single Bond

[Table 4 2]

Compound No.	A	X	Y	Z	n	Het
821		S	S	*	1	2, 4-bisethylthio-6-methyl-3-pyridyl
822	ib(id).	S	S	*	2	2, 4-bisethylthio-6-methyl-3-pyridyl
823	ib(id).	S	S	*	3	2, 4-bisethylthio-6-methyl-3-pyridyl
824	ib(id).	S	S	*	4	2, 4-bisethylthio-6-methyl-3-pyridyl
825	ib(id).	S	S	*	5	2, 4-bisethylthio-6-methyl-3-pyridyl
826	ib(id).	S	S	*	6	2, 4-bisethylthio-6-methyl-3-pyridyl
827	ib(id).	S	S	*	7	2, 4-bisethylthio-6-methyl-3-pyridyl
828	ib(id).	S	S	*	8	2, 4-bisethylthio-6-methyl-3-pyridyl
829	ib(id).	S	S	*	9	2, 4-bisethylthio-6-methyl-3-pyridyl
830	ib(id).	S	S	*	14	2, 4-bisethylthio-6-methyl-3-pyridyl
831	ib(id).	NH	S	*	1	2, 4-bisethylthio-6-methyl-3-pyridyl
832	ib(id).	NH	S	*	2	2, 4-bisethylthio-6-methyl-3-pyridyl
833	ib(id).	NH	S	*	3	2, 4-bisethylthio-6-methyl-3-pyridyl
834	ib(id).	NH	S	*	4	2, 4-bisethylthio-6-methyl-3-pyridyl
835	ib(id).	NH	S	*	5	2, 4-bisethylthio-6-methyl-3-pyridyl
836	ib(id).	NH	S	*	6	2, 4-bisethylthio-6-methyl-3-pyridyl
837	ib(id).	NH	S	*	7	2, 4-bisethylthio-6-methyl-3-pyridyl
838	ib(id).	NH	S	*	8	2, 4-bisethylthio-6-methyl-3-pyridyl
839	ib(id).	NH	S	*	9	2, 4-bisethylthio-6-methyl-3-pyridyl
840	ib(id).	NH	S	*	14	2, 4-bisethylthio-6-methyl-3-pyridyl

* : Single Bond

[Table 4 3]

Compound No.	A	X	Y	Z	n	Het
841		O	S	*	1	2, 4-bis(iso-propylthio)-6-methyl-3-pyridyl
842	ib(id).	O	S	*	2	2, 4-bis(iso-propylthio)-6-methyl-3-pyridyl
843	ib(id).	O	S	*	3	2, 4-bis(iso-propylthio)-6-methyl-3-pyridyl
844	ib(id).	O	S	*	4	2, 4-bis(iso-propylthio)-6-methyl-3-pyridyl
845	ib(id).	O	S	*	5	2, 4-bis(iso-propylthio)-6-methyl-3-pyridyl
846	ib(id).	O	S	*	6	2, 4-bis(iso-propylthio)-6-methyl-3-pyridyl
847	ib(id).	O	S	*	7	2, 4-bis(iso-propylthio)-6-methyl-3-pyridyl
848	ib(id).	O	S	*	8	2, 4-bis(iso-propylthio)-6-methyl-3-pyridyl
849	ib(id).	O	S	*	9	2, 4-bis(iso-propylthio)-6-methyl-3-pyridyl
850	ib(id).	O	S	*	14	2, 4-bis(iso-propylthio)-6-methyl-3-pyridyl
851	ib(id).	S	S	*	1	2, 4-bis(iso-propylthio)-6-methyl-3-pyridyl
852	ib(id).	S	S	*	2	2, 4-bis(iso-propylthio)-6-methyl-3-pyridyl
853	ib(id).	S	S	*	3	2, 4-bis(iso-propylthio)-6-methyl-3-pyridyl
854	ib(id).	S	S	*	4	2, 4-bis(iso-propylthio)-6-methyl-3-pyridyl
855	ib(id).	S	S	*	5	2, 4-bis(iso-propylthio)-6-methyl-3-pyridyl
856	ib(id).	S	S	*	6	2, 4-bis(iso-propylthio)-6-methyl-3-pyridyl
857	ib(id).	S	S	*	7	2, 4-bis(iso-propylthio)-6-methyl-3-pyridyl
858	ib(id).	S	S	*	8	2, 4-bis(iso-propylthio)-6-methyl-3-pyridyl
859	ib(id).	S	S	*	9	2, 4-bis(iso-propylthio)-6-methyl-3-pyridyl
860	ib(id).	S	S	*	14	2, 4-bis(iso-propylthio)-6-methyl-3-pyridyl

* : Single Bond

[Table 4 4]

Compound No.	A	X	Y	Z	n	Het
861		NH	S	*	1	2, 4-bis(iso-propylthio)-6-methyl-3-pyridyl
862	ib(id).	NH	S	*	2	2, 4-bis(iso-propylthio)-6-methyl-3-pyridyl
863	ib(id).	NH	S	*	3	2, 4-bis(iso-propylthio)-6-methyl-3-pyridyl
864	ib(id).	NH	S	*	4	2, 4-bis(iso-propylthio)-6-methyl-3-pyridyl
865	ib(id).	NH	S	*	5	2, 4-bis(iso-propylthio)-6-methyl-3-pyridyl
866	ib(id).	NH	S	*	6	2, 4-bis(iso-propylthio)-6-methyl-3-pyridyl
867	ib(id).	NH	S	*	7	2, 4-bis(iso-propylthio)-6-methyl-3-pyridyl
868	ib(id).	NH	S	*	8	2, 4-bis(iso-propylthio)-6-methyl-3-pyridyl
869	ib(id).	NH	S	*	9	2, 4-bis(iso-propylthio)-6-methyl-3-pyridyl
870	ib(id).	NH	S	*	14	2, 4-bis(iso-propylthio)-6-methyl-3-pyridyl
871	ib(id).	O	S	*	1	2, 4-dimethoxy-6-methyl-3-pyridyl
872	ib(id).	O	S	*	2	2, 4-dimethoxy-6-methyl-3-pyridyl
873	ib(id).	O	S	*	3	2, 4-dimethoxy-6-methyl-3-pyridyl
874	ib(id).	O	S	*	4	2, 4-dimethoxy-6-methyl-3-pyridyl
875	ib(id).	O	S	*	5	2, 4-dimethoxy-6-methyl-3-pyridyl
876	ib(id).	O	S	*	6	2, 4-dimethoxy-6-methyl-3-pyridyl
877	ib(id).	O	S	*	7	2, 4-dimethoxy-6-methyl-3-pyridyl
878	ib(id).	O	S	*	8	2, 4-dimethoxy-6-methyl-3-pyridyl
879	ib(id).	O	S	*	9	2, 4-dimethoxy-6-methyl-3-pyridyl
880	ib(id).	O	S	*	14	2, 4-dimethoxy-6-methyl-3-pyridyl

* : Single Bond

[Table 45]

Compound No.	A	X	Y	Z	n	Het
881		S	S	*	1	2,4-dimethoxy-6-methyl-3-pyridyl
882	ib(id).	S	S	*	2	2,4-dimethoxy-6-methyl-3-pyridyl
883	ib(id).	S	S	*	3	2,4-dimethoxy-6-methyl-3-pyridyl
884	ib(id).	S	S	*	4	2,4-dimethoxy-6-methyl-3-pyridyl
885	ib(id).	S	S	*	5	2,4-dimethoxy-6-methyl-3-pyridyl
886	ib(id).	S	S	*	6	2,4-dimethoxy-6-methyl-3-pyridyl
887	ib(id).	S	S	*	7	2,4-dimethoxy-6-methyl-3-pyridyl
888	ib(id).	S	S	*	8	2,4-dimethoxy-6-methyl-3-pyridyl
889	ib(id).	S	S	*	9	2,4-dimethoxy-6-methyl-3-pyridyl
890	ib(id).	S	S	*	14	2,4-dimethoxy-6-methyl-3-pyridyl
891	ib(id).	NH	S	*	1	2,4-dimethoxy-6-methyl-3-pyridyl
892	ib(id).	NH	S	*	2	2,4-dimethoxy-6-methyl-3-pyridyl
893	ib(id).	NH	S	*	3	2,4-dimethoxy-6-methyl-3-pyridyl
894	ib(id).	NH	S	*	4	2,4-dimethoxy-6-methyl-3-pyridyl
895	ib(id).	NH	S	*	5	2,4-dimethoxy-6-methyl-3-pyridyl
896	ib(id).	NH	S	*	6	2,4-dimethoxy-6-methyl-3-pyridyl
897	ib(id).	NH	S	*	7	2,4-dimethoxy-6-methyl-3-pyridyl
898	ib(id).	NH	S	*	8	2,4-dimethoxy-6-methyl-3-pyridyl
899	ib(id).	NH	S	*	9	2,4-dimethoxy-6-methyl-3-pyridyl
900	ib(id).	NH	S	*	14	2,4-dimethoxy-6-methyl-3-pyridyl

* : Single Bond

[Table 4 6]

Compound No.	A	X	Y	Z	n	Het
901		O	S	*	1	2, 4, 6-trimethyl-3-pyridyl
902	ib(id).	O	S	*	2	2, 4, 6-trimethyl-3-pyridyl
903	ib(id).	O	S	*	3	2, 4, 6-trimethyl-3-pyridyl
904	ib(id).	O	S	*	4	2, 4, 6-trimethyl-3-pyridyl
905	ib(id).	O	S	*	5	2, 4, 6-trimethyl-3-pyridyl
906	ib(id).	O	S	*	6	2, 4, 6-trimethyl-3-pyridyl
907	ib(id).	O	S	*	7	2, 4, 6-trimethyl-3-pyridyl
908	ib(id).	O	S	*	8	2, 4, 6-trimethyl-3-pyridyl
909	ib(id).	O	S	*	9	2, 4, 6-trimethyl-3-pyridyl
910	ib(id).	O	S	*	14	2, 4, 6-trimethyl-3-pyridyl
911	ib(id).	S	S	*	1	2, 4, 6-trimethyl-3-pyridyl
912	ib(id).	S	S	*	2	2, 4, 6-trimethyl-3-pyridyl
913	ib(id).	S	S	*	3	2, 4, 6-trimethyl-3-pyridyl
914	ib(id).	S	S	*	4	2, 4, 6-trimethyl-3-pyridyl
915	ib(id).	S	S	*	5	2, 4, 6-trimethyl-3-pyridyl
916	ib(id).	S	S	*	6	2, 4, 6-trimethyl-3-pyridyl
917	ib(id).	S	S	*	7	2, 4, 6-trimethyl-3-pyridyl
918	ib(id).	S	S	*	8	2, 4, 6-trimethyl-3-pyridyl
919	ib(id).	S	S	*	9	2, 4, 6-trimethyl-3-pyridyl
920	ib(id).	S	S	*	14	2, 4, 6-trimethyl-3-pyridyl

* : Single Bond

[Table 47]

Compound No.	A	X	Y	Z	n	Het
921		NH	S	*	1	2, 4, 6-trimethyl-3-pyridyl
922	ib(id).	NH	S	*	2	2, 4, 6-trimethyl-3-pyridyl
923	ib(id).	NH	S	*	3	2, 4, 6-trimethyl-3-pyridyl
924	ib(id).	NH	S	*	4	2, 4, 6-trimethyl-3-pyridyl
925	ib(id).	NH	S	*	5	2, 4, 6-trimethyl-3-pyridyl
926	ib(id).	NH	S	*	6	2, 4, 6-trimethyl-3-pyridyl
927	ib(id).	NH	S	*	7	2, 4, 6-trimethyl-3-pyridyl
928	ib(id).	NH	S	*	8	2, 4, 6-trimethyl-3-pyridyl
929	ib(id).	NH	S	*	9	2, 4, 6-trimethyl-3-pyridyl
930	ib(id).	NH	S	*	14	2, 4, 6-trimethyl-3-pyridyl
931	ib(id).	O	S	*	1	4-ethyl-2, 6-dimethyl-3-pyridyl
932	ib(id).	O	S	*	2	4-ethyl-2, 6-dimethyl-3-pyridyl
933	ib(id).	O	S	*	3	4-ethyl-2, 6-dimethyl-3-pyridyl
934	ib(id).	O	S	*	4	4-ethyl-2, 6-dimethyl-3-pyridyl
935	ib(id).	O	S	*	5	4-ethyl-2, 6-dimethyl-3-pyridyl
936	ib(id).	O	S	*	6	4-ethyl-2, 6-dimethyl-3-pyridyl
937	ib(id).	O	S	*	7	4-ethyl-2, 6-dimethyl-3-pyridyl
938	ib(id).	O	S	*	8	4-ethyl-2, 6-dimethyl-3-pyridyl
939	ib(id).	O	S	*	9	4-ethyl-2, 6-dimethyl-3-pyridyl
940	ib(id).	O	S	*	14	4-ethyl-2, 6-dimethyl-3-pyridyl

* : Single Bond

[Table 4 8]

Compound No.	A	X	Y	Z	n	Het
941		S	S	*	1	4-ethyl-2, 6-dimethyl-3-pyridyl
942	ib(id).	S	S	*	2	4-ethyl-2, 6-dimethyl-3-pyridyl
943	ib(id).	S	S	*	3	4-ethyl-2, 6-dimethyl-3-pyridyl
944	ib(id).	S	S	*	4	4-ethyl-2, 6-dimethyl-3-pyridyl
945	ib(id).	S	S	*	5	4-ethyl-2, 6-dimethyl-3-pyridyl
946	ib(id).	S	S	*	6	4-ethyl-2, 6-dimethyl-3-pyridyl
947	ib(id).	S	S	*	7	4-ethyl-2, 6-dimethyl-3-pyridyl
948	ib(id).	S	S	*	8	4-ethyl-2, 6-dimethyl-3-pyridyl
949	ib(id).	S	S	*	9	4-ethyl-2, 6-dimethyl-3-pyridyl
950	ib(id).	S	S	*	14	4-ethyl-2, 6-dimethyl-3-pyridyl
951	ib(id).	NH	S	*	1	4-ethyl-2, 6-dimethyl-3-pyridyl
952	ib(id).	NH	S	*	2	4-ethyl-2, 6-dimethyl-3-pyridyl
953	ib(id).	NH	S	*	3	4-ethyl-2, 6-dimethyl-3-pyridyl
954	ib(id).	NH	S	*	4	4-ethyl-2, 6-dimethyl-3-pyridyl
955	ib(id).	NH	S	*	5	4-ethyl-2, 6-dimethyl-3-pyridyl
956	ib(id).	NH	S	*	6	4-ethyl-2, 6-dimethyl-3-pyridyl
957	ib(id).	NH	S	*	7	4-ethyl-2, 6-dimethyl-3-pyridyl
958	ib(id).	NH	S	*	8	4-ethyl-2, 6-dimethyl-3-pyridyl
959	ib(id).	NH	S	*	9	4-ethyl-2, 6-dimethyl-3-pyridyl
960	ib(id).	NH	S	*	14	4-ethyl-2, 6-dimethyl-3-pyridyl

* : Single Bond

[Table 4 9]

Compound No.	A	X	Y	Z	n	Het
961		O	S	*	1	2, 4-dichloro-6-methyl-3-pyridyl
962	ib(id).	O	S	*	2	2, 4-dichloro-6-methyl-3-pyridyl
963	ib(id).	O	S	*	3	2, 4-dichloro-6-methyl-3-pyridyl
964	ib(id).	O	S	*	4	2, 4-dichloro-6-methyl-3-pyridyl
965	ib(id).	O	S	*	5	2, 4-dichloro-6-methyl-3-pyridyl
966	ib(id).	O	S	*	6	2, 4-dichloro-6-methyl-3-pyridyl
967	ib(id).	O	S	*	7	2, 4-dichloro-6-methyl-3-pyridyl
968	ib(id).	O	S	*	8	2, 4-dichloro-6-methyl-3-pyridyl
969	ib(id).	O	S	*	9	2, 4-dichloro-6-methyl-3-pyridyl
970	ib(id).	O	S	*	14	2, 4-dichloro-6-methyl-3-pyridyl
971	ib(id).	S	S	*	1	2, 4-dichloro-6-methyl-3-pyridyl
972	ib(id).	S	S	*	2	2, 4-dichloro-6-methyl-3-pyridyl
973	ib(id).	S	S	*	3	2, 4-dichloro-6-methyl-3-pyridyl
974	ib(id).	S	S	*	4	2, 4-dichloro-6-methyl-3-pyridyl
975	ib(id).	S	S	*	5	2, 4-dichloro-6-methyl-3-pyridyl
976	ib(id).	S	S	*	6	2, 4-dichloro-6-methyl-3-pyridyl
977	ib(id).	S	S	*	7	2, 4-dichloro-6-methyl-3-pyridyl
978	ib(id).	S	S	*	8	2, 4-dichloro-6-methyl-3-pyridyl
979	ib(id).	S	S	*	9	2, 4-dichloro-6-methyl-3-pyridyl
980	ib(id).	S	S	*	14	2, 4-dichloro-6-methyl-3-pyridyl

* : Single Bond

[Table 5 0]

Compound No.	A	X	Y	Z	n	Het
9 8 1		NH	S	*	1	2, 4-dichloro-6-methyl-3-pyridyl
9 8 2	ib(id).	NH	S	*	2	2, 4-dichloro-6-methyl-3-pyridyl
9 8 3	ib(id).	NH	S	*	3	2, 4-dichloro-6-methyl-3-pyridyl
9 8 4	ib(id).	NH	S	*	4	2, 4-dichloro-6-methyl-3-pyridyl
9 8 5	ib(id).	NH	S	*	5	2, 4-dichloro-6-methyl-3-pyridyl
9 8 6	ib(id).	NH	S	*	6	2, 4-dichloro-6-methyl-3-pyridyl
9 8 7	ib(id).	NH	S	*	7	2, 4-dichloro-6-methyl-3-pyridyl
9 8 8	ib(id).	NH	S	*	8	2, 4-dichloro-6-methyl-3-pyridyl
9 8 9	ib(id).	NH	S	*	9	2, 4-dichloro-6-methyl-3-pyridyl
9 9 0	ib(id).	NH	S	*	1 4	2, 4-dichloro-6-methyl-3-pyridyl
9 9 1	ib(id).	O	S	*	1	4, 6-bismethylthio-5-pyrimidyl
9 9 2	ib(id).	O	S	*	2	4, 6-bismethylthio-5-pyrimidyl
9 9 3	ib(id).	O	S	*	3	4, 6-bismethylthio-5-pyrimidyl
9 9 4	ib(id).	O	S	*	4	4, 6-bismethylthio-5-pyrimidyl
9 9 5	ib(id).	O	S	*	5	4, 6-bismethylthio-5-pyrimidyl
9 9 6	ib(id).	O	S	*	6	4, 6-bismethylthio-5-pyrimidyl
9 9 7	ib(id).	O	S	*	7	4, 6-bismethylthio-5-pyrimidyl
9 9 8	ib(id).	O	S	*	8	4, 6-bismethylthio-5-pyrimidyl
9 9 9	ib(id).	O	S	*	9	4, 6-bismethylthio-5-pyrimidyl
1 0 0 0	ib(id).	O	S	*	1 4	4, 6-bismethylthio-5-pyrimidyl

* : Single Bond

[Table 5 1]

Compound No.	A	X	Y	Z	n	Het
1001		S	S	*	1	4, 6-bismethylthio-5-pyrimidyl
1002	ib(id).	S	S	*	2	4, 6-bismethylthio-5-pyrimidyl
1003	ib(id).	S	S	*	3	4, 6-bismethylthio-5-pyrimidyl
1004	ib(id).	S	S	*	4	4, 6-bismethylthio-5-pyrimidyl
1005	ib(id).	S	S	*	5	4, 6-bismethylthio-5-pyrimidyl
1006	ib(id).	S	S	*	6	4, 6-bismethylthio-5-pyrimidyl
1007	ib(id).	S	S	*	7	4, 6-bismethylthio-5-pyrimidyl
1008	ib(id).	S	S	*	8	4, 6-bismethylthio-5-pyrimidyl
1009	ib(id).	S	S	*	9	4, 6-bismethylthio-5-pyrimidyl
1010	ib(id).	S	S	*	14	4, 6-bismethylthio-5-pyrimidyl
1011	ib(id).	NH	S	*	1	4, 6-bismethylthio-5-pyrimidyl
1012	ib(id).	NH	S	*	2	4, 6-bismethylthio-5-pyrimidyl
1013	ib(id).	NH	S	*	3	4, 6-bismethylthio-5-pyrimidyl
1014	ib(id).	NH	S	*	4	4, 6-bismethylthio-5-pyrimidyl
1015	ib(id).	NH	S	*	5	4, 6-bismethylthio-5-pyrimidyl
1016	ib(id).	NH	S	*	6	4, 6-bismethylthio-5-pyrimidyl
1017	ib(id).	NH	S	*	7	4, 6-bismethylthio-5-pyrimidyl
1018	ib(id).	NH	S	*	8	4, 6-bismethylthio-5-pyrimidyl
1019	ib(id).	NH	S	*	9	4, 6-bismethylthio-5-pyrimidyl
1020	ib(id).	NH	S	*	14	4, 6-bismethylthio-5-pyrimidyl

* : Single Bond

[Table 5 2]

Compound No.	A	X	Y	Z	n	Het
1021		O	S	*	1	4, 6-bisethylthio-5-pyrimidyl
1022	ib(id).	O	S	*	2	4, 6-bisethylthio-5-pyrimidyl
1023	ib(id).	O	S	*	3	4, 6-bisethylthio-5-pyrimidyl
1024	ib(id).	O	S	*	4	4, 6-bisethylthio-5-pyrimidyl
1025	ib(id).	O	S	*	5	4, 6-bisethylthio-5-pyrimidyl
1026	ib(id).	O	S	*	6	4, 6-bisethylthio-5-pyrimidyl
1027	ib(id).	O	S	*	7	4, 6-bisethylthio-5-pyrimidyl
1028	ib(id).	O	S	*	8	4, 6-bisethylthio-5-pyrimidyl
1029	ib(id).	O	S	*	9	4, 6-bisethylthio-5-pyrimidyl
1030	ib(id).	O	S	*	14	4, 6-bisethylthio-5-pyrimidyl
1031	ib(id).	S	S	*	1	4, 6-bisethylthio-5-pyrimidyl
1032	ib(id).	S	S	*	2	4, 6-bisethylthio-5-pyrimidyl
1033	ib(id).	S	S	*	3	4, 6-bisethylthio-5-pyrimidyl
1034	ib(id).	S	S	*	4	4, 6-bisethylthio-5-pyrimidyl
1035	ib(id).	S	S	*	5	4, 6-bisethylthio-5-pyrimidyl
1036	ib(id).	S	S	*	6	4, 6-bisethylthio-5-pyrimidyl
1037	ib(id).	S	S	*	7	4, 6-bisethylthio-5-pyrimidyl
1038	ib(id).	S	S	*	8	4, 6-bisethylthio-5-pyrimidyl
1039	ib(id).	S	S	*	9	4, 6-bisethylthio-5-pyrimidyl
1040	ib(id).	S	S	*	14	4, 6-bisethylthio-5-pyrimidyl

* : Single Bond

[Table 5 3]

Compound No.	A	X	Y	Z	n	Het
1041		NH	S	*	1	4, 6-bisethylthio-5-pyrimidyl
1042	ib(id).	NH	S	*	2	4, 6-bisethylthio-5-pyrimidyl
1043	ib(id).	NH	S	*	3	4, 6-bisethylthio-5-pyrimidyl
1044	ib(id).	NH	S	*	4	4, 6-bisethylthio-5-pyrimidyl
1045	ib(id).	NH	S	*	5	4, 6-bisethylthio-5-pyrimidyl
1046	ib(id).	NH	S	*	6	4, 6-bisethylthio-5-pyrimidyl
1047	ib(id).	NH	S	*	7	4, 6-bisethylthio-5-pyrimidyl
1048	ib(id).	NH	S	*	8	4, 6-bisethylthio-5-pyrimidyl
1049	ib(id).	NH	S	*	9	4, 6-bisethylthio-5-pyrimidyl
1050	ib(id).	NH	S	*	14	4, 6-bisethylthio-5-pyrimidyl
1051	ib(id).	O	S	*	1	4, 6-bis(iso-propylthio)-5-pyrimidyl
1052	ib(id).	O	S	*	2	4, 6-bis(iso-propylthio)-5-pyrimidyl
1053	ib(id).	O	S	*	3	4, 6-bis(iso-propylthio)-5-pyrimidyl
1054	ib(id).	O	S	*	4	4, 6-bis(iso-propylthio)-5-pyrimidyl
1055	ib(id).	O	S	*	5	4, 6-bis(iso-propylthio)-5-pyrimidyl
1056	ib(id).	O	S	*	6	4, 6-bis(iso-propylthio)-5-pyrimidyl
1057	ib(id).	O	S	*	7	4, 6-bis(iso-propylthio)-5-pyrimidyl
1058	ib(id).	O	S	*	8	4, 6-bis(iso-propylthio)-5-pyrimidyl
1059	ib(id).	O	S	*	9	4, 6-bis(iso-propylthio)-5-pyrimidyl
1060	ib(id).	O	S	*	14	4, 6-bis(iso-propylthio)-5-pyrimidyl

* : Single Bond

[Table 5 4]

Compound No.	A	X	Y	Z	n	Het
1061		S	S	*	1	4, 6-bis(iso-propylthio)-5-pyrimidyl
1062	ib(id).	S	S	*	2	4, 6-bis(iso-propylthio)-5-pyrimidyl
1063	ib(id).	S	S	*	3	4, 6-bis(iso-propylthio)-5-pyrimidyl
1064	ib(id).	S	S	*	4	4, 6-bis(iso-propylthio)-5-pyrimidyl
1065	ib(id).	S	S	*	5	4, 6-bis(iso-propylthio)-5-pyrimidyl
1066	ib(id).	S	S	*	6	4, 6-bis(iso-propylthio)-5-pyrimidyl
1067	ib(id).	S	S	*	7	4, 6-bis(iso-propylthio)-5-pyrimidyl
1068	ib(id).	S	S	*	8	4, 6-bis(iso-propylthio)-5-pyrimidyl
1069	ib(id).	S	S	*	9	4, 6-bis(iso-propylthio)-5-pyrimidyl
1070	ib(id).	S	S	*	14	4, 6-bis(iso-propylthio)-5-pyrimidyl
1071	ib(id).	NH	S	*	1	4, 6-bis(iso-propylthio)-5-pyrimidyl
1072	ib(id).	NH	S	*	2	4, 6-bis(iso-propylthio)-5-pyrimidyl
1073	ib(id).	NH	S	*	3	4, 6-bis(iso-propylthio)-5-pyrimidyl
1074	ib(id).	NH	S	*	4	4, 6-bis(iso-propylthio)-5-pyrimidyl
1075	ib(id).	NH	S	*	5	4, 6-bis(iso-propylthio)-5-pyrimidyl
1076	ib(id).	NH	S	*	6	4, 6-bis(iso-propylthio)-5-pyrimidyl
1077	ib(id).	NH	S	*	7	4, 6-bis(iso-propylthio)-5-pyrimidyl
1078	ib(id).	NH	S	*	8	4, 6-bis(iso-propylthio)-5-pyrimidyl
1079	ib(id).	NH	S	*	9	4, 6-bis(iso-propylthio)-5-pyrimidyl
1080	ib(id).	NH	S	*	14	4, 6-bis(iso-propylthio)-5-pyrimidyl

* : Single Bond

[Table 5 5]

Compound No.	A	X	Y	Z	n	Het
1081		O	S	*	1	4, 6-dimethoxy-5-pyrimidyl
1082	ib(id).	O	S	*	2	4, 6-dimethoxy-5-pyrimidyl
1083	ib(id).	O	S	*	3	4, 6-dimethoxy-5-pyrimidyl
1084	ib(id).	O	S	*	4	4, 6-dimethoxy-5-pyrimidyl
1085	ib(id).	O	S	*	5	4, 6-dimethoxy-5-pyrimidyl
1086	ib(id).	O	S	*	6	4, 6-dimethoxy-5-pyrimidyl
1087	ib(id).	O	S	*	7	4, 6-dimethoxy-5-pyrimidyl
1088	ib(id).	O	S	*	8	4, 6-dimethoxy-5-pyrimidyl
1089	ib(id).	O	S	*	9	4, 6-dimethoxy-5-pyrimidyl
1090	ib(id).	O	S	*	14	4, 6-dimethoxy-5-pyrimidyl
1091	ib(id).	S	S	*	1	4, 6-dimethoxy-5-pyrimidyl
1092	ib(id).	S	S	*	2	4, 6-dimethoxy-5-pyrimidyl
1093	ib(id).	S	S	*	3	4, 6-dimethoxy-5-pyrimidyl
1094	ib(id).	S	S	*	4	4, 6-dimethoxy-5-pyrimidyl
1095	ib(id).	S	S	*	5	4, 6-dimethoxy-5-pyrimidyl
1096	ib(id).	S	S	*	6	4, 6-dimethoxy-5-pyrimidyl
1097	ib(id).	S	S	*	7	4, 6-dimethoxy-5-pyrimidyl
1098	ib(id).	S	S	*	8	4, 6-dimethoxy-5-pyrimidyl
1099	ib(id).	S	S	*	9	4, 6-dimethoxy-5-pyrimidyl
1100	ib(id).	S	S	*	14	4, 6-dimethoxy-5-pyrimidyl

* : Single Bond

[Table 5 6]

Compound No.	A	X	Y	Z	n	Het
1101		NH	S	*	1	4, 6-dichloro-2-methyl-5-pyrimidyl
1102	ib(id).	NH	S	*	2	4, 6-dichloro-2-methyl-5-pyrimidyl
1103	ib(id).	NH	S	*	3	4, 6-dichloro-2-methyl-5-pyrimidyl
1104	ib(id).	NH	S	*	4	4, 6-dichloro-2-methyl-5-pyrimidyl
1105	ib(id).	NH	S	*	5	4, 6-dichloro-2-methyl-5-pyrimidyl
1106	ib(id).	NH	S	*	6	4, 6-dichloro-2-methyl-5-pyrimidyl
1107	ib(id).	NH	S	*	7	4, 6-dichloro-2-methyl-5-pyrimidyl
1108	ib(id).	NH	S	*	8	4, 6-dichloro-2-methyl-5-pyrimidyl
1109	ib(id).	NH	S	*	9	4, 6-dichloro-2-methyl-5-pyrimidyl
1110	ib(id).	NH	S	*	14	4, 6-dichloro-2-methyl-5-pyrimidyl
1111	ib(id).	O	S	*	1	4, 6-bis(dimethylamino)-5-pyrimidyl
1112	ib(id).	O	S	*	2	4, 6-bis(dimethylamino)-5-pyrimidyl
1113	ib(id).	O	S	*	3	4, 6-bis(dimethylamino)-5-pyrimidyl
1114	ib(id).	O	S	*	4	4, 6-bis(dimethylamino)-5-pyrimidyl
1115	ib(id).	O	S	*	5	4, 6-bis(dimethylamino)-5-pyrimidyl
1116	ib(id).	O	S	*	6	4, 6-bis(dimethylamino)-5-pyrimidyl
1117	ib(id).	O	S	*	7	4, 6-bis(dimethylamino)-5-pyrimidyl
1118	ib(id).	O	S	*	8	4, 6-bis(dimethylamino)-5-pyrimidyl
1119	ib(id).	O	S	*	9	4, 6-bis(dimethylamino)-5-pyrimidyl
1120	ib(id).	O	S	*	14	4, 6-bis(dimethylamino)-5-pyrimidyl

* : Single Bond

[Table 5 7]

Compound No.	A	X	Y	Z	n	Het
1121		S	S	*	1	4, 6-bis(dimethylamino)-5-pyrimidyl
1122	ib(id).	S	S	*	2	4, 6-bis(dimethylamino)-5-pyrimidyl
1123	ib(id).	S	S	*	3	4, 6-bis(dimethylamino)-5-pyrimidyl
1124	ib(id).	S	S	*	4	4, 6-bis(dimethylamino)-5-pyrimidyl
1125	ib(id).	S	S	*	5	4, 6-bis(dimethylamino)-5-pyrimidyl
1126	ib(id).	S	S	*	6	4, 6-bis(dimethylamino)-5-pyrimidyl
1127	ib(id).	S	S	*	7	4, 6-bis(dimethylamino)-5-pyrimidyl
1128	ib(id).	S	S	*	8	4, 6-bis(dimethylamino)-5-pyrimidyl
1129	ib(id).	S	S	*	9	4, 6-bis(dimethylamino)-5-pyrimidyl
1130	ib(id).	S	S	*	14	4, 6-bis(dimethylamino)-5-pyrimidyl
1131	ib(id).	NH	S	*	1	4, 6-bis(dimethylamino)-5-pyrimidyl
1132	ib(id).	NH	S	*	2	4, 6-bis(dimethylamino)-5-pyrimidyl
1133	ib(id).	NH	S	*	3	4, 6-bis(dimethylamino)-5-pyrimidyl
1134	ib(id).	NH	S	*	4	4, 6-bis(dimethylamino)-5-pyrimidyl
1135	ib(id).	NH	S	*	5	4, 6-bis(dimethylamino)-5-pyrimidyl
1136	ib(id).	NH	S	*	6	4, 6-bis(dimethylamino)-5-pyrimidyl
1137	ib(id).	NH	S	*	7	4, 6-bis(dimethylamino)-5-pyrimidyl
1138	ib(id).	NH	S	*	8	4, 6-bis(dimethylamino)-5-pyrimidyl
1139	ib(id).	NH	S	*	9	4, 6-bis(dimethylamino)-5-pyrimidyl
1140	ib(id).	NH	S	*	14	4, 6-bis(dimethylamino)-5-pyrimidyl

* : Single Bond

[Table 5 8]

Compound No.	A	X	Y	Z	n	Het
1141		O	S	*	1	4,6-bismethylthio-2-methyl-5-pyrimidyl
1142	ib(id).	O	S	*	2	4,6-bismethylthio-2-methyl-5-pyrimidyl
1143	ib(id).	O	S	*	3	4,6-bismethylthio-2-methyl-5-pyrimidyl
1144	ib(id).	O	S	*	4	4,6-bismethylthio-2-methyl-5-pyrimidyl
1145	ib(id).	O	S	*	5	4,6-bismethylthio-2-methyl-5-pyrimidyl
1146	ib(id).	O	S	*	6	4,6-bismethylthio-2-methyl-5-pyrimidyl
1147	ib(id).	O	S	*	7	4,6-bismethylthio-2-methyl-5-pyrimidyl
1148	ib(id).	O	S	*	8	4,6-bismethylthio-2-methyl-5-pyrimidyl
1149	ib(id).	O	S	*	9	4,6-bismethylthio-2-methyl-5-pyrimidyl
1150	ib(id).	O	S	*	14	4,6-bismethylthio-2-methyl-5-pyrimidyl
1151	ib(id).	S	S	*	1	4,6-bismethylthio-2-methyl-5-pyrimidyl
1152	ib(id).	S	S	*	2	4,6-bismethylthio-2-methyl-5-pyrimidyl
1153	ib(id).	S	S	*	3	4,6-bismethylthio-2-methyl-5-pyrimidyl
1154	ib(id).	S	S	*	4	4,6-bismethylthio-2-methyl-5-pyrimidyl
1155	ib(id).	S	S	*	5	4,6-bismethylthio-2-methyl-5-pyrimidyl
1156	ib(id).	S	S	*	6	4,6-bismethylthio-2-methyl-5-pyrimidyl
1157	ib(id).	S	S	*	7	4,6-bismethylthio-2-methyl-5-pyrimidyl
1158	ib(id).	S	S	*	8	4,6-bismethylthio-2-methyl-5-pyrimidyl
1159	ib(id).	S	S	*	9	4,6-bismethylthio-2-methyl-5-pyrimidyl
1160	ib(id).	S	S	*	14	4,6-bismethylthio-2-methyl-5-pyrimidyl

* : Single Bond

[Table 5 9]

Compound No.	A	X	Y	Z	n	Het
1161		NH	S	*	1	4, 6-bismethylthio-2-methyl-5-pyrimidyl
1162	ib(id).	NH	S	*	2	4, 6-bismethylthio-2-methyl-5-pyrimidyl
1163	ib(id).	NH	S	*	3	4, 6-bismethylthio-2-methyl-5-pyrimidyl
1164	ib(id).	NH	S	*	4	4, 6-bismethylthio-2-methyl-5-pyrimidyl
1165	ib(id).	NH	S	*	5	4, 6-bismethylthio-2-methyl-5-pyrimidyl
1166	ib(id).	NH	S	*	6	4, 6-bismethylthio-2-methyl-5-pyrimidyl
1167	ib(id).	NH	S	*	7	4, 6-bismethylthio-2-methyl-5-pyrimidyl
1168	ib(id).	NH	S	*	8	4, 6-bismethylthio-2-methyl-5-pyrimidyl
1169	ib(id).	NH	S	*	9	4, 6-bismethylthio-2-methyl-5-pyrimidyl
1170	ib(id).	NH	S	*	14	4, 6-bismethylthio-2-methyl-5-pyrimidyl
1171	ib(id).	O	S	*	1	2, 4, 6-trimethoxy-5-pyrimidyl
1172	ib(id).	O	S	*	2	2, 4, 6-trimethoxy-5-pyrimidyl
1173	ib(id).	O	S	*	3	2, 4, 6-trimethoxy-5-pyrimidyl
1174	ib(id).	O	S	*	4	2, 4, 6-trimethoxy-5-pyrimidyl
1175	ib(id).	O	S	*	5	2, 4, 6-trimethoxy-5-pyrimidyl
1176	ib(id).	O	S	*	6	2, 4, 6-trimethoxy-5-pyrimidyl
1177	ib(id).	O	S	*	7	2, 4, 6-trimethoxy-5-pyrimidyl
1178	ib(id).	O	S	*	8	2, 4, 6-trimethoxy-5-pyrimidyl
1179	ib(id).	O	S	*	9	2, 4, 6-trimethoxy-5-pyrimidyl
1180	ib(id).	O	S	*	14	2, 4, 6-trimethoxy-5-pyrimidyl

* : Single Bond

[Table 60]

Compound No.	A	X	Y	Z	n	Het
1181		S	S	*	1	2,4,6-trimethoxy-5-pyrimidyl
1182	ib(id).	S	S	*	2	2,4,6-trimethoxy-5-pyrimidyl
1183	ib(id).	S	S	*	3	2,4,6-trimethoxy-5-pyrimidyl
1184	ib(id).	S	S	*	4	2,4,6-trimethoxy-5-pyrimidyl
1185	ib(id).	S	S	*	5	2,4,6-trimethoxy-5-pyrimidyl
1186	ib(id).	S	S	*	6	2,4,6-trimethoxy-5-pyrimidyl
1187	ib(id).	S	S	*	7	2,4,6-trimethoxy-5-pyrimidyl
1188	ib(id).	S	S	*	8	2,4,6-trimethoxy-5-pyrimidyl
1189	ib(id).	S	S	*	9	2,4,6-trimethoxy-5-pyrimidyl
1190	ib(id).	S	S	*	14	2,4,6-trimethoxy-5-pyrimidyl
1191	ib(id).	NH	S	*	1	2,4,6-trimethoxy-5-pyrimidyl
1192	ib(id).	NH	S	*	2	2,4,6-trimethoxy-5-pyrimidyl
1193	ib(id).	NH	S	*	3	2,4,6-trimethoxy-5-pyrimidyl
1194	ib(id).	NH	S	*	4	2,4,6-trimethoxy-5-pyrimidyl
1195	ib(id).	NH	S	*	5	2,4,6-trimethoxy-5-pyrimidyl
1196	ib(id).	NH	S	*	6	2,4,6-trimethoxy-5-pyrimidyl
1197	ib(id).	NH	S	*	7	2,4,6-trimethoxy-5-pyrimidyl
1198	ib(id).	NH	S	*	8	2,4,6-trimethoxy-5-pyrimidyl
1199	ib(id).	NH	S	*	9	2,4,6-trimethoxy-5-pyrimidyl
1200	ib(id).	NH	S	*	14	2,4,6-trimethoxy-5-pyrimidyl

* : Single Bond

[Table 6 1]

Compound No.	A	X	Y	Z	n	Het
1201		O	SO	*	5	2-methylthio-3-pyridyl
1202	ib(id).	O	SO_2	*	5	2-methylthio-3-pyridyl
1203	ib(id).	O	NH	*	5	2-methylthio-3-pyridyl
1204	ib(id).	S	SO	*	5	2-methylthio-3-pyridyl
1205	ib(id).	S	SO_2	*	5	2-methylthio-3-pyridyl
1206	ib(id).	S	NH	*	5	2-methylthio-3-pyridyl
1207	ib(id).	NH	SO	*	5	2-methylthio-3-pyridyl
1208	ib(id).	NH	SO_2	*	5	2-methylthio-3-pyridyl
1209	ib(id).	NH	NH	*	5	2-methylthio-3-pyridyl
1210	ib(id).	O	SO	NH	6	2-methylthio-3-pyridyl
1211	ib(id).	O	SO_2	NH	6	2-methylthio-3-pyridyl
1212	ib(id).	O	NH	NH	6	2-methylthio-3-pyridyl
1213	ib(id).	S	SO	NH	6	2-methylthio-3-pyridyl
1214	ib(id).	S	SO_2	NH	6	2-methylthio-3-pyridyl
1215	ib(id).	S	NH	NH	6	2-methylthio-3-pyridyl
1216	ib(id).	NH	SO	NH	6	2-methylthio-3-pyridyl
1217	ib(id).	NH	SO_2	NH	6	2-methylthio-3-pyridyl
1218	ib(id).	NH	NH	NH	6	2-methylthio-3-pyridyl

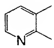
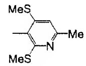
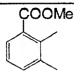
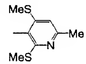
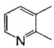
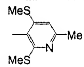
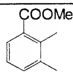
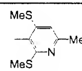
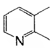
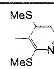
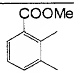
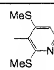
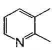
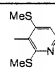
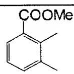
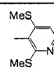
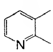
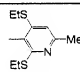
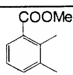
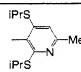
* : Single Bond

[Table 62]

Compound No.	A	X	Y	Z	n	Het
1219		O	SO	*	5	2,4-bismethylthio-6-methyl-3-pyridyl
1220	ib(id).	O	SO_2	*	5	2,4-bismethylthio-6-methyl-3-pyridyl
1221	ib(id).	O	NH	*	5	2,4-bismethylthio-6-methyl-3-pyridyl
1222	ib(id).	S	SO	*	5	2,4-bismethylthio-6-methyl-3-pyridyl
1223	ib(id).	S	SO_2	*	5	2,4-bismethylthio-6-methyl-3-pyridyl
1224	ib(id).	S	NH	*	5	2,4-bismethylthio-6-methyl-3-pyridyl
1225	ib(id).	NH	SO	*	5	2,4-bismethylthio-6-methyl-3-pyridyl
1226	ib(id).	NH	SO_2	*	5	2,4-bismethylthio-6-methyl-3-pyridyl
1227	ib(id).	NH	NH	*	5	2,4-bismethylthio-6-methyl-3-pyridyl
1228	ib(id).	O	SO	NH	6	2,4-bismethylthio-6-methyl-3-pyridyl
1229	ib(id).	O	SO_2	NH	6	2,4-bismethylthio-6-methyl-3-pyridyl
1230	ib(id).	O	NH	NH	6	2,4-bismethylthio-6-methyl-3-pyridyl
1231	ib(id).	S	SO	NH	6	2,4-bismethylthio-6-methyl-3-pyridyl
1232	ib(id).	S	SO_2	NH	6	2,4-bismethylthio-6-methyl-3-pyridyl
1233	ib(id).	S	NH	NH	6	2,4-bismethylthio-6-methyl-3-pyridyl
1234	ib(id).	NH	SO	NH	6	2,4-bismethylthio-6-methyl-3-pyridyl
1235	ib(id).	NH	SO_2	NH	6	2,4-bismethylthio-6-methyl-3-pyridyl
1236	ib(id).	NH	NH	NH	6	2,4-bismethylthio-6-methyl-3-pyridyl

* : Single Bond

[Table6 3]

Compound No.		X	Y	Z	n	Het
1237		O	S	Single Bond	5	
1238		O	S	Single Bond	5	
1239		O	S	Single Bond	8	
1240		O	S	Single Bond	8	
1241		O	S	Single Bond	5	
1242		O	S	Single Bond	5	
1243		O	S	Single Bond	8	
1244		O	S	Single Bond	8	
1245		S	S	Single Bond	1	
1246		NH	S	Single Bond	1	

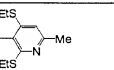
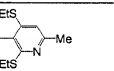
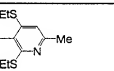
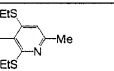
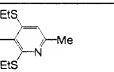
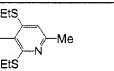
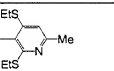
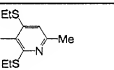
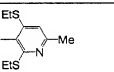
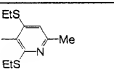
[Table 6 4]

Compound No.	A	X	Y	Z	n	Het
1247		O	S	Single Bond	1	
1248		O	S	Single Bond	2	
1249		O	S	Single Bond	3	
1250		O	S	Single Bond	4	
1251		O	S	Single Bond	5	
1252		O	S	Single Bond	6	
1253		O	S	Single Bond	7	
1254		O	S	Single Bond	8	
1255		O	S	Single Bond	9	
1256		O	S	Single Bond	14	

[Table 6 5]

Compound No.	A	X	Y	Z	n	Het
1257		O	S	Single Bond	1	
1258		O	S	Single Bond	2	
1259		O	S	Single Bond	3	
1260		O	S	Single Bond	4	
1261		O	S	Single Bond	5	
1262		O	S	Single Bond	6	
1263		O	S	Single Bond	7	
1264		O	S	Single Bond	8	
1265		O	S	Single Bond	9	
1266		O	S	Single Bond	14	

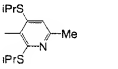
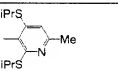
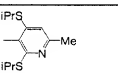
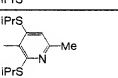
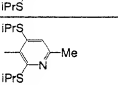
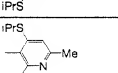
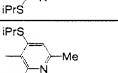
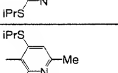
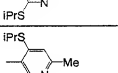
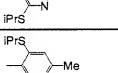
[Table66]

Compound No.	A	X	Y	Z	n	Het
1267		O	S	Single Bond	1	
1268		O	S	Single Bond	2	
1269		O	S	Single Bond	3	
1270		O	S	Single Bond	4	
1271		O	S	Single Bond	5	
1272		O	S	Single Bond	6	
1273		O	S	Single Bond	7	
1274		O	S	Single Bond	8	
1275		O	S	Single Bond	9	
1276		O	S	Single Bond	14	

[Table 67]

Compound No.	A	X	Y	Z	n	Het
1277		O	S	Single Bond	1	
1278		O	S	Single Bond	2	
1279		O	S	Single Bond	3	
1280		O	S	Single Bond	4	
1281		O	S	Single Bond	5	
1282		O	S	Single Bond	6	
1283		O	S	Single Bond	7	
1284		O	S	Single Bond	8	
1285		O	S	Single Bond	9	
1286		O	S	Single Bond	14	

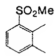
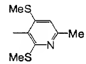
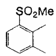
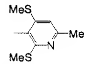
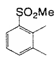
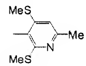
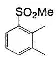
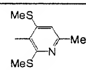
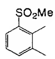
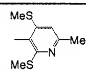
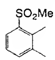
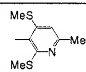
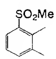
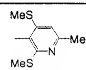
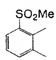
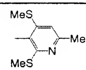
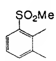
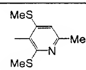
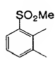
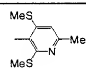
[Table 6 8]

Compound No.	A	X	Y	Z	n	Het
1287		O	S	Single Bond	1	
1288		O	S	Single Bond	2	
1289		O	S	Single Bond	3	
1290		O	S	Single Bond	4	
1291		O	S	Single Bond	5	
1292		O	S	Single Bond	6	
1293		O	S	Single Bond	7	
1294		O	S	Single Bond	8	
1295		O	S	Single Bond	9	
1296		O	S	Single Bond	14	

[Table 69]

Compound No.	A	X	Y	Z	n	Het
1297		O	S	Single Bond	1	
1298		O	S	Single Bond	2	
1299		O	S	Single Bond	3	
1300		O	S	Single Bond	4	
1301		O	S	Single Bond	5	
1302		O	S	Single Bond	6	
1303		O	S	Single Bond	7	
1304		O	S	Single Bond	8	
1305		O	S	Single Bond	9	
1306		O	S	Single Bond	14	

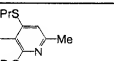
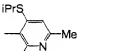
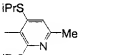
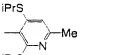
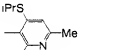
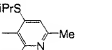
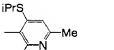
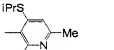
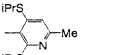
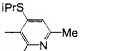
[Table 7 0]

Compound No.		X	Y	Z	n	Het
1 3 0 7		O	S	Single Bond	1	
1 3 0 8		O	S	Single Bond	2	
1 3 0 9		O	S	Single Bond	3	
1 3 1 0		O	S	Single Bond	4	
1 3 1 1		O	S	Single Bond	5	
1 3 1 2		O	S	Single Bond	6	
1 3 1 3		O	S	Single Bond	7	
1 3 1 4		O	S	Single Bond	8	
1 3 1 5		O	S	Single Bond	9	
1 3 1 6		O	S	Single Bond	1 4	

[Table 7 1]

Compound No.	A	X	Y	Z	n	Het
1317		O	S	Single Bond	1	
1318		O	S	Single Bond	2	
1319		O	S	Single Bond	3	
1320		O	S	Single Bond	4	
1321		O	S	Single Bond	5	
1322		O	S	Single Bond	6	
1323		O	S	Single Bond	7	
1324		O	S	Single Bond	8	
1325		O	S	Single Bond	9	
1326		O	S	Single Bond	14	

[Table 72]

Compound No.	A	X	Y	Z	n	Het
1327		O	S	Single Bond	1	
1328		O	S	Single Bond	2	
1329		O	S	Single Bond	3	
1330		O	S	Single Bond	4	
1331		O	S	Single Bond	5	
1332		O	S	Single Bond	6	
1333		O	S	Single Bond	7	
1334		O	S	Single Bond	8	
1335		O	S	Single Bond	9	
1336		O	S	Single Bond	14	

[Table 7 3]

Compound No.	A	X	Y	Z	n	Het
1337		O	S	*	1	4-methyl-6-methylthio-3-pyridyl
1338	ib(id).	O	S	*	2	4-methyl-6-methylthio-3-pyridyl
1339	ib(id).	O	S	*	3	4-methyl-6-methylthio-3-pyridyl
1340	ib(id).	O	S	*	4	4-methyl-6-methylthio-3-pyridyl
1341	ib(id).	O	S	*	5	4-methyl-6-methylthio-3-pyridyl
1342	ib(id).	O	S	*	6	4-methyl-6-methylthio-3-pyridyl
1343	ib(id).	O	S	*	7	4-methyl-6-methylthio-3-pyridyl
1344	ib(id).	O	S	*	8	4-methyl-6-methylthio-3-pyridyl
1345	ib(id).	O	S	*	9	4-methyl-6-methylthio-3-pyridyl
1346	ib(id).	O	S	*	14	4-methyl-6-methylthio-3-pyridyl
1347	ib(id).	S	S	*	1	4-methyl-6-methylthio-3-pyridyl
1348	ib(id).	S	S	*	2	4-methyl-6-methylthio-3-pyridyl
1349	ib(id).	S	S	*	3	4-methyl-6-methylthio-3-pyridyl
1350	ib(id).	S	S	*	4	4-methyl-6-methylthio-3-pyridyl
1351	ib(id).	S	S	*	5	4-methyl-6-methylthio-3-pyridyl
1352	ib(id).	S	S	*	6	4-methyl-6-methylthio-3-pyridyl
1353	ib(id).	S	S	*	7	4-methyl-6-methylthio-3-pyridyl
1354	ib(id).	S	S	*	8	4-methyl-6-methylthio-3-pyridyl
1355	ib(id).	S	S	*	9	4-methyl-6-methylthio-3-pyridyl
1356	ib(id).	S	S	*	14	4-methyl-6-methylthio-3-pyridyl

* = Single Bond

[Table 74]

Compound No.	A	X	Y	Z	n	Het
1357		NH	S	*	1	4-methyl-6-methylthio-3-pyridyl
1358	ib(id).	NH	S	*	2	4-methyl-6-methylthio-3-pyridyl
1359	ib(id).	NH	S	*	3	4-methyl-6-methylthio-3-pyridyl
1360	ib(id).	NH	S	*	4	4-methyl-6-methylthio-3-pyridyl
1361	ib(id).	NH	S	*	5	4-methyl-6-methylthio-3-pyridyl
1362	ib(id).	NH	S	*	6	4-methyl-6-methylthio-3-pyridyl
1363	ib(id).	NH	S	*	7	4-methyl-6-methylthio-3-pyridyl
1364	ib(id).	NH	S	*	8	4-methyl-6-methylthio-3-pyridyl
1365	ib(id).	NH	S	*	9	4-methyl-6-methylthio-3-pyridyl
1366	ib(id).	NH	S	*	14	4-methyl-6-methylthio-3-pyridyl
1367	ib(id).	O	S	*	1	5-methylthio-2-pyridyl
1368	ib(id).	O	S	*	2	5-methylthio-2-pyridyl
1369	ib(id).	O	S	*	3	5-methylthio-2-pyridyl
1370	ib(id).	O	S	*	4	5-methylthio-2-pyridyl
1371	ib(id).	O	S	*	5	5-methylthio-2-pyridyl
1372	ib(id).	O	S	*	6	5-methylthio-2-pyridyl
1373	ib(id).	O	S	*	7	5-methylthio-2-pyridyl
1374	ib(id).	O	S	*	8	5-methylthio-2-pyridyl
1375	ib(id).	O	S	*	9	5-methylthio-2-pyridyl
1376	ib(id).	O	S	*	14	5-methylthio-2-pyridyl

* = Single Bond

[Table 7 5]

Compound No.	A	X	Y	Z	n	Het
1377		S	S	*	1	5-methylthio-2-pyridyl
1378	ib(id).	S	S	*	2	5-methylthio-2-pyridyl
1379	ib(id).	S	S	*	3	5-methylthio-2-pyridyl
1380	ib(id).	S	S	*	4	5-methylthio-2-pyridyl
1381	ib(id).	S	S	*	5	5-methylthio-2-pyridyl
1382	ib(id).	S	S	*	6	5-methylthio-2-pyridyl
1383	ib(id).	S	S	*	7	5-methylthio-2-pyridyl
1384	ib(id).	S	S	*	8	5-methylthio-2-pyridyl
1385	ib(id).	S	S	*	9	5-methylthio-2-pyridyl
1386	ib(id).	S	S	*	14	5-methylthio-2-pyridyl
1387	ib(id).	NH	S	*	1	5-methylthio-2-pyridyl
1388	ib(id).	NH	S	*	2	5-methylthio-2-pyridyl
1389	ib(id).	NH	S	*	3	5-methylthio-2-pyridyl
1390	ib(id).	NH	S	*	4	5-methylthio-2-pyridyl
1391	ib(id).	NH	S	*	5	5-methylthio-2-pyridyl
1392	ib(id).	NH	S	*	6	5-methylthio-2-pyridyl
1393	ib(id).	NH	S	*	7	5-methylthio-2-pyridyl
1394	ib(id).	NH	S	*	8	5-methylthio-2-pyridyl
1395	ib(id).	NH	S	*	9	5-methylthio-2-pyridyl
1396	ib(id).	NH	S	*	14	5-methylthio-2-pyridyl

* = Single Bond

[Table 7 6]

Compound No.	A	X	Y	Z	n	Het
1397		O	S	*	1	2, 4, 6-trismethylthio-5-pyrimidyl
1398	ib(id).	O	S	*	2	2, 4, 6-trismethylthio-5-pyrimidyl
1399	ib(id).	O	S	*	3	2, 4, 6-trismethylthio-5-pyrimidyl
1400	ib(id).	O	S	*	4	2, 4, 6-trismethylthio-5-pyrimidyl
1401	ib(id).	O	S	*	5	2, 4, 6-trismethylthio-5-pyrimidyl
1402	ib(id).	O	S	*	6	2, 4, 6-trismethylthio-5-pyrimidyl
1403	ib(id).	O	S	*	7	2, 4, 6-trismethylthio-5-pyrimidyl
1404	ib(id).	O	S	*	8	2, 4, 6-trismethylthio-5-pyrimidyl
1405	ib(id).	O	S	*	9	2, 4, 6-trismethylthio-5-pyrimidyl
1406	ib(id).	O	S	*	14	2, 4, 6-trismethylthio-5-pyrimidyl
1407	ib(id).	S	S	*	1	2, 4, 6-trismethylthio-5-pyrimidyl
1408	ib(id).	S	S	*	2	2, 4, 6-trismethylthio-5-pyrimidyl
1409	ib(id).	S	S	*	3	2, 4, 6-trismethylthio-5-pyrimidyl
1410	ib(id).	S	S	*	4	2, 4, 6-trismethylthio-5-pyrimidyl
1411	ib(id).	S	S	*	5	2, 4, 6-trismethylthio-5-pyrimidyl
1412	ib(id).	S	S	*	6	2, 4, 6-trismethylthio-5-pyrimidyl
1413	ib(id).	S	S	*	7	2, 4, 6-trismethylthio-5-pyrimidyl
1414	ib(id).	S	S	*	8	2, 4, 6-trismethylthio-5-pyrimidyl
1415	ib(id).	S	S	*	9	2, 4, 6-trismethylthio-5-pyrimidyl
1416	ib(id).	S	S	, *	14	2, 4, 6-trismethylthio-5-pyrimidyl

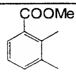
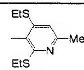
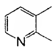
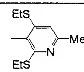
* = Single Bond

[Table 7 7]

Compound No.	A	X	Y	Z	n	Het
1417		NH	S	*	1	2, 4, 6-trismethylthio-5-pyrimidyl
1418	ib(id).	NH	S	*	2	2, 4, 6-trismethylthio-5-pyrimidyl
1419	ib(id).	NH	S	*	3	2, 4, 6-trismethylthio-5-pyrimidyl
1420	ib(id).	NH	S	*	4	2, 4, 6-trismethylthio-5-pyrimidyl
1421	ib(id).	NH	S	*	5	2, 4, 6-trismethylthio-5-pyrimidyl
1422	ib(id).	NH	S	*	6	2, 4, 6-trismethylthio-5-pyrimidyl
1423	ib(id).	NH	S	*	7	2, 4, 6-trismethylthio-5-pyrimidyl
1424	ib(id).	NH	S	*	8	2, 4, 6-trismethylthio-5-pyrimidyl
1425	ib(id).	NH	S	*	9	2, 4, 6-trismethylthio-5-pyrimidyl
1426	ib(id).	NH	S	*	14	2, 4, 6-trismethylthio-5-pyrimidyl

* = Single Bond

[Table 7 8]

Compound No.		X	Y	Z	n	Het
1 4 2 7		O	S	Single Bond	1	
1 4 2 8		O	S	Single Bond	1	

The compounds represented by the formula (I) in the present invention has an ACAT inhibitory activity and/or an intracellular cholesterol transfer inhibitory activity, and is useful in the medical field as medications for treating hyperlipemia or arteriosclerosis. Especially, the compounds of the present invention exhibit an activity of selectively inhibiting an ACAT enzyme which is present in the blood vessel wall. Accordingly, it is expected to have a less side effect than a non-selective ACAT inhibitor, and is preferable as an active ingredient of a drug.

The pharmaceutical composition of the present invention contains the compounds represented by the formula (I) or acid addition salts or solvates thereof as active ingredients. It comprises at least one type of the active ingredients in a therapeutically effective amount, and a pharmaceutically acceptable carrier.

The pharmaceutical composition of the present invention contains the compounds represented by the formula (I), or the acid addition salts or the solvates thereof as active ingredients. At least one type of the active ingredients is used singly, or can be shaped into an administrable preparation such as a tablet, a capsule, a granule, a powder, an injection or a suppository using a pharmaceutically acceptable carrier well-known to those skilled in the art, such as a excipient, a binder, a support or a diluent. These preparations can be produced by a known method.

For example, an orally administrable preparation can be produced by mixing the compound represented by the formula (I) with an excipient such as starch, mannitol or lactose, a binder such as carboxymethylcellulose sodium or hydroxypropyl cellulose, a disintegrant such as crystalline cellulose or carboxymethyl cellulose calcium, a lubricant such as talc or magnesium stearate, and a fluidity improving agent such as light silicic anhydride, which are combined as required.

The pharmaceutical composition of the present invention can be administered either orally or parenterally.

The dose of the pharmaceutical composition of the present invention varies depending on the weight, the age, the sex, the progression of disease and the like of patients. Generally, it is preferably administered to an adult person at a dose of from 1 to 100 mg, preferably from 5 to 200 mg a day, from one to three times a day.

The ACAT inhibitory activity of the compounds represented by the formula (I) in the present invention was tested in the following Experiment Examples.

Experiment Example 1 (ACAT inhibitory activity)

A microsome was prepared from the breast aorta of a rabbit which had been fed with 1% cholesterol food for 8 weeks in a usual manner, and suspended in a 0.15 M phosphate buffer solution (pH 7.4) to form an enzyme solution. An enzyme solution derived from the small intestine was prepared from the small intestine of a

rabbit that had eaten a normal food.

The ACAT inhibitory activity was measured by modifying the method of J. G. Heider (J. Lipid Res., 24, 1127 - 1134, 1983). That is, 2 μl of a test compound dissolved in dimethyl sulfoxide (DMSO) were added to 88 μl of a 0.15 M phosphate buffer solution (pH 7.4)containing ^{14}C-Oleoyl-CoA (40 μM, 60,000 dpm) and bovine serum albumin (2.4 mg/ml), and the mixture was incubated at 37 °C for 5 minutes.

To this solution were added 10 μl of the enzyme solution, and the mixture was reacted at 37°C for 5 minutes (for 3 minutes in the case of the small intestine). Then, 3 ml of a chloroform/methanol (2/1) mixture and 0.5 ml of 0.04 N hydrochloric acid were added thereto to stop the reaction. The lipid was then extracted. The solvent layer was concentrated to dryness, and dissolved in hexane. The solution was spotted on a TLC plate (supplied by Merck Co.). The elution was conducted with a hexane:ether:acetic acid (75:25:1) mixture.

The radioactivity of the resulting cholesterol ester fraction was measured using BAS 2000 (supplied by Fuji Photo Film Co., Ltd.). An IC_{50} value was obtained from the calculation in contrast with a control containing only DMSO. The results are shown in Table 79.

[Table 79]

Test Compound No.	Enzyme from A* IC_{50} (μM)	Enzyme from B* IC_{50} (μM)	IC_{50} (B*) / IC_{50} (A*)
795	0.028	0.016	0.6
811	0.014	0.38	27.1
815	0.014	0.017	1.2
818	0.0056	0.016	2.9
831	0.63	0.61	1.0
Control 1	0.45	0.87	1.9
Control 2	0.047	0.13	2.8
Control 3	0.034	0.056	1.7
Control 4	0.026	0.037	1.4
Control 5	0.01	0.065	6.5
Control 6	0.11	0.51	4.6

A*: the blood vessel wall
B*: the small intestine

Experiment Example 2

(ACAT inhibitory activity (anti-foamation activity) in J744 cells and HepG2 cells)

J774 cells or HepG2 cells were spread on a 24-well plate. The cells were incubated in a 5% CO_2 incubator at 37°C for 24 hours using DMEM in the case of the J774 cells and a MEM culture solution in the case of the HepG2 cells (both containing 10% fetal calf serum).

The medium was replaced with 0.5 ml of each culture solution containing 10 μg/ml of 25-OH cholesterol and a test piece, and the cells were further incubated for 18 hours.

The medium was removed, and the residue was washed twice with PBS, then extracted with 1.5 ml of a hexane:isopropanol (3:2) mixture, and concentrated to dryness. The extract was dissolved in 0.2 ml of isopropanol containing 10% Triton X-100. Total cholesterol (TC) and free cholesterol (FC) were measured using Cholesterol E Test Wako (supplied by Wako Pure Chemical Industries, Ltd.) and Free Cholesterol E Test Wako (supplied by Wako Pure Chemical Industries, Ltd.).

The cell extract residue was solubilized in 0.25 ml of 2N NaOH at 37°C for 30 minutes, and the protein amount was measured using BCA Protein Assay Reagent (Pierce).

The amount of cholesterol based on the protein was calculated from the difference between TC and FC, and an IC_{50} value was obtained from the calculation in contrast with the

control. The results are shown in Table 80.

[Table 80]

Test Compound No.	Enzyme (J774) IC_{50} (μM)	Enzyme (HepG2) IC_{50} (μM)	IC_{50} (HepG2) / IC_{50} (J774)
795	0.050	0.35	7.0
797	0.0036	0.029	8.1
811	0.050	1.8	36.0
815	0.12	2.6	21.7
818	0.062	0.063	1.0
831	0.057	5.4	94.7
1253	0.0041	0.0044	1.1
1282	0.0032	0.0062	1.9
1292	0.0027	0.030	11.1
1294	0.0042	0.0024	0.6
1302	0.0021	0.015	7.1
Control 1	0.56	5.3	9.5
Control 2	0.58	1.1	1.9
Control 3	0.32	1.3	4.3
Control 4	0.12	0.75	6.3
Control 5	1.9	1.6	0.8
Control 6	0.28	9.1	32.8

As control compounds, the following control compounds (1) to (6) were subjected to the same test, and the results are also shown in Tables 64 and 65. Control Compounds (1) to (6) are as follows.

Control compound (1):

5-[2-(2-(4-fluorophenyl)ethyl)-3-(1-methyl-1H-imidazol-2-yl)-2H-1-benzopyran-6-yl]oxy-2,2-dimethyl-N-(2,6-diisopropylphenyl)pentanamide (WO 92/09582)

Control compound (2):

(+)-(S)-2-[5-(3,5-dimethylpyrazol-1-yl)pentasulfinyl]-4,5-diphenylimidazole (EP 523941)

Control compound (3):

N-(2,2,5,5-tetramethyl-1,3-dioxan-4-ylcarbonyl)-β-alanine 2(S)-[N'-(2,2-dimethylpropyl)-N'-nonylureido]-1(S)-cyclohexyl ester (EP 421441)

Control compound (4):

[5-(4,5-diphenyl-1H-imidazol-2-ylthio)pentyl]-N-heptyl-2-benzoxazolamie (WO 93/23392)

Control compound (5):

6-(benzoxazol-2-ylthio)-N-(2,6-diisopropylphenyl)hexanamide (compound of Japanese Patent Application No. 88,660/1997)

Contol compound (6):

2-[4-[2-(benzimidazol-2-ylthio)ethyl]piperazin-1-yl]-N-(2,6-diisopropylphenyl)acetamide (compound of Japanese Patent Application No. 149,892/1997)

Examples

The present invention is illustrated more specifically by referring to the following Examples. However, the present invention is not limited to these Examples.

Example 1 (Compound No. 5 in Table)

Production of 6-(benzoxazol-2-ylthio)-N-(2-methylthio-3-pyridyl)hexanamide:

A methanol (50 ml) solution of 2-chloro-3-nitropyridine (4.30 g, 27.1 mmol) was added dropwise to a methanol (30 ml) solution of sodium thiomethoxide (2.10 g, 28.5 mmol) while being cooled with ice, and the mixed solution was stirred for 17 hours. Water was then added to the reaction mixture, and the resulting mixture was extracted with ethyl acetate. The organic layer was washed with a saturated aqueous solution of sodium chloride, and dried over sodium sulfate. Subsequently, the solvent was distilled off, and the resulting crystals were recrystallized from a mixture of an ethyl acetate-hexane mixture to obtain 2.93 g (yield 64%) of 2-methylthio-3-nitropyridine as a yellow needle crystal.

This nitropyridine (851 mg, 5.0 mmol) was dissolved in a mixed solvent of acetic acid (35 ml) and conc. hydrochloric acid (1.4 ml), and zinc (3.92 g, 60 mmol) was added thereto in small portions while being cooled with ice. After the mixture was

stirred for 30 minutes, the reaction mixture was filtered, and the filtrate was neutralized with an aqueous solution of sodium hydrogencarbonate, and extracted with methylene chloride. The organic layer was washed with water and then with a saturated aqueous solution of sodium chloride, and dried over sodium sulfate. Subsequently, the solvent was distilled off to obtain 600 mg (yield 86%) of 3-amino-2-methylthiopyridine as a pale yellow oil.

Triethylamine (520 mg, 5.14 mmol) was added to a THF (7 ml) solution of this aminopyridine (600 mg, 4.28 mmol). Subsequently, 6-bromohexanoyl chloride (1.10 g, 5.14 mmol) was slowly added dropwise thereto while being cooled with ice, and the mixture was stirred at room temperature for 3 hours. The reaction mixture was diluted with water, and extracted with ethyl acetate. The organic layer was washed with water and then with a saturated aqueous solution of sodium chloride, and dried over sodium sulfate. Thereafter, the solvent was distilled off, and the resulting crude product was purified through silica gel chromatography (silica gel 125 g, eluent - hexane:ethyl acetate = 6:1 → 3:1 → 2:1) to obtain 1.08 g (yield 79%) of 6-bromo-N-(2-methylthio-3-pyridyl)hexanamide as a colorless needle crystal (melting point: 66 to 67°C).

To a DMF (2 ml) solution of this amide (159 mg, 0.5 mmol) and 2-mercaptobenzoxazole (83 mg, 0.55 mmol) were added 18-crown-6 (13 mg, 0.05 mmol) and potassium carbonate (83 mg, 0.6

mmol), and the mixture was stirred at 80°C for 3 hours. The reaction mixture was diluted with water, and extracted with ethyl acetate. The organic layer was washed with water and then with a saturated aqueous solution of sodium chloride, and dried over sodium sulfate. Subsequently, the solvent was distilled off, and the resulting crude product was purified through silica gel chromatography (silica gel 20 g, eluent - hexane : ethyl acetate = 5:2 → 2:1) to obtain 156 g (yield 81%) of a desired compound as a colorless needle crystal.

Melting point : 127 - 128°C

IR (KBr) cm^{-1} : 3447, 3265, 1654, 1522, 1508.

^{1}H-NMR ($CDCl_3$) δ :

1.58 - 1.65 (2H, m), 1.83 (2H, quint, J = 7.4 Hz), 1.92 (2H, quint, J = 7.4 Hz), 2.46 (2H, t, J = 7.4 Hz), 2.62 (3H, s), 3.34 (2H, t, J = 7.4 Hz), 7.06 (1H, dd, J = 8.1, 4.6 Hz), 7.21 - 7.30 (3H, m), 7.44 (1H, m), 7.59 (1H, m), 8.26 (1H, d, J = 4.6 Hz), 8.28 (1H, d, J = 8.1 Hz).

EIMS m/z (relative intensity) : 387 (M^+), 165 (100).

Elemental analysis: as $C_{19}H_{21}N_3O_2S_2$

calculated: C, 58.89; H, 5.46; N, 10.84; S, 16.55.

found: C, 58.92; H, 5.43; N, 10.78: S, 16.55.

Example 2 (Compound No. 8 in Table)

Production of 9-(benzoxazol-2-ylthio)-N-(2-methylthio-3-

pyridyl)nonanamide:

The reaction and the treatment were conducted in the same manner as in Example 1 except that 9-bromononanoyl chloride was used instead of 6-bromohexanoyl chloride to obtain 9-bromo-N-(2-methylthio-3-pyridyl)nonanamide.

To a DMF (5 ml) solution of this amide (90 mg, 0.25 mmol) and 2-mercaptobenzoxazole (38 mg, 0.25 mmol) were added potassium carbonate (42 mg, 0.30 mmol) and 18-crown-6 (7 mg, 0.03 mmol), and the mixture was stirred at 80°C for 3 hours. The reaction mixture was allowed to cool, and then extracted with ethyl acetate. The organic layer was washed with water and then with a saturated aqueous solution of sodium chloride, and dried over sodium sulfate. Subsequently, the solvent was distilled off, and the resulting residue was recrystallized from a mixture of ethyl acetate-hexane to obtain 83 mg (yield 77%) of the desired compound as a colorless powdery crystal.

Melting point: 84 - 85°C

IR (KBr) cm^{-1} :3465, 3276, 2926, 1664, 1505.

^{1}H-NMR ($CDCl_3$) δ :

1.35 - 1.53 (8H, m), 1.72 - 1.77 (2H, m),

1.80 - 1.87 (2H, m), 2.42 (2H, t, J = 7.3 Hz),

2.63 (3H, s), 3.31 (2H, t, J = 7.4 Hz),

7.06 (1H, dd, J = 8.0 , 4.7 Hz), 7.21 - 7.30 (3H, m),

7.43 (1H, dd, J = 7.0 , 0.6 Hz),

7.59 (1H, dd, J = 7.6 , 0.6 Hz),

8.25 (1H, d, J = 4.7 Hz), 8.31 (1H, d, J = 7.8 Hz).

EIMS m/z (relative intensity) : 429 (M^+), 297 (100).

Elemental analysis: as $C_{22}H_{27}N_3O_2S_2$

calculated: C, 61.51; H, 6.33; N, 9.78; S, 14.93.

found: C, 61.51; H, 6.28; N, 9.64; S, 14.99.

Example 3 (Compound No. 15 in Table)

Production of 6-(benzothiazol-2-ylthio)-N-(2-methylthio-3-pyridyl)hexanamide:

The reaction and the treatment were conducted in the same manner as in Example 1 except that 2-mercaptobenzothiazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless needle crystal.

Melting point: 118 - 119°C

IR (KBr) cm^{-1} : 3429, 3265, 1654, 1522, 1508.

^{1}H-NMR ($CDCl_3$) δ :

1.57 - 1.65 (2H, m), 1.83 (2H, quint, J = 7.4 Hz),

1.91 (2H, quint, J = 7.4 Hz), 2.46 (2H, t, J = 7.4 Hz), 2.61 (3H, s), 3.38 (2H, t, J = 7.4 Hz),

7.06 (1H, dd, J = 8.1, 4.9 Hz), 7.25 (1H, br s),

7.29 (1H, m), 7.41 (1H, m), 7.75 (1H, m), 7.86 (1H, m),

8.25 (1H, d, J = 4.9 Hz), 8.29 (1H, d, J = 8.1 Hz).

EIMS m/z (relative intensity): 403 (M^+), 223 (100).

Elemental analysis: as $C_{19}H_{21}N_3OS_3$

calculated: C, 56.55; H, 5.24; N, 10.41; S, 23.83.

found: C, 56.69; H, 5.30; N, 10.24; S, 23.77.

Example 4 (Compound No. 18 in Table)

Production of 9-(benzothiazol-2-ylthio)-N-(2-methylthio-3-pyridyl)nonanamide:

The reaction and the treatment were conducted in the same manner as in Example 2 except that 2-mercaptobenzothiazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless needle crystal.

Melting point: 107 - 108°C

IR (KBr) cm^{-1} : 3448, 3256, 2923, 1656, 1525.

^{1}H-NMR (d6-DMSO) δ :

1.24 - 1.34 (6H, m), 1.36 - 1.43 (2H, m),

1.54 - 1.59 (2H, m), 1.69 - 1.77 (2H, m),

2.26 (2H, t, J = 7.4 Hz), 2.40 (3H, s),

3.28 (2H, t, J = 7.2 Hz),

7.01 (1H, dd, J = 7.8 , 4.6 Hz),

7.26 (1H, dt, J = 8.1, 1.2 Hz),

7.36 (1H, dt, J = 7.3 , 1.2 Hz),

7.58 (1H, dd, J = 7.8, 1.5 Hz),

7.74 (1H, d, J = 8.1 Hz),

7.85 (1H, dd, J = 7.3 , 1.2 Hz),

8.21 (1H, dd, J = 4.6 , 1.5 Hz), 8.73 (1H, br s).

EIMS m/z (relative intensity): 445 (M^+), 297 (100).

Elemental analysis: as $C_{22}H_{27}N_3OS_3$

calculated: C, 59.29; H, 6.11: N, 9.43; S, 21.58.

found: C, 59.12; H, 6.02: N, 9.25; S, 21.62.

Example 5 (Compound No. 25 in Table)

Production of 6-(benzimidazol-2-ylthio)-N-(2-methylthio-3-pyridyl)hexanamide:

The reaction and the treatment were conducted in the same manner as in Example 1 except that 2-mercaptobenzimidazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a pale yellow needle crystal.

Melting point: 121 - 123°C

IR (KBr) cm^{-1} : 3386, 3276, 1658, 1511, 1398.

^{1}H-NMR ($CDCl_3$) δ :

1.52 - 1.60 (2H, m), 1.74 - 1.86 (4H, m),

2.42 (2H, t, J = 7.2 Hz), 2.60 (3H, s),

3.32 (2H, t, J = 7.2 Hz), 7.05 (1H, dd, J = 8.1, 4.9 Hz), 7.18 - 7.19 (2H, m), 7.32 (1H, br s), 7.36 (1H, br s), 7.66 (1H, br s), 8.23 - 8.26 (2H, m), 9.84 (1H, br s).

EIMS m/z (relative intensity): 386 (M^+), 205 (100).

Elemental analysis: as $C_{19}H_{22}N_4OS_2$

calculated: C, 59.04; H, 5.74: N, 14.49; S, 16.59.

found: C, 59.06; H, 5.76: N, 14.35; S, 16.57.

Example 6 (Compound No. 28 in Table)

Production of 9-(benzimidazol-2-ylthio)-N-(2-methylthio-3-

pyridyl)nonanamide:

The reaction and the treatment were conducted in the same manner as in Example 2 except that 2-mercaptobenzimidazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless powdery crystal.

IR (KBr) cm^{-1} : 3260, 2929, 2851, 1664, 1519, 1394.

^{1}H-NMR ($CDCl_3$) δ :

1.31 - 1.47 (6H, m), 1.57 - 1.61 (2H, m),

1.69 - 1.79 (4H, m), 2.42 (2H, t, J = 7.2 Hz),

2.63 (3H, s), 3.32 (2H, t, J = 7.4 Hz),

7.06 (1H, dd, J = 8.1 , 4.6 Hz), 7.18 - 7.23 (4H, m), 7.67 (1H, br s),8.26 (1H, d, J = 4.6 Hz),

8.30 (1H, d, J = 7.8 Hz), 9.31 (1H, br s).

EIMS m/z (relative intensity): 428 (M^+), 164 (100).

Example 7 (Compound No. 158 in Table)

Production of 9-(benzoxazol-2-ylthio)-N-(4-methyl-2-methylthio-3-pyridyl)nonanamide:

The reaction and the treatment were conducted in the same manner as in Example 1 except that 2-chloro-4-methyl-3-nitropyridine was used instead of 2-chloro-3-nitropyridine to obtain 4-methyl-2-methylthio-3-nitropyridine. This nitropyridine (474 mg, 2.57 mmol) was dissolved in a mixed solvent of acetic acid (18 ml) and conc. hydrochloric acid (0.7 ml), and zinc (2.02 g, 30.88 mmol) was added thereto in small

portions while being cooled with ice. After the mixture was stirred for 30 minutes, the reaction mixture was filtered, and the filtrate was neutralized with an aqueous solution of sodium hydrogencarbonate, and extracted with methylene chloride. The organic layer was washed with water and then with a saturated aqueous solution of sodium chloride, and dried over sodium sulfate. Subsequently, the solvent was distilled off to obtain 307 mg (yield 77%) of 3-amino-4-methyl-2-methylthiopyridine as a colorless crystal.

Triethylamine (302 mg, 2.99 mmol) was added to a chloroform (4 ml) solution of this aminopyridine (307 mg, 1.99 mmol), and a chloroform (4 ml) solution of 9-bromononanyl chloride (2.99 mmol) was then slowly added thereto dropwise while being cooled with ice. The mixture was stirred at room temperature for 3 hours. The reaction mixture was diluted with water, and extracted with ethyl acetate. The organic layer was washed with water and then with a saturated aqueous solution of sodium chloride, and dried over sodium sulfate. Thereafter, the solvent was distilled off, and the resulting crude product was purified through silica gel chromatography (silica gel 125 g, eluent - hexane : ethyl acetate = 3:1 → 2:1) to obtain 261 mg (yield 35%) of 9-bromo-N-(4-methyl-2-methylthio-3-pyridyl)nonanamide as a colorless powdery crystal (melting point: 77 to 78°C). To a DMF (5 ml) solution of this amide (114 mg, 0.31 mmol) and 2-mercaptobenzoxazole (46 mg, 0.31 mmol) were added 18-crown-6 (8

mg, 0.03 mmol) and potassium carbonate (51 mg, 0.37 mmol), and the mixture was stirred at 80°C for 2 hours. The reaction mixture was diluted with water, and then extracted with ethyl acetate. The organic layer was washed with water and then with a saturated aqueous solution of sodium chloride, and dried over sodium sulfate. Subsequently, the solvent was distilled off, and the resulting crude product was purified through preparative thin-layer chromatography (eluent - chloroform : methanol = 20:1) to obtain 89 mg (yield 66%) of the desired compound as a colorless powdery crystal.

Melting point : 91 - 92°C

IR (KBr) cm^{-1} : 3433, 3268, 2924, 1518, 1496.

^{1}H-NMR ($CDCl_3$) δ :

1.36 - 1.53 (8H, m), 1.74 - 1.88 (4H, m), 2.21 (3H, s),
2.43 (2H, t, J = 7.6 Hz), 2.53 (3H, s),
3.32 (2H, t, J = 7.3 Hz), 6.63 (1H, br s),
6.90 (1H, d, J = 5.1 Hz), 7.22 - 7.30 (1H, m),
7.43 (1H, dd, J = 7.2 , 1.4 Hz),
7.60 (1H, dd, J = 7.6 , 1.4 Hz),
8.24 (1H, d, J = 4.9 Hz).

EIMS m/z (relative intensity): 443 (M^+, 100).

Elemental analysis: as $C_{23}H_{29}N_3O_2S_2$

calculated:	C, 62.27; H, 6.59: N, 9.47; S, 14.45.
found:	C, 62.34; H, 6.58: N, 9.33; S, 14.44.

Example 8 (Compound No. 168 in Table)

Production of 9-(benzothiazol-2-ylthio)-N-(4-methyl-2-methylthio-3-pyridyl)nonanamide:

The reaction and the treatment were conducted in the same manner as in Example 7 except that 2-mercaptobenzothiazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless powdery crystal.

Melting point: 88 - 90°C

IR (KBr) cm^{-1} : 3449, 3271, 2925, 1657, 1425, 997.

^{1}H-NMR ($CDCl_3$) δ :

1.37 - 1.53 (8H, m), 1.73 - 1.87 (4H, m), 2.21 (3H, s),
2.43 (2H, t, J = 7.6 Hz), 2.53 (3H, s),
3.35 (2H, t, J = 7.3 Hz), 6.62 (1H, br s),
6.90 (1H, d, J = 5.1 Hz), 7.23 - 7.31 (1H, m),
7.39 - 7.43 (1H, m), 7.75 (1H, dd, J = 8.1 , 0.5 Hz),
7.86 (1H, dd, J = 8.1 , 0.5 Hz),
8.24 (1H, d, J = 5.1 Hz).

Elemental analysis: as $C_{23}H_{29}N_3OS_3$

calculated: C, 60.10; H, 6.36: N, 9.14.

found: C, 59.99; H, 6.36: N, 9.00.

Example 9 (Compound No. 275 in Table)

Production of 6-(benzoxazol-2-ylthio)-N-[2,6-bis(methylthio)-3-pyridyl]hexanamide:

The reaction and the treatment were conducted in the same

manner as in Example 1 except that 2,6-dichloro-3-nitropyridine was used instead of 2-chloro-3-nitropyridine. This nitropyridine (800 mg, 3.70 mmol) was dissolved in a mixed solvent of acetic acid (100 ml) and conc. hydrochloric acid (5.6 ml), and zinc (2.90 g, 44.39 mmol) was added thereto in small portions while being cooled with ice. After the mixture was stirred for 30 minutes, the reaction mixture was filtered, and the filtrate was neutralized with an aqueous solution of sodium hydrogencarbonate, and extracted with methylene chloride. The organic layer was washed with water and then with a saturated aqueous solution of sodium chloride, and dried over sodium sulfate. Subsequently, the solvent was distilled off, and the resulting crude product was purified through silica gel chromatography (eluent : hexane : ethyl acetate = 4:1) to obtain 301 mg (yield 44%) of 3-amino-2,6-bis(methylthio)pyridine as a pale yellow powdery crystal.

Triethylamine (196 mg, 1.94 mmol) was added to a THF (3 ml) solution of this aminopyridine (301 mg, 1.62 mmol), and a THF (1 ml) solution of 6-bromohexanoyl chloride (345 mg, 1.62 mmol) was then slowly added thereto dropwise while being cooled with ice, and the mixture was stirred at 0°C for 3 hours. The reaction mixture was diluted with water, and extracted with ethyl acetate. The organic layer was washed with water and then with a saturated aqueous solution of sodium chloride, and dried over magnesium sulfate. Subsequently, the solvent was distilled off,

and the resulting crude product was purified through silica gel chromatography (eluent - hexane : ethyl acetate = 4:1) to obtain 453 mg (yield 77%) of 6-bromo-N-[2,6-bis(methylthio)-3-pyridyl]hexanamide as a colorless powdery crystal (melting point: 117 to 119°C). To a DMF (4 ml) solution of this amide (100 mg, 0.28 mmol) and 2-mercaptobenzoxazole (42 mg, 0.28 mmol) were added 18-crown-6 (7 mg, 0.03 mmol) and potassium carbonate (46 mg, 0.33 mmol), and the mixture was stirred at 80°C for 3 hours. The reaction mixture was diluted with water, and then extracted with ethyl acetate. The organic layer was washed with water and then with a saturated aqueous solution of sodium chloride, and dried over magnesium sulfate. Subsequently, the solvent was distilled off, and the resulting crude product was recrystallized from a mixture of ethyl acetate and hexane to obtain 83 mg (yield 70%) of the desired compound as a colorless powdery crystal.

Melting point: 125 - 126°C

IR (KBr) cm^{-1} : 3436, 3253, 2937, 1653, 1519, 1505.

^{1}H-NMR ($CDCl_3$) δ :

1.57 - 1.65 (2H, m), 1.78 - 1.86 (2H, m),

1.88 - 1.95 (2H, m), 2.44 (2H, t, J = 7.4 Hz),

2.57 (3H, s), 2.62 (3H, s), 3.33 (2H, t, J = 7.3 Hz),

6.93 (1H, d, J = 8.4 Hz),7.02 (1H, br s),

7.21 - 7.30 (2H, m), 7.43 (1H, dd, J = 7.4 , 1.7 Hz),

7.59 (1H, dd, J = 7.4 , 1.7 Hz),

8.01 (1H, d, J = 8.4 Hz),

Elemental analysis: as $C_{20}H_{23}N_3O_2S_3$

calculated: C, 55.40; H, 5.35: N, 9.69.

found: C, 55.53; H, 5.38: N, 9.68.

Example 10 (Compound No. 455 in Table)

Production of 6-(benzoxazol-2-ylthio)-N-(6-methyl-2-methylthio-3-pyridyl)hexanamide:

The reaction and the treatment were conducted in the same manner as in Example 1 except that 2-chloro-6-methyl-3-nitropyridine was used instead of 2-chloro-3-nitropyridine to obtain 6-methyl-2-methylthio-3-nitropyridine. This nitropyridine (921 mg, 5.0 mmol) was dissolved in a mixed solvent of acetic acid (40 ml) and conc. hydrochloric acid (1.75 ml), and zinc (3.81 g, 60 mmol) was added thereto in small portions while being cooled with ice. After the mixture was stirred for 30 minutes, the reaction mixture was filtered, and the filtrate was neutralized with an aqueous solution of sodium hydrogencarbonate, and extracted with methylene chloride. The organic layer was washed with water and then with a saturated aqueous solution of sodium chloride, and dried over sodium sulfate. Subsequently, the solvent was distilled off to obtain 685 mg (yield 88%) of 3-amino-6-methyl-2-methylthiopyridine as a yellow oil.

Triethylamine (475 mg, 4.7 mmol) was added to a chloroform

(10 ml) solution of this aminopyridine (601 mg, 3.9 mmol), and 6-bromohexanoyl chloride (944 mg, 4.29 mmol) was then slowly added thereto dropwise while being cooled with ice, and the mixture was stirred at room temperature for 12 hours. The reaction mixture was diluted with water, and extracted with methylene chloride. The organic layer was washed with water and then with a saturated aqueous solution of sodium chloride, and dried over magnesium sulfate. Subsequently, the solvent was distilled off, and the resulting crude product was purified through silica gel chromatography (silica gel 50 g, eluent - hexane : ethyl acetate = 10:1 → 5:1) to obtain 773 mg (yield 59%) of 6-bromo-N-(6-methyl-2-methylthio-3-pyridyl)hexanamide as a colorless crystal (melting point: 98 to 99°C). To a DMF (2 ml) solution of this amide (133 mg, 0.4 mmol) and 2-mercaptobenzoxazole (67 mg, 0.44 mmol) were added 18-crown-6 (11 mg, 0.04 mmol) and potassium carbonate (67 mg, 0.44 mmol), and the mixture was stirred at 80°C for 90 minutes. The reaction mixture was diluted with water, and extracted with ethyl acetate. The organic layer was washed with water and then with a saturated aqueous solution of sodium chloride, and dried over sodium sulfate. Subsequently, the solvent was distilled off, and the resulting crude product was purified through silica gel chromatography (silica gel 20 g, eluent - hexane : acetone = 5:1 → 5:3) to obtain 125 mg (yield 78%) of the desired compound as a colorless needle crystal.

Melting point: 140 - 141°C

IR (KBr) cm^{-1} : 3437, 3267, 1654, 1528, 1506.

^{1}H-NMR ($CDCl_3$) δ :

1.57 - 1.65 (2H, m), 1.82 (2H, quint, J = 7.4 Hz), 1.91 (2H, quint, J = 7.4 Hz), 2.44 (2H, t, J = 7.4 Hz), 2.48 (3H, s), 2.60 (3H, s), 3.33 (2H, t, J = 7.4 Hz), 6.90 (1H, d, J = 8.1 Hz), 7.21 - 7.30 (2H, m), 7.43 (1H, m), 7.59 (1H, m), 8.13 (1H, d, J = 8.1 Hz).

EIMS m/z (relative intensity): 401 (M^+), 203 (100).

Elemental analysis: as $C_{20}H_{23}N_3O_2S_2$

calculated: C, 59.82; H, 5.77: N, 10.46.

found: C, 59.90; H, 5.84: N, 10.32.

Example 11 (Compound No. 458 in Table)

Production of 9-(benzoxazol-2-ylthio)-N-(6-methyl-2-methylthio-3-pyridyl)nonanamide:

Triethylamine (607 mg, 6.0 mmol) was added to a chloroform (10 ml) solution of 3-amino-6-methyl-2-methylthiopyridine (685 mg, 4.44 mmol), and a chloroform (3 ml) solution of 9-bromononanyl chloride (1,281 mg, 5 mmol) was then slowly added thereto dropwise while being cooled with ice. The mixture was stirred at room temperature for 17 hours. The reaction mixture was diluted with water, and then extracted with ethyl acetate. The organic layer was washed with water and then with a saturated aqueous solution of sodium chloride, and dried over sodium

sulfate. Subsequently, the solvent was distilled off, and the resulting crude product was purified through silica gel chromatography (silica gel 75 g, eluent - hexane : ethyl acetate = 10:1 → 4:1) to obtain 433 mg (yield 27%) of 9-bromo-N-(6-methyl-2-methylthio-3-pyridyl)nonanamide as a colorless crystal (melting point: 80 to 82°C).

To a DMF (1.5 ml) solution of this amide (131 mg, 0.35 mmol) and 2-mercaptobenzoxazole (58 mg, 0.385 mmol) were added 18-crown-6 (9 mg, 0.035 mmol) and potassium carbonate (58 mg, 0.42 mmol), and the mixture was stirred at 80°C for 3 hours. The reaction mixture was diluted with water, and then extracted with ethyl acetate. The organic layer was washed with water and then with a saturated aqueous solution of sodium chloride, and dried over sodium sulfate. Subsequently, the solvent was distilled off, and the resulting crude product was purified through silica gel chromatography (silica gel 30 g, eluent - hexane : ethyl acetate = 4:1 → 3:1) to obtain 123 mg (yield 79%) of the desired compound as a colorless needle crystal.

Melting point: 99 - 100°C

IR (KBr) cm^{-1} : 3421, 3235, 2924, 1655, 1528, 1497, 1455.

^{1}H-NMR ($CDCl_3$) δ :

1.32-1.42 (6H, m), 1.43-1.51 (2H, m), 1.70-1.78 (2H, m), 1.83 (2H, quint, J ≒ 7.4 Hz), 2.40 (2H, t, J = 7.4 Hz), 2.48 (3H, s), 2.61 (3H, s), 3.31 (2H, t, J = 7.4 Hz),

6.90 (1H, d, J = 8.1 Hz), 7.21-7.30 (3H, m),

7.43 (1H, m), 7.60 (1H, m), 8.15 (1H, d, J = 8.1 Hz).

EIMS m/z (relative intensity): 443 (M^+), 311 (100).

Example 12 (Compound No. 465 in Table)

Production of 6-(benzothiazol-2-ylthio)-N-(6-methyl-2-methylthio-3-pyridyl)hexanamide:

The reaction and the treatment were conducted in the same manner as in Example 10 except that 2-mercaptobenzothiazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless needle crystal.

Melting point: 122 - 123°C

IR (KBr) cm^{-1} : 3438, 3290, 1656, 1515, 1431.

^{1}H-NMR ($CDCl_3$) δ :

1.57 - 1.65 (2H, m), 1.82 (2H, quint, J = 7.4 Hz),

1.90 (2H, quint, J = 7.4 Hz), 2.44 (2H, t, J = 7.4 Hz),

2.48 (3H, s), 2.60 (3H, s), 3.37 (2H, t, J = 7.4 Hz),

6.90 (1H, d, J = 8.3 Hz), 7.22(1H, br s) 7.29 (1H, m),

7.41 (1H, m), 7.75 (1H, m), 7.86 (1H, m),

8.13 (1H, J = 8.3 Hz).

EIMS m/z (relative intensity): 417 (M^+), 168 (100).

Elemental analysis: as $C_{20}H_{23}N_3OS_3$

calculated: C, 57.52; H, 5.55: N, 10.06.

found: C, 57.65; H, 5.63: N, 9.97.

Example 13 (Compound No. 468 in Table)

Production of 9-(benzothiazol-2-ylthio)-N-(6-methyl-2-methylthio-3-pyridyl)nonanamide:

The reaction and the treatment were conducted in the same manner as in Example 11 except that 2-mercaptobenzothiazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless needle crystal.

Melting point: 104 - 105°C

IR (KBr) cm^{-1} : 3280, 2924, 1662, 1527, 1428.

^{1}H-NMR ($CDCl_3$) δ :

1.32-1.41 (6H, m), 1.43-1.51 (2H, m), 1.70-1.77 (2H, m), 1.82 (2H, quint, J = 7.4 Hz), 2.40 (2H, t, J = 7.4 Hz), 2.48 (3H, s), 2.61 (3H, s), 3.34 (2H, t, J = 7.4 Hz), 6.90 (1H, d, J = 8.1 Hz), 7.22 (1H, br s) 7.29 (1H, m), 7.41 (1H, m), 7.76 (1H, m), 7.86 (1H, m), 8.15 (1H, d, J = 8.1 Hz),

EIMS m/z (relative intensity): 459 (M^+), 293 (100).

Elemental analysis: as $C_{23}H_{29}N_3OS_3$

calculated: C, 60.10; H, 6.36: N, 9.14.

found: C, 60.17; H, 6.40: N, 9.11.

Example 14 (Compound No. 475 in Table)

Production of 6-(benzimidazol-2-ylthio)-N-(6-methyl-2-methylthio-3-pyridyl)hexanamide:

The reaction and the treatment were conducted in the same

manner as in Example 10 except that 2-mercaptobenzimidazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless crystal.

Melting point: 138 - 140°C

IR (KBr) cm^{-1} : 3385, 3244, 1668, 1509, 1440.

^{1}H-NMR ($CDCl_3$) δ :

1.53 - 1.61 (2H, m), 1.78 (2H, quint, J = 7.6 Hz), 1.82 (2H, quint, J = 7.6 Hz), 2.41 (2H, t, J = 7.6 Hz), 2.48 (3H, s), 2.59 (3H, s), 3.31 (2H, t, J = 7.6 Hz), 6.88 (1H, d, J = 8.3 Hz), 7.16 - 7.23 (2H, m), 7.31-7.32 (2H, m), 7.67 (1H, m), 8.08 (1H, d, J = 8.3 Hz), 9.72 (1H, br s).

EIMS m/z (relative intensity): 400 (M^+), 164 (100).

Elemental analysis: as $C_{20}H_{24}N_4OS_2$

calculated: C, 59.97; H, 6.04: N, 13.99.

found: C, 60.08; H, 6.08: N, 13.94.

Example 15 (Compound No. 478 in Table)

Production of 9-(benzimidazol-2-ylthio)-N-(6-methyl-2-methylthio-3-pyridyl)nonanamide:

The reaction and the treatment were conducted in the same manner as in Example 11 except that 2-mercaptobenzimidazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless needle crystal.

Melting point: 73 - 75°C

IR (KBr) cm^{-1} : 3254, 2926, 1663, 1515, 1438.

^{1}H-NMR ($CDCl_3$) δ :

1.27-1.43 (8H, m), 1.68-1.78 (4H, m),

2.40 (2H, t, J = 7.4 Hz), 2.48 (3H, s), 2.60 (3H, s),

3.31 (2H, t, J = 7.4 Hz), 6.89 (1H, d, J = 8.1 Hz),

7.17-7.20 (2H, m), 7.31-7.33 (2H, m), 7.67 (1H, m),

8.13 (1H, d, J = 8.1 Hz), 9.69 (1H, br s).

Example 16 (Compound No. 781 in Table)

Production of 2-(benzoxazol-2-ylthio)-N-[2,4-bis(methylthio)-6-methyl-3-pyridyl]acetamide:

Triethylamine (274 mg, 2.71 mmol) was added to a chloroform (10 ml) solution of 3-amino-2,4-bis(methylthio)-6-methylpyridine (492 mg, 2.46 mmol), and bromoacetyl bromide (521 mg, 2.58 mmol) was then slowly added thereto dropwise while being cooled with ice. The mixture was stirred at room temperature for 2 hours. The reaction mixture was diluted with water, and then extracted with methylene chloride. The organic layer was washed with 1N hydrochloric acid, water, an aqueous solution of sodium hydrogencarbonate, water and a saturated aqueous solution of sodium chloride in this order, and dried over sodium sulfate. Subsequently, the solvent was distilled off, and the resulting crude product was purified through silica gel chromatography (silica gel 25 g, eluent - hexane : acetone = 7:1 → 5:1 → 3:1) to obtain 100 mg (yield 13%) of 2-bromo-N-[2,4-

bis(methylthio)-6-methyl-3-pyridyl]acetamide as a colorless crystal (melting point: 171 to 172°C).

Potassium carbonate (46 mg, 0.33 mmol) was added to an acetonitrile (5 ml) solution of this amide (96 mg, 0.3 mmol) and 2-mercaptobenzoxazole (45 mg, 0.3 mmol), and the mixture was stirred at room temperature for 90 minutes. The reaction mixture was diluted with water, and then extracted with ethyl acetate. The organic layer was washed with water and then with a saturated aqueous solution of sodium chloride, and dried over sodium sulfate. Subsequently, the solvent was distilled off, and the resulting crude product was purified through silica gel chromatography (silica gel 10 g, eluent - hexane : acetone = 5:2) to obtain 88 mg (yield 75%) of the desired compound as a colorless crystal.

Melting point: 203 - 205°C

IR (KBr) cm^{-1} : 3437, 3238, 1669, 1509, 1454.

^{1}H-NMR ($CDCl_3$) δ :

2.31 (3H, s), 2.41 (3H, s), 2.46 (3H, s), 4.10 (2H, s), 6.61 (1H, s), 7.28 - 7.33 (2H, m), 7.49 (1H, m), 7.60 (1H, m), 8.77 (1H, br s).

EIMS m/z (relative intensity): 391 (M^+), 227 (100).

Elemental analysis: as $C_{17}H_{17}N_3O_2S_3$

calculated: C, 52.15; H, 4.38; N, 10.73.

found: C, 52.14; H, 4.44; N, 10.57.

Example 17 (Compound No. 783 in Table)

Production of 4-(benzoxazol-2-ylthio)-N-[2,4-bis(methylthio)-6-methyl-3-pyridyl]butanamide:

Triethylamine (206 mg, 2.04 mmol) was added to a THF (6 ml) solution of 3-amino-2,4-bis(methylthio)-6-methylpyridine (341 mg, 1.70 mmol), and 4-bromobutanoyl chloride (379 mg, 2.04 mmol) was then slowly added thereto dropwise while being cooled with ice. The mixture was stirred at room temperature for 2 hours. The reaction mixture was diluted with water, and then extracted with ethyl acetate. The organic layer was washed with water and then with a saturated aqueous solution of sodium chloride, and dried over sodium sulfate. Subsequently, the solvent was distilled off, and the resulting crude product was purified through silica gel chromatography (silica gel 75 g, eluent - hexane : acetone = 5:1 → 3:1) to obtain 390 mg (yield 66%) of 4-bromo-N-[2,4-bis(methylthio)-6-methyl-3-pyridyl]butanamide as a colorless crystal (melting point: 139 to 140°C).

To a DMF (2 ml) solution of this amide (105 mg, 0.3 mmol) and 2-mercaptobenzoxazole (50 mg, 0.33 mmol) were added 18-crown-6 (8 mg, 0.03 mmol) and potassium carbonate (50 mg, 0.36 mmol), and the mixture was stirred at 80°C for 3 hours. The reaction mixture was diluted with water, and then extracted with ethyl acetate. The organic layer was washed with water and then with a saturated aqueous solution of sodium chloride, and dried over sodium sulfate. Subsequently, the solvent was distilled

off, and the resulting crude product was purified through preparative thin-layer chromatography (eluent - hexane : ethyl acetate = 3:2, eluted twice) to obtain 67 mg (yield 53%) of the desired compound as a colorless needle crystal.

Melting point: 149 - 150°C

IR (KBr) cm^{-1} : 3437, 3248, 1667, 1503, 1455.

^{1}H-NMR (d6-DMSO) δ :

2.13 (2H, quint, J = 7.2 Hz), 2.37 (3H, s),

2.38 (3H, s), 2.44 (3H, s), 2.49 (2H, t, J = 7.2 Hz),

3.43 (2H, t, J = 7.2 Hz), 6.88 (1H, s),

7.30 - 7.37 (2H, m), 7.64 - 7.68 (2H, m),

9.45 (1H, br s).

EIMS m/z (relative intensity): 419 (M^+, 100).

Elemental analysis: as $C_{19}H_{21}N_3O_2S_3$

calculated: C, 54.39; H, 5.04; N, 10.01.

found: C, 54.58; H, 5.08; N, 9.98.

Example 18 (Compound No. 785 in Table)

Production of 6-(benzoxazol-2-ylthio)-N-[2,4-bis(methylthio)-6-methyl-3-pyridyl]hexanamide:

The reaction and the treatment were conducted in the same manner as in Example 17 except that 6-bromohexanoyl chloride was used instead of 4-bromobutanoyl chloride to obtain the desired compound as a colorless powdery crystal.

Melting point: 120 - 121°C

IR (KBr) cm^{-1} : 3433, 3235, 1662, 1502, 1455.

^{1}H-NMR (d6-DMSO) δ :

1.44 - 1.54 (2H, m), 1.58 - 1.68 (2H, m),

1.72 - 1.82 (2H, m), 2.18 - 2.27 (2H, m), 2.32 (3H, s),

2.34 (3H, s), 2.37 (3H, s), 3.27 (2H, t, J = 7.2 Hz),

6.78 (1H, s), 7.19 - 7.26 (2H, m),

7.47 - 7.53 (2H, m), 8.74 (1H, br s).

EIMS m/z (relative intensity): 446 (M^+-1), 200 (100).

Elemental analysis: as $C_{21}H_{25}N_3O_2S_3$

calculated:	C, 56.35; H, 5.63: N, 9.39; S, 21.49.
found:	C, 56.42; H, 5.62: N, 9.26; S, 21.39.

Example 19 (Compound No. 788 in Table)

Production of 9-(benzoxazol-2-ylthio)-N-[2,4-bis(methylthio)-6-methyl-3-pyridyl]nonanamide:

The reaction and the treatment were conducted in the same manner as in Example 17 except that 9-bromononanoyl chloride was used instead of 4-bromobutanoyl chloride to obtain the desired compound as a colorless powdery crystal.

Melting point: 123 - 124°C

IR (KBr) cm^{-1} : 3461, 3246, 1671, 1504, 1454.

^{1}H-NMR (d6-DMSO) δ :

1.26 - 1.46 (8H, m), 1.53 - 1.63 (2H, m),

1.72 - 1.83 (2H, m), 2.24 (2H, t, J = 7.3 Hz),

2.37 (3H, s), 2.38 (3H, s), 2.43 (3H, s),

3.31 - 3.41 (2H, m), 6.86 (1H, s), 7.27 - 7.34 (2H, m), 7.58 - 7.66 (2H, m), 9.26 (1H, br s).

EIMS m/z (relative intensity): 489 (M^+, 100).

Elemental analysis: as $C_{24}H_{31}N_3O_2S_3$

calculated: C, 58.86; H, 6.38: N, 8.58; S, 19.64.

found: C, 58.94; H, 6.37: N, 8.44; S, 19.55.

Example 20 (Compound No. 793 in Table)

Production of 4-(benzothiazol-2-ylthio)-N-[2,4-bis(methylthio)-6-methyl-3-pyridyl]butanamide:

The reaction and the treatment were conducted in the same manner as in Example 17 except that 2-mercaptobenzothiazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless crystal.

Melting point: 131 - 133°C

IR (KBr) cm^{-1} : 3435, 3250, 1665, 1509, 1428.

^{1}H-NMR (d6-DMSO) δ :

2.11 (2H, quint, J = 7.2 Hz), 2.37 (3H, s), 2.38 (3H, s), 2.44 (3H, s), 2.49 (2H, t, J = 7.2 Hz), 3.46 (2H, t, J = 7.2 Hz), 6.88 (1H, s), 7.37 (1H, m), 7.47 (1H, m), 7.87 (1H, m), 8.02 (1H, m), 9.45 (1H, s).

EIMS m/z (relative intensity): 435 (M^+), 168 (100).

Elemental analysis: as $C_{19}H_{21}N_3OS_4$

calculated: C, 52.39; H, 4.86: N, 9.65.

found: C, 52.39; H, 4.84: N, 9.56.

Example 21 (Compound No. 795 in Table)

Production of 6-(benzothiazol-2-ylthio)-N-[2,4-bis(methylthio)-6-methyl-3-pyridyl]hexanamide:

The reaction and the treatment were conducted in the same manner as in Example 18 except that 2-mercaptobenzothiazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a pale yellow crystal.

Melting point: 123 - 125°C

IR (KBr) cm^{-1} : 3433, 3258, 2923, 1661, 1429

^{1}H-NMR (d6-DMSO) δ :

1.49 - 1.58 (6H, m), 1.67 (2H, quint, J = 7.2 Hz),

1.83 (2H, quint, J = 7.2 Hz), 2.29 (2H, t, J = 7.2 Hz),

2.38 (3H, s), 2.39 (3H, s), 2.45 (3H, s),

3.38 (2H, t, J = 7.2 Hz), 6.68 (1H, s),

7.36 (1H, td, J = 8.0, 1.0 Hz),

7.46 (1H, td, J = 8.0, 1.0 Hz),

7.86 (1H, dd, J = 8.0, 1.0 Hz),

8.01 (1H, br d, J = 8.0 Hz), 9.31 (1H, s).

EIMS m/z (relative intensity): 463 (M^+), 201 (100).

Elemental analysis: as $C_{21}H_{25}N_3OS_4$

calculated: C, 54.40; H, 5.43: N, 9.06; S, 27.66.

found: C, 54.42; H, 5.45: N, 8.79; S, 27.68.

Example 22 (Compound No. 798 in Table)

Production of 9-(benzothiazol-2-ylthio)-N-[2,4-bis(methylthio)-6-methyl-3-pyridyl]nonanamide:

The reaction and the treatment were conducted in the same manner as in Example 19 except that 2-mercaptobenzothiazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless powdery crystal.

Melting point: 126 - 127°C

IR (KBr) cm^{-1} : 3440, 3252, 2924, 1661, 1430.

^{1}H-NMR (d6-DMSO) δ :

1.31 - 1.52 (8H, m), 1.59 - 1.68 (2H, m),

1.77 - 1.85 (2H, m), 2.23 - 2.33 (2H, m), 2.40 (3H, s),

2.42 (3H, s), 2.45 (3H, s), 3.36 (2H, t, J = 7.2 Hz),

6.86 (1H, s), 7.34 (1H, dt, J = 7.8 , 1.2 Hz),

7.44 (1H, dt, J = 7.8 , 1.2 Hz),

7.83 (1H, d, J = 8.3 Hz),

7.93 (1H, dt, J = 7.8 , 0.6 Hz), 8.78 (1H, br s).

EIMS m/z (relative intensity): 504 (M+-1), 200 (100).

Elemental analysis: as $C_{24}H_{31}N_3OS_4$

calculated: C, 57.00; H, 6.18: N, 8.31; S, 25.36.

found: C, 57.08; H, 6.17: N, 8.15; S, 25.41.

Example 23 (Compound No. 803 in Table)

Production of 4-(benzimidazol-2-ylthio)-N-[2,4-bis(methylthio)-6-methyl-3-pyridyl]butanamide:

The reaction and the treatment were conducted in the same manner as in Example 17 except that 2-mercaptobenzimidazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a pale yellow needle crystal.

Melting point: 177 - 179°C

IR (KBr) cm^{-1} : 3421, 3147, 1659, 1645, 1438.

^{1}H-NMR (d6-DMSO) δ :

2.06 (2H, quint, J = 7.2 Hz), 2.38 (3H, s),
2.39 (3H, s), 2.44 (3H, s), 2.46 (2H, t, J = 7.2 Hz),
3.36 (2H, t, J = 7.2 Hz), 6.88 (1H, s),
7.09 - 7.13 (2H, m), 7.34 - 7.52 (2H, m), 9.48 (1H, s),
12.54 (1H, br s).

EIMS m/z (relative intensity): 418 (M^+), 150 (100).

Example 24 (Compound No. 805 in Table)

Production of 6-(benzimidazol-2-ylthio)-N-[2,4-bis(methylthio)-6-methyl-3-pyridyl]hexanamide:

The reaction and the treatment were conducted in the same manner as in Example 18 except that 2-mercaptobenzimidazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless crystal.

Melting point: 139 - 141°C

IR (KBr) cm^{-1} : 3433, 3244, 2924, 1659, 1437.

^{1}H-NMR (d6-DMSO) δ :

1.47 - 1.56 (2H, m), 1.65 (2H, quint, J = 7.2 Hz),

1.76 (2H, quint, J = 7.2 Hz), 2.28 (2H, t, J = 7.2 Hz),
2.38 (3H, s), 2.39 (3H, s), 2.44 (3H, s),
3.29 (2H, t, J = 7.2 Hz), 6.68 (1H, s),
7.08 - 7.13 (2H, m), 7.36 (1H, m), 7.50 (1H, m),
9.30 (1H, s), 12.50 (1H, br s)

EIMS m/z (relative intensity): 446 (M^+), 200 (100).

Example 25 (Compound No. 808 in Table)

Production of 9-(benzimidazol-2-ylthio)-N-[2,4-bis(methylthio)-6-methyl-3-pyridyl]nonanamide:

The reaction and the treatment were conducted in the same manner as in Example 19 except that 2-mercaptobenzimidazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless powdery crystal.

IR (KBr) cm^{-1} : 3146, 2925, 2854, 1660, 1523, 1437.

^{1}H-NMR (d6-DMSO) δ :

1.25 - 1.44 (8H, m), 1.53 - 1.61 (2H, m),
1.65 - 1.74 (2H, m), 2.24 (2H, t, J = 7.3 Hz),
2.37 (3H, s), 2.38 (3H, s), 2.43 (3H, s),
3.26 (2H, t, J = 7.1 Hz), 6.86 (1H, s),
7.07 - 7.12 (2H, m), 7.32 - 7.37 (1H, m),
7.46 - 7.54 (1H, m), 9.26 (1H, s).

EIMS m/z (relative intensity): 488 (M^+), 150 (100).

Example 26 (Compound No. 811 in Table)

Production of 2-(benzoxazol-2-ylthio)-N-[2,4-bis(ethylthio)-6-methyl-3-pyridyl]acetamide:

Ethanethiol (1.55 g, 25 mmol) was added dropwise to an ethanol (50 ml) solution of sodium ethoxide (1.27 g, 25 mmol) while being cooled with ice, and the mixture was stirred for 30 minutes. While being cooled with ice, a DMF (40 ml) solution of 2,4-dichloro-6-methyl-3-nitropyridine (2.1 g, 10 mmol) was slowly added thereto dropwise. After the mixture was stirred for 2 hours, the reaction mixture was extracted with ethyl acetate. The organic layer was washed with water and then with a saturated aqueous solution of sodium chloride, and dried over sodium sulfate. Subsequently, the solvent was distilled off to obtain 2.45 g (yield 95%) of 2,4-bis(ethylthio)-6-methyl-3-nitropyridine as a yellow needle crystal.

This nitropyridine (775 mg, 3 mmol) was dissolved in a mixed solvent of acetic acid (30 ml) and conc. hydrochloric acid (1.5 ml), and zinc (4 g, 60 mmol) was added thereto in small portions while being cooled with ice. After the mixture was stirred for 10 minutes, the reaction mixture was filtered, and the filtrate was neutralized with a sodium hydroxide aqueous solution, and extracted with ethyl acetate. The organic layer was washed with water and then with a saturated aqueous solution of sodium chloride, and dried over sodium sulfate. Subsequently, the solvent was distilled off to obtain 590 mg (yield 86%) of 3-amino-2,6-bis(ethylthio)-6-methylpyridine as a yellow oil.

Triethylamine (304 mg, 3 mmol) was added to a THF (10 ml) solution of this aminopyridine (590 mg, 2.6 mmol), and bromoacetyl bromide (606 mg, 3 mmol) was then slowly added thereto dropwise while being cooled with ice. The mixture was stirred at room temperature for 1 hour. The reaction mixture was filtered, and the filtrate was concentrated. Then, the residue was purified through silica gel chromatography (silica gel 60 g, eluent - hexane : acetone = 10:1 → 5:1) to obtain 410 mg (yield 45%) of 2-bromo-N-[2,4-bis(ethylthio)-6-methyl-3-pyridyl]acetamide as a light brown needle crystal. Potassium carbonate (46 mg, 0.33 mmol) was added to an acetonitrile (3 ml) solution of this amide (105 mg, 0.3 mmol) and 2-mercaptobenzoxazole (45 mg, 0.3 mmol), and the mixture was stirred at room temperature for 2 hours. The reaction mixture was extracted with ethyl acetate. The organic layer was washed with water and then with a saturated aqueous solution of sodium chloride, and dried over magnesium sulfate. Subsequently, the solvent was distilled off, and the resulting crude product was purified through preparative thin-layer chromatography (eluent - hexane : ethyl acetate = 3:1) to obtain 70 mg (yield 56%) of the desired compound as a colorless needle crystal.

Melting point: 143 - 145°C

IR (KBr) cm^{-1} : 3429, 3224, 1673, 1509, 1454.

^{1}H-NMR ($CDCl_3$) δ :

1.17 (3H, t, J = 7.3 Hz), 1.20 (3H, t, J = 7.5 Hz),

2.43 (3H, s), 2.81 (2H, q, J = 7.3 Hz),
3.04 (2H, q, J = 7.5 Hz), 4.11 (2H, s),
6.63 (1H, s), 7.25 - 7.33 (2H, m), 7.48 (1H, m),
7.61 (1H, m), 8.63 (1H, br s).

EIMS m/z (relative intensity): 419 (M^+), 268 (100).

Elemental analysis: as $C_{19}H_{21}N_3O_2S_3$

calculated: C, 54.39; H, 5.04: N, 10.01.

found: C, 54.39; H, 5.05: N, 10.00.

Example 27 (Compound No. 815 in Table)

Production of 6-(benzoxazol-2-ylthio)-N-[2,4-bis(ethylthio)-6-methyl-3-pyridyl]hexanamide:

The reaction and the treatment were conducted in the same manner as in Example 26 except that 6-bromohexanoyl chloride was used instead of bromoacetyl bromide to obtain 6-bromo-N-[2,4-bis(ethylthio)-6-methyl-3-pyridyl]hexanamide. To a DMF (2 ml) solution of this amide (122 mg, 0.3 mmol) and 2-mercaptobenzoxazole (45 mg, 0.3 mmol) were added potassium carbonate (46 mg, 0.33 mmol) and 18-crown-6 (8 mg, 0.03 mmol), and the mixture was stirred at 80°C for 1.5 hours. The reaction mixture was allowed to cool, and then extracted with ethyl acetate. The organic layer was washed with water and then with a saturated aqueous solution of sodium chloride, and dried over sodium sulfate. Subsequently, the solvent was distilled off, and the resulting residue was purified through preparative

thin-layer chromatography (eluent - hexane : acetone = 5:2) to obtain 65 mg (yield 46%) of the desired compound as a light brown needle crystal.

Melting point: 100 - 103°C

IR (KBr) cm^{-1} : 3233, 2928, 1668, 1504, 1455.

^{1}H-NMR (d6-DMSO) δ :

1.26 (3H, t, J = 7.3 Hz), 1.27 (3H, t, J = 7.3 Hz), 1.58 (2H, m), 1.70 (2H, m), 1.85 (2H, m), 2.32 (2H, m), 2.43 (3H, s), 2.94 (2H, q, J = 7.3 Hz), 3.07 (2H, q, J = 7.3 Hz), 3.35 (2H, t, J = 7.3 Hz), 6.89 (1H, s), 7.26 - 7.34 (2H, m), 7.54 - 7.62 (2H, m), 8.77 (1H, br s).

EIMS m/z (relative intensity): 475 (M^+, 100).

Elemental analysis: as $C_{23}H_{29}N_3O_2S_3$

calculated: C, 58.08; H, 6.14; N, 8.83; S, 20.22.

found: C, 58.07; H, 6.13; N, 8.66; S, 20.27.

Example 28 (Compound No. 818 in Table)

Production of 9-(benzoxazol-2-ylthio)-N-[2,4-bis(ethylthio)-6-methyl-3-pyridyl]nonanamide:

The reaction and the treatment were conducted in the same manner as in Example 27 except that 9-bromononanoyl chloride was used instead of 6-bromohexanoyl bromide to obtain the desired compound as a colorless needle crystal.

Melting point: 84 - 87°C

IR (KBr) cm^{-1} : 3252, 2923, 1665, 1501, 1455.

^{1}H-NMR (d6-DMSO) δ :

1.26 (3H, t, J = 7.3 Hz), 1.27 (3H, t, J = 7.3 Hz),

1.28 - 1.52 (8H, m), 1.63 (2H, m),

1.82 (2H, quint, J = 7.2 Hz), 2.26 (2H, m),

2.43 (3H, s), 2.94 (2H, q, J = 7.3 Hz),

3.07 (2H, q, J = 7.3 Hz), 3.34 (2H, t, J = 7.2 Hz),

6.88 (1H, s), 7.26 - 7.34 (2H, m), 7.54 - 7.62 (2H, m),

8.72 (1H, br s).

EIMS m/z (relative intensity): 517 (M^+), 367 (100).

Elemental analysis: as $C_{26}H_{35}N_3O_2S_3$

calculated: C, 60.31; H, 6.81; N, 8.12.

found: C, 60.52; H, 6.85; N, 7.85.

Example 29 (Compound No. 821 in Table)

Production of 2-(benzothiazol-2-ylthio)-N-[2,4-bis(ethylthio)-6-methyl-3-pyridyl]acetamide:

The reaction and the treatment were conducted in the same manner as in Example 26 except that 2-mercaptobenzothiazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless needle crystal.

Melting point: 119 - 120°C

IR (KBr) cm^{-1} : 3453, 3254, 1672, 1510, 1428.

^{1}H-NMR ($CDCl_3$) δ :

1.20 (3H, t, J = 7.4 Hz), 1.22 (3H, t, J = 7.4 Hz),

2.42 (3H, s), 2.82 (2H, q, J = 7.4 Hz),

3.06 (2H, q, J = 7.4 Hz), 4.18 (2H, s), 6.63 (1H, s),

7.33 (1H, m), 7.42 (1H, m), 7.77 (1H, m), 7.91 (1H, m),

8.95 (1H, br s).

EIMS m/z (relative intensity): 435 (M^+), 148 (100).

Elemental analysis: as $C_{19}H_{21}N_3OS_4$

calculated: C, 52.39; H, 4.86; N, 9.65.

found: C, 52.40; H, 4.86; N, 9.53.

Example 30 (Compound No. 825 in Table)

Production of 6-(benzothiazol-2-ylthio)-N-[2,4-bis(ethylthio)-6-methyl-3-pyridyl]hexanamide:

The reaction and the treatment were conducted in the same manner as in Example 27 except that 2-mercaptobenzothiazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless needle crystal.

Melting point: 81 - 83°C

IR (KBr) cm^{-1} : 3150, 2927, 1647, 1524, 1428.

^1H-NMR (d6-DMSO) δ :

1.25 (3H, t, J = 7.3 Hz), 1.26 (3H, t, J = 7.3 Hz),

1.57 (2H, m), 1.69 (2H, m), 1.84 (2H, m), 2.29 (2H, m),

2.42 (3H, s), 2.93 (2H, q, J = 7.3 Hz),

3.05 (2H, q, J = 7.3 Hz), 3.36 (2H, t, J = 7.3 Hz),

6.87 (1H, s), 7.33 (1H, m), 7.43 (1H, m),

7.82 (1H, m), 7.92 (1H, m), 8.77 (1H, br s).

EIMS m/z (relative intensity): 491 (M^+), 168 (100).

Elemental analysis: as $C_{23}H_{29}N_3OS_4$

calculated: C, 56.18; H, 5.94; N, 8.55; S, 26.08.

found: C, 56.19; H, 5.91; N, 8.43; S, 26.06.

Example 31 (Compound No. 828 in Table)

Production of 9-(benzothiazol-2-ylthio)-N-[2,4-bis(ethylthio)-6-methyl-3-pyridyl]nonanamide:

The reaction and the treatment were conducted in the same manner as in Example 28 except that 2-mercaptobenzothiazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless needle crystal.

Melting point: 88 - 92°C

IR (KBr) cm^{-1} : 3433, 3241, 2928, 1668, 1510.

^{1}H-NMR (d6-DMSO) δ :

1.25 (3H, t, J = 7.3 Hz), 1.26 (3H, t, J = 7.3 Hz),

1.28 - 1.54 (8H, m), 1.62 (2H, m),

1.80 (2H, quint, J = 7.2 Hz), 2.24 (2H, m),

2.42 (3H, s), 2.93 (2H, q, J = 7.3 Hz),

3.05 (2H, q, J = 7.3 Hz), 3.35 (2H, t, J = 7.2 Hz),

6.87 (1H, s), 7.33 (1H, m), 7.43 (1H, m),

7.81 (1H, m), 7.92 (1H, m), 8.72 (1H, br s).

Example 32 (Compound No. 831 in Table)

Production of 2-(benzimidazol-2-ylthio)-N-[2,4-

bis(ethylthio)-6-methyl-3-pyridyl]acetamide:

The reaction and the treatment were conducted in the same manner as in Example 26 except that 2-mercaptobenzimidazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless needle crystal.

Melting point: 182 - 183°C

IR (KBr) cm^{-1} : 3148, 2928, 1674, 1524, 1412.

^{1}H-NMR (d6-DMSO) δ :

1.21 (3H, t, J = 7.3 Hz), 1.21 (3H, t, J = 7.3 Hz),
2.41 (3H, s), 2.90 (2H, q, J = 7.3 Hz),
3.03 (2H, q, J = 7.3 Hz), 4.15 (2H, br s),
6.87 (1H, s), 7.08 - 7.12 (2H, m), 7.39 - 7.44 (2H, m).

EIMS m/z (relative intensity): 418 (M^+), 357 (100).

Elemental analysis: as $C_{19}H_{22}N_4OS_3$

calculated: C, 54.52; H, 5.30; N, 13.38.

found: C, 54.44; H, 5.30; N, 13.16.

Example 33 (Compound No. 835 in Table)

Production of 6-(benzimidazol-2-ylthio)-N-[2,4-bis(ethylthio)-6-methyl-3-pyridyl]hexanamide:

The reaction and the treatment were conducted in the same manner as in Example 27 except that 2-mercaptobenzimidazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless needle crystal.

Melting point: 139 - 142°C

IR (KBr) cm^{-1} : 3433, 3143, 2928, 1660, 1510.

^1H-NMR ($CDCl_3$) δ :

1.25 (3H, t, J = 7.3 Hz), 1.26 (3H, t, J = 7.3 Hz),
1.54 (2H, m), 1.68 (2H, m), 1.77 (2H, m), 2.28 (2H, m),
2.42 (3H, s), 2.92 (2H, q, J = 7.3 Hz),
3.05 (2H, q, J = 7.3 Hz), 3.27 (2H, t, J = 7.2 Hz),
6.87 (1H, s), 7.05 - 7.11 (2H, m), 7.27 - 7.52 (2H, m),
8.75 (1H, br s), 12.05 (1H, br s).

Example 34 (Compound No. 838 in Table)

Production of 9-(benzimidazol-2-ylthio)-N-[2,4-bis(ethylthio)-6-methyl-3-pyridyl]nonanamide:

The reaction and the treatment were conducted in the same manner as in Example 28 except that 2-mercaptobenzimidazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless needle crystal.

Melting point: 76 - 78°C

IR (KBr) cm^{-1} : 3104, 2928, 2854, 1658, 1526.

^1H-NMR (d6-DMSO) δ :

1.25 (3H, t, J = 7.3 Hz), 1.26 (3H, t, J = 7.3 Hz),
1.28 - 1.49 (8H, m), 1.61 (2H, m),
1.73 (2H, quint, J = 7.2 Hz), 2.24 (2H, m),
2.42 (3H, s), 2.92 (2H, q, J = 7.3 Hz),
3.05 (2H, q, J = 7.3 Hz), 3.26 (2H, t, J = 7.2 Hz),
6.87 (1H, s), 7.05 - 7.10 (2H, m), 7.24 - 7.54 (2H, m),

8.71 (1H, br s) , 12.05 (1H, br s).

Example 35 (Compound No. 841 in Table)

Production of 2-(benzoxazol-2-ylthio)-N-[2,4-bis(isopropylthio)-6-methyl-3-pyridyl]acetamide:

To a 2-propanol (50 ml) solution of sodium isopropoxide (2.05 g, 25 mmol) was added dropwise 2-propanethiol (1.90, 25 mmol) while being cooled with ice, and the mixtrue was stirred for 30 minutes. While being cooled with ice, a DMF (40 ml) solution of 2,4-dichloro-6-methyl-3-nitropyridine (2.07 g, 10 mmol) was slowly added thereto dropwise. After the mixture was stirred for 2 hours, the reaction mixture was extracted with ethyl acetate. The organic layer was washed with water and then with a saturated aqueous solution of sodium chloride, and dried over sodium sulfate. Subsequently, the solvent was distilled off to obtain 2.77 g (yield 97%) of 2,4-bis(isopropylthio)-6-methyl-3-nitropyridine as a yellow needle crystal.

This nitropyridine (1.08 g, 3.77 mmol) was dissolved in a mixed solvent of acetic acid (35 ml) and conc. hydrochloric acid (1.6 ml), and zinc (2.96 g, 45.25 mmol) was added thereto in small portions while being cooled with ice. After the mixture was stirred for 1 hour, the reaction mixture was filtered, and the filtrate was neutralized with an aqueous solution of sodium hydrogencarbonate, and extracted with chloroform. The organic layer was washed with water and then with a saturated aqueous

solution of sodium chloride, and dried over sodium sulfate. Subsequently, the solvent was distilled off, and the resulting residue was purified through silica gel column chromatography (eluent - hexane : ethyl acetate = 30:1 → 10:1) to obtain 774 mg (yield 80%) of 3-amino-2,4-bis(isopropylthio)-6-methylpyridine as a yellow oil. Triethylamine (336 mg, 3.32 mmol) was added to a THF (10 ml) solution of this aminopyridine (774 mg, 3.02 mmol), and bromoacetyl bromide (732 mg, 3.62 mmol) was then slowly added thereto dropwise while being cooled with ice, and the mixture was stirred for 17 hours. The reaction mixture was filtered, and the filtrate was concentrated. Then, the residue was purified through silica gel chromatography (eluent - hexane : ethyl acetate = 10:1) to obtain 595 mg (yield 52%) of 2-bromo-N-[2,4-bis(isopropylthio)-6-methyl-3-pyridyl]acetamide as a colorless powdery crystal. sodium hydrogencarbonate (29 mg, 0.35 mmol) was added to an acetonitrile (5 ml) solution of this amide (132 mg, 0.35 mmol) and 2-mercaptobenzoxazole (53 mg, 0.35 mmol), and the mixture was stirred at room temperature for 28 hours. The reaction mixture was extracted with ethyl acetate. The organic layer was washed with water and then with a saturated aqueous solution of sodium chloride, and dried over magnesium sulfate. Subsequently, the solvent was distilled off, and the resulting crude product was purified through preparative thin-layer chromatography (eluent - hexane : benzen = 6:1) to obtain 69 mg (yield 44%) of the desired

compound as a colorless powdery crystal.

Melting point: 151 - 152°C

IR (KBr) cm^{-1} : 3404, 2967, 1743, 1637, 1360.

^{1}H-NMR ($CDCl_3$) δ :

1.37 - 1.40 (12H, m), 2.52 (3H, s),

3.58 (1H, sept, J = 6.8 Hz),

4.06 (2H, s), 4.11 (1H, sept, J = 6.8 Hz), 6.01 (1H, s),

6.81 - 6.86 (2H, m), 6.92 (1H, dd, J = 8.1, 1.3 Hz),

7.00 - 7.07 (2H, m).

Example 36 (Compound No. 845 in Table)

Production of 6-(benzoxazol-2-ylthio)-N-[2,4-bis(isopropylthio)-6-methyl-3-pyridyl]hexanamide:

The reaction and the treatment were conducted in the same manner as in Example 35 except that 6-bromohexanoyl chloride was used instead of bromoacetyl bromide to obtain 6-bromo-N-[2,4-bis(isopropylthio)-6-methyl-3-pyridyl]hexanamide. To a DMF (4 ml) solution of this amide (100 mg, 0.23 mmol) and 2-mercaptobenzoxazole (35 mg, 0.23 mmol) were added potassium carbonate (38 mg, 0.28 mmol) and 18-crown-6 (6 mg, 0.02 mmol), and the mixture was stirred at 80°C for 2.5 hours. The reaction mixture was allowed to cool, and then extracted with ethyl acetate. The organic layer was washed with water and then with a saturated aqueous solution of sodium chloride, and dried over sodium sulfate. Subsequently, the solvent was distilled off,

and the resulting residue was purified through preparative thin-layer chromatography (eluent - hexane : ethyl acetate = 3:1) to obtain 92 mg (yield 79%) of the desired compound as a colorless powdery crystal.

Melting point: 98 - 100°C

IR (KBr) cm^{-1} : 3135, 2961, 1648, 1498, 1454, 1133.

^{1}H-NMR (d6-DMSO) δ :

1.32 (6H, d, J = 6.8 Hz), 1.35 (6H, d, J = 6.8 Hz),
1.55 - 1.64 (2H, m), 1.65 - 1.75 (2H, m),
1.82 - 1.92 (2H, m), 2.23 - 2.36 (2H, m), 2.46 (3H, s),
3.38 (2H, t, J = 7.1 Hz), 3.59 (1H, sept, J = 6.8 Hz),
3.93 (1H, sept, J = 6.8 Hz), 6.96 (1H, s),
7.29 - 7.37 (2H, m), 7.57 - 7.64 (2H, m),
8.95 (1H, br s).

Example 37 (Compound No. 1237 in Table)

Production of 6-(oxazolo[4,5-b]pyridin-2-ylthio)-N-[2,4-bis(methylthio)-6-methyl-3-pyridyl]hexanamide:

To a DMF (4 ml) solution of 6-bromo-N-[2,4-bis(methylthio)-6-methyl-3-pyridyl]hexanamide (100 mg, 0.27 mmol) and 2-mercaptoxazolo[4,5-b]pyridine (40 mg, 0.27 mmol) were added 18-crown-6 (7 mg, 0.03 mmol) and potassium carbonate (40 mg, 0.29 mmol), and the mixture was stirred at 80°C for 4 hours. The reaction mixture was diluted with water, and then extracted with ethyl acetate. The organic layer was washed with

water and then with a saturated aqueous solution of sodium chloride, and dried over magnesium sulfate. Subsequently, the solvent was distilled off, and the resulting crude product was purified through preparative thin-layer chromatography (eluent - hexane : acetone = 2:1) to obtain 85 mg (yield 72%) of the desired compound as a colorless powdery crystal.

Melting point: 132 - 133°C

IR (KBr) cm^{-1} : 3435, 3243, 2923, 1655, 1493, 1404.

^{1}H-NMR (d6-DMSO) δ :

1.53-1.63(2H,m), 1.65-1.76(2H,m), 1.83-1.93(2H,m),
2.27-2.35(2H,m), 2.40(3H,s),2.42(3H,s),2.45(3H,s),
3.40(2H,t,J=7.3Hz), 6.86(1H,S),
7.30(1H,dd,J=8.1,4.9Hz), 7.97(1H,dd,J=8.1,1.3HZ),
8.42(1H,dd,J=4.9,1.3HZ), 8.83(1H,br s).

EIMS m/z (relative intensity) : 447 (M^+-1), 400(100).

Elemental analysis: as $C_{20}H_{24}N_4O_2S_3$

calculated: C, 53.55; H, 5.39; N, 12.59; S, 21.44.

found: C, 53.72; H, 5.39; N, 12.41; S, 21.51.

Example 38 (Compound No. 1238 in Table)

Production of 6-(7-methoxycarbonylbenzoxazol-2-ylthio)-N-[2,4-bis(methylthio)-6-methyl-3-pyridyl]hexanamide:

The reaction and the treatment were conducted in the same manner as in Example 37 except that 7-methoxycarbonyl-2-mercaptobenzoxazole was used instead of 2-

mercaptoxazolo[4,5-b]pyridine to obtain the desired compound as a colorless powdery crystal.

Melting point: 141 - 142°C

IR (KBr) cm^{-1} : 3425, 3236, 2923, 1726, 1667, 1509.

^{1}H-NMR (d6-DMSO) δ :

1.54-1.63(2H,m), 1.67-1.76(2H,m), 1.84-1.93(2H,m), 2.28-2.35(2H,m), 2.40(3H,s), 2.42(3H,s), 2.45(3H,s), 3.39(2H,t,J=7.1Hz), 3.95(3H,s), 6.86(1H,s), 7.44(1H,t,J=7.8Hz), 7.81(1H,dd,J=7.8,1.2Hz), 7.85(1H,dd,J=7.8,1.2Hz), 8.82(1H,br s).

EIMS m/z (relative intensity) : 504 (M^+-1), 167(100).

Elemental analysis: as $C_{23}H_{27}N_3O_4S_3$

calculated: C, 54.63; H, 5.38; N, 8.31; S, 19.02.

found: C, 54.70; H, 5.37; N, 8.27; S, 19.15.

Example 39 (Compound No. 1240 in Table)

Production of 9-(7-methoxycarbonylbenzoxazol-2-ylthio)-N-[2,4-bis(methylthio)-6-methyl-3-pyridyl]nonanamide:

To a DMF (4 ml) solution of 9-bromo-N-[2,4-bis(methylthio)-6-methyl-3-pyridyl]nonanamide (90 mg, 0.22 mmol) and 7-methoxycarbonyl-2-mercaptobenzoxazole (45 mg, 0.22 mmol) were added 18-crown-6 (6 mg, 0.02 mmol) and potassium carbonate (36 mg, 0.26 mmol), and the mixture was stirred at 80 °C for 4 hours. The réaction mixture was diluted with water, and then extracted with ethyl acetate. The organic layer was

washed with water and then with a saturated aqueous solution of sodium chloride, and dried over magnesium sulfate. Subsequently, the solvent was distilled off, and the resulting crude product was recrystallized from a mixture of ethyl acetate and hexane to obtain 84 mg (yield 72%) of the desired compound as a colorless powdery crystal.

Melting point: 126 - 128°C

IR (KBr) cm^{-1} : 3231, 2924, 1720, 1657, 1508, 1297

^{1}H-NMR (d6-DMSO) δ :

1.27-1.47(8H,m), 1.54-1.62(2H,m), 1.74-1.85(2H,m),
2.24(2H,t,J=7.3Hz), 2.37(3H,s),2.38(3H,s),2.43(3H,s),
3.31-3.41(2H,m), 3.91(3H,s), 6.86(1H,s),
7.45(1H,t,J=7.8Hz), 7.81(1H,dd,J=7.8,1.0Hz),
7.91(1H,dd,J=7.8,1.0Hz), 9.26(1H,s).

EIMS m/z (relative intensity) : 546(M^+-1), 500(100).

Elemental analysis: as $C_{26}H_{33}N_3O_4S_3$

calculated: C, 57.01; H, 6.07; N, 7.67; S, 17.56.

found: C, 57.10; H, 5.95; N, 7.67; S, 17.60.

Examples 40 (Compound No. 151 in Table)

Production of 2-(benzoxazol-2-ylthio)-N-(4-methyl-2-methylthio-3-pyridyl)acetamide:

The reaction and the treatment were conducted in the same manner as in Example 16 except that 3-amino-4-methyl-2-methylthiopyridine was used instead of 3-amino-2,4-bis(methylthio)-6-methylpyridine to obtain the desired compound as a colorless needle crystal.

Melting point : 146 - 148℃
IR (KBr) cm^{-1}: 3437, 3245, 1671, 1659, 1507, 1454.
^1H-NMR ($CDCl_3$) δ:
2.17 (3H, s), 2.42 (3H, s), 4.11 (2H, s),
6.87 (1H, d, J = 4.9 Hz),
7.28 - 7.34 (2H, m), 7.50 (1H, m), 7.61 (1H, m),
8.23 (1H, d, J = 4.9 Hz), 8.88 (1H, br s).

EIMS m/z (relative intensity): 345 (M^+, 100).

Elemental analysis: as $C_{16}H_{15}N_3O_2S_2$

calculated: C, 55.63; H, 4.38; N, 12.16; S, 18.56.

found: C, 55.66; H, 4.46; N, 12.02; S, 18.55.

Example 41 (Compound No. 155 in Table)

Production of 6-(benzoxazol-2-ylthio)-N-(4-methyl-2-methylthio-3-pyridyl)hexanamide:

The reaction and the treatment were conducted in the same manner as in Example 18 except that 3-amino-4-methyl-2-methylthiopyridine was used instead of 3-amino-2,4-bis(methylthio)-6-methylpyridine to obtain the desired compound

as a colorless needle crystal.

Melting point: 122 - 124℃
IR (KBr) cm^{-1}: 3437, 3245, 1660, 1521, 1507, 1133.
^{1}H-NMR (d_6−DMSO) δ:
1.49 - 1.56 (2H, m), 1.68 (2H, quint, J = 7.4 Hz),
1.84 (2H, quint, J = 7.4 Hz), 2.09 (3H, s),
2.33 (2H, t, J = 7.4 Hz), 2.40 (3H, s),
3.36 (2H, t, J = 7.4 Hz),
7.02 (1H, d, J = 4.9 Hz), 7.29 - 7.36 (2H, m),
7.61 - 7.66 (2H, m),8.24 (1H, d, J = 4.9 Hz),
9.40 (1H, br s).

EIMS m/z (relative intensity): 401 (M^+, 100).

Elemental analysis: as $C_{20}H_{23}N_3O_2S_2$

calculated: C, 59.82; H, 5.77; N, 10.46; S, 15.97.

found: C, 59.93; H, 5.89; N, 10.34; S, 15.99.

Example 42 (Compound No. 365 in Table)

Production of 6-(benzoxasole-2-ylthio)-N-(6-methoxy-2-methylthio-3-pyridyl)hexanamide:

A methanol (100 ml) solution of 2-chloro-6-methoxy-3-nitropyridine (2.0 g, 10.4 mmol) was added dropwise to a methanol (20 ml) solution of sodium thiomethoxide (805 mg, 10.9 mmol) while being cooled with ice, and the temperature thereof was raised to the room temperature and the mixed solution was stirred for 17 hours and the precipitated crystal was filtered to obtain 1.26 g (yield 59%) of 6-methoxy-2-methylthio-3-nitropyridine as a yellow powdery crystal,

This nitropyridine (400 mg, 2.0 mmol) was dissolved in a

mixed solvent of acetic acid (20 ml) and conc. hydrochloric acid (0.5 ml), and zinc (1.57 g, 24.0 mmol) was added thereto in small portions while being cooled with ice for 5 minutes. After the mixture was stirred for 40 minutes at the room temperature, the reaction mixture was filtered, and the filtrate was neutralized with an aqueous solution of sodium hydrogencarbonate, and extracted with methylene chloride. The organic layer was washed with water and then with a saturated aqueous solution of sodium chloride, and dried over sodium sulfate. Thereafter, the solvent was distilled off, and the resulting crude product was purified through silica gel chromatography (eluent - hexane:ethyl acetate = 6:1 → 4:1) to obtain 264 mg (yield 78%) of 3-amino-6-methoxy-2-methylthiopyridine as a pale brown powdery crystal.

And then the reaction and the treatment were conducted in the same manner as in Example 18 except that 3-amino-6-methoxy-2-methylthiopyridine was used instead of 3-amino-2,4-bis(methlthio)-6-methylpyridine to obtain the desired compound as a colorless powdery crystal.

Melting point: 102 - 104℃
IR (KBr) cm^{-1}: 3430, 3224, 2940, 1652, 1591.
^{1}H-NMR ($CDCl_3$) δ:
1.61 (2H, quint, J = 7.4 Hz),
1.82 (2H, quint, J = 7.4 Hz),
1.92 (2H, quint, J = 7.4 Hz), 2.42 (2H, t, J = 7.4 Hz),
2.59 (3H, s), 3.34 (2H, t, J = 7.4 Hz), 3.94 (3H, s),
6.47 (1H, d, J = 8.5 Hz), 6.91 (1H, br s),
7.23 (1H, td, J = 7.7 , 1.5 Hz),

7.27 (1H, td, J = 7.7 ,1.5 Hz),
7.43 (1H, dd, J = 7.7 , 1.5 Hz),
7.58 (1H, dd, J = 7.7, 1.5 Hz), 7.93 (1H, d, J = 8.5 Hz).
EIMS m/z (relative intensity): 417 (M^+), 171 (100).

Example 43 (Compound No. 451 in Table)

Production of 2-(benzoxazol-2-ylthio)-N-(6-methylthio-3-pyridyl)acetamide:

The reaction and the treatment were conducted in the same manner as in Example 16 except that 3-amino-6-methyl-2-methylthiopyridine was used instead of 3-amino-2,4-bis(methylthio)-6-methylpyridine to obtain the desired compound as a colorless needle crystal.

Melting point: 180 - 181℃
IR (KBr) cm^{-1}: 3437, 3254, 1661, 1534, 1509, 1135.
^{1}H-NMR ($CDCl_3$) δ:
2.46 (3H, s), 2.50 (3H, s), 4.10 (2H, s),
6.87 (2H, d, J = 8.1 Hz),
7.26 - 7.34 (2H, m), 7.48 (1H, m), 7.62 (1H, m),
8.12 (2H, d, J = 8.1 Hz), 9.27 (1H, br s).

EIMS m/z (relative intensity): 345 (M^+), 298 (100).

Elemental analysis: as $C_{16}H_{15}N_3O_2S_2$

calculated: C, 55.63; H, 4.38; N, 12.16; S, 18.56.

found: C, 55.62; H, 4.40; N, 12.10; S, 18.50.

Example 44 (Compound No. 461 in Table)

Production of 2-(benzothiazol-2-ylthio)-N-(6-methyl-2-methylthio-3-pyridyl)acetamide:

The reaction and the treatment were conducted in the same

manner as in Example 43 except that 2-mercaptobenzothiazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless needle crystal.

Melting Point : 175 - 176℃
IR (KBr) cm^{-1}: 3437, 3248, 1656, 1532, 1430.
^{1}H-NMR ($CDCl_3$) δ :
2.45 (3H, s), 2.47 (3H, s), 4.18 (2H, s),
6.87 (1H, d, J = 8.1 Hz),
7.34 (1H, m), 7.44 (1H, m), 7.77 (1H, m), 8.01 (1H, m),
8.07 (1H, d, J = 8.1 Hz), 9.31 (1H, br s).

EIMS m/z (relative intensity): 361 (M^+), 210 (100).

Elemental analysis: as $C_{16}H_{15}N_3OS_3$

calculated: C, 53.16; H, 4.18; N, 11.62; S, 26.61.

found: C, 53.23; H, 4.25; N, 11.55; S, 26.67.

Example 45 (Compound No. 471 in Table)

Production of 2-(benzimidazol-2-ylthio)-N-(6-methyl-2-methylthio-3-pyridyl)acetamide:

The reaction and the treatment were conducted in the same manner as in Example 43 except that 2-2-mercaptobenzimidazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless needle crystal.

Melting point : 192 - 193℃ (d.)
IR (KBr) cm^{-1}: 3420, 3249, 1667, 1550, 1438, 744.
^{1}H-NMR ($CDCl_3$) δ :
2.45 (3H, s), 2.50 (3H, s), 4.08 (2H, s),
6.84 (1H, d, J = 8.1 Hz),
7.19 - 7.25 (2H, m), 7.35 (1H, m), 7.73 (1H, m),
8.00 (1H, d, J = 8.1 Hz), 9.95 (1H, br s),
10.00 (1H, br s).

EIMS m/z (relative intensity): 344 (M^+), 118 (100).

Elemental analysis: as $C_{16}H_{16}N_4OS_2$

calculated: C, 55.79; H, 4.68; N, 16.27; S, 18.62.

found: C, 55.80; H, 4.68; N, 16.16; S, 18.65.

Example 46 (Compound No. 784 in Table)

Production of 5-(benzoxazol-2-ylthio)-N-(2,4-bis(methylthio)-6-methyl-3-pyridyl)pentanamide:

The reaction and the treatment were conducted in the same manner as in Example 17 except that 5-bromopentqnoic acid chloride was used instead of 4-bromobutanoyl chloride to obtain the desired compound as a colorless needles crystal.

Melting point: 147 - 150℃

IR (KBr) cm^{-1}: 3230, 1664, 1501, 1455, 1136.

^1H-NMR (d_6−DMSO) δ:

1.72 - 1.96 (4H, m), 2.36 (3H, s),
2.26 - 2.42 (2H, m),
2.39 (3H, s), 2.43 (3H, s), 3.36 (2H, t, J = 7.2 Hz),
6.83 (1H, s),
7.23 - 7.33 (2H, m), 7.52 - 7.59 (2H, m),
8.74 (1H, br s).

EIMS m/z (relative intensity): 433 (M^+), 201 (100).

Example 47 (Compound No. 786 in Table)

Production of 7-(benzoxazol-2-ylthio)-N-[2,4-bis(methylthio)-6-methyl-3-pyridyl]heptanamide:

The reaction and the treatment were conducted in the same manner as in Example 17 except that 7-bromoheptanonyl chloride

was used instead of 4-bromobutanoyl chloride to obtain the desired compound as a colorless powdery crystal.

Melting point: 137 - 139℃
IR (KBr) cm^{-1}: 3437, 3242, 2922, 2857, 1660, 1500, 1455, 1132.
^{1}H-NMR (d_6—DMSO) δ:
1.41 - 1.54 (4H, m), 1.60 - 1.70 (2H, m),
1.81 (2H, quint, J = 7.1 Hz), 2.26 - 2.32 (2H, m),
2.38 (3H, s), 2.40 (3H, s), 2.43 (3H, s),
3.33 (2H, t, J = 7.1 Hz),
6.81 (1H, s), 7.27 (1H, td, J = 7.6 , 1.7 Hz),
7.30 (1H, td, J = 7.6 , 1.7 Hz), 7.54 - 7.60 (2H, m),
8.79 (1H, br s).

EIMS m/z (relative intensity): 461 (M^+), 200 (100).

Example 48 (Compound No. 787 in Table)

Production of 8-(benzoxazol-2-ylthio)-N-[2,4-bis(methylthio)-6-methyl-3-pyridyl]octanamide:

The reaction and the treatment were conducted in the same manner as in Example 17 except that 8-bromooctanoyl chloride was used instead of 4-bromobutanonyl chloride to obtain the desired compound as a colorless prism crystal.

Melting point: 119 - 122℃
IR (KBr) cm^{-1}: 3435, 3248, 2923, 2856, 1660, 1501, 1454, 1131.
^{1}H-NMR (d_6—DMSO) δ:
1.33 - 1.52 (6H, m), 1.58 - 1.69 (2H, m),
1.81 (2H, quint, J = 7.1 Hz), 2.26 - 2.32 (2H, m),
2.38 (3H, s),
2.41 (3H, s), 2.44 (3H, s), 3.33 (2H, t, J = 7.1 Hz),
6.84 (1H, s), 7.27 (1H, td, J = 7.6 , 1.7 Hz),
7.30 (1H, td, J = 7.6 , 1.7 Hz), 7.54 - 7.60 (2H, m),

8.77 (1H, br s).

EIMS m/z (relative intensity): 475 (M^+), 200 (100).

Example 49 (Compound No. 791 in Table)

Production of 2-(benzothiazol-2-ylthio)-N-[2,4-bis(methylthio)-6-methyl-3-pyridyl]acetamide:

An acetonitrile solution (6 ml) of 2-bromo-N-[2,4-bis(methylthio)-3-pyridyl]acetamide (64 mg, 0.2 mmol) was added to an acetonitrile solution (1 ml) of sodium hydrogencarbonate (17 mg, 0.2 mmol) and 2-mercaptobenzothiazole (34 mg, 0.2 mmol), and the mixed solution was stirred for 48 hours at the room temperature. And the solution of reaction mixture was concentrated under reduced pressure, and the residue was extraxted with ethyl acetate after dilluting with water. The organic layer was washed with water and then with a saturated aqueous solution of sodium chloride, and dried over sodium sulfate. Thereafter, the solvent was distilled off, and the resulting crude product was purified through preparative thin layer chromatography (eluent - chloroform:methanol = 20:1) to obtain 46 mg (yield 33%) as a colorless needle crystal.

Melting point: 178 - 179℃
IR (KBr) cm^{-1}: 3437, 3246, 1665, 1564, 1497, 1430.
^{1}H-NMR ($CDCl_3$) δ:
2.33 (3H, s), 2.44 (3H, s), 2.46 (3H, s), 4.17 (2H, s), 6.61 (1H, s), 7.33 (1H, m), 7.43 (1H, m), 7.78 (1H, m), 7.90 (1H, m), 9.11 (1H, br s).

EIMS m/z (relative intensity): 407 (M^+), 209 (100).

Elemental analysis: as $C_{17}H_{17}N_3OS_4$

calculated: C, 50.10; H, 4.20; N, 10.31; S, 31.46.

found: C, 50.18; H, 4.29; N, 10.23; S, 31.49.

Example 50 (Compound No. 794 in Table)

Production of 5-(benzothiazol-2-ylthio)-N-[2,4-bis(methylthio)-6-methyl-3-pyridyl]pentanamide:

The reaction and the treatment were conducted in the same manner as in Example 46 except that 2-mercaptobenzothiazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless needle crystal.

Melting point: 121 - 123℃

IR (KBr) cm^{-1}: 3437, 3240, 2923, 1664, 1515, 1456, 1428, 995.

^{1}H-NMR (d_6−DMSO) δ:

1.78 - 1.87 (2H, m), 1.88 - 1.96 (2H, m),
2.30 - 2.40 (2H, m),
2.38 (3H, s), 2.41 (3H, s), 2.45 (3H, s),
3.41 (2H, t, J = 7.1 Hz),
6.85 (1H, s), 7.34 (1H, t, J = 7.6 Hz),
7.45 (1H, t, J = 7.6 Hz),
7.84 (1H, d, J = 7.6 Hz), 7.94 (1H, d, J = 7.6 Hz),
8.87 (1H, br s).

EIMS m/z (relative intensity): 449 (M^+), 201 (100).

Example 51 (Compound No. 796 in Table)

Production of 7-(benzothiazol-2-ylthio)-N-[2,4-bis(methylthio)-6-methyl-3-pyridyl]heptamamide:

The reaction and the treatment were conducted in the same

manner as in Example 47 except that 2-mercaptobenzothiazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless needle crystal.

Melting point: 129 - 130℃
IR (KBr) cm^{-1}: 3436, 3245, 2922, 1661, 1506, 1428.
^{1}H-NMR (d_6−DMSO) δ:
1.44 - 1.54 (4H, m), 1.62 - 1.71 (2H, m),
1.83 (2H, quint, J = 7.2 Hz), 2.13 - 2.33 (2H, m),
2.39 (3H, s), 2.42 (3H, s), 2.45 (3H, s),
3.37 (2H, t. J = 7.2 Hz), 6.86 (1H, s),
7.34 (1H, td, J = 7.8 , 1.2 Hz),
7.45 (1H, td, J = 7.8 , 1.2 Hz),
7.84 (1H, dd, J = 7.8 , 1.2 Hz),
7.94 (1H, dd, J = 7.8 , 1.2 Hz),
8.81 (1H, br s).

EIMS m/z (relative intensity): 477 (M^+), 200 (100).

Elemental analysis: as $C_{22}H_{27}N_3OS_4$

calculated:	C, 55.31; H, 5.70; N, 8.80.
found:	C, 55.41; H, 5.71; N, 8.64.

Example 52 (Compound No. 797 in Table)

Production of 8-(benzthiazol-2-ylthio)-N-[2,4-bis(methylthio)-6-methyl-3-pyridyl]octanamide:

The reaction and the treatment were conducted in the same manner as in Example 48 except that 2-mercaptobenzothiazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless needle crystal.

Melting point: 104 - 108℃
IR (KBr) cm^{-1}: 3242, 2925, 1665, 1508, 1459, 1428.
^{1}H-NMR (d_6−DMSO) δ:

1.30 - 1.51 (6H, m), 1.55 -1.69 (2H, m),
1.81 (2H, quint, J = 7.1 Hz), 2.23 - 2.29 (2H, m),
2.38 (3H, s), 2.41 (3H, s), 2.44 (3H, s),
3.35 (2H, t, J = 7.2 Hz)
6.83 (1H, s), 7.32 (1H, m), 7.43 (1H, m), 7.81 (1H, m),
7.91 (1H, m), 8.76 (1H, br s).

EIMS m/z (relative intensity): 491 (M^+), 200 (100).

Example 53 (Compound No. 801 in Table)

Production of 2-(benzimidazol-2-ylthio)-N-[2,4-bis(methylthio)-6-methyl-3-pyridyl]pentanamide:

The reaction and the treatment were conducted in the same manner as in Example 49 except that 2-mercaptobenzimidazole was used instead of 2-mercaptobenothiazole to obtain the desired compound as a colorless needle crystal.

Melting point: 235 - 237℃ (d.)
IR (KBr) cm^{-1}: 3429, 3243, 2978, 2923, 1661, 1505, 1439.
^{1}H-NMR ($CDCl_3$) δ:
2.35 (3H, s), 2.46 (3H, s), 2.47 (3H, s), 4.03 (2H, s),
6.63 (1H, s), 7.21 (1H, t, J = 6.1 Hz),
7.22 (1H, t, J = 6.1 Hz),
7.43 - 7.60 (2H, m), 9.43 (1H, br s).

EIMS m/z (relative intensity): 390 (M^+), 344 (100).

Example 54 (Compound No. 804 in Table)

Production of 5-(benzimidazol-2-ylthio)-N-[2,4-bis(methylthio)-6-methyl-3-pyridyl]pentanamide:

The reaction and the treatment were conducted in the same manner as in Example 46 except that 2-mercaptobenzimdazole was used instead of 2-mercaptobenoxazole to obtain the desired

compound as a colorless needle crystal.

Melting point: 176 - 177℃

^{1}H-NMR (d_6—DMSO) δ:

1.74 - 1.84 (4H, m), 2.26 - 2.35 (2H, m), 2.36 (3H, s), 2.39 (3H, s), 2.43 (3H, s), 3.26 - 3.36 (2H, m), 6.84 (1H, s), 7.04 - 7.13 (2H, m), 7.34 - 7.45 (2H, m), 8.84 (1H, br s), 12.06 (1H, br s).

EIMS m/z (relative intensity): 432 (M^+), 200 (100).

Example 55 (Compound No. 806 in Table)

Production of 7-(benzimidazol-2-ylthio)-N-[2,4-bis(methylthio)-6-methyl-3-pyridyl]heptanamide:

The reaction and the treatment were conducted in the same manner as in Example 47 except that 2-mercaptobenzimidazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless prism crystal.

Melting point: 189 - 192℃

IR (KBr) cm^{-1}: 3139, 2925, 2854, 1668, 1561, 1523, 1435, 1401.

^{1}H-NMR (d_6—DMSO) δ:

1.39 - 1.52 (4H, m), 1.56 - 1.70 (2H, m), 1.75 (2H, quint, J = 7.1 Hz), 2.28 - 2.34 (2H, m), 2.38 (3H, s), 2.40 (3H, s), 2.43 (3H, s), 3.27 (2H, t, J = 7.1 Hz), 6.84 (1H, s), 7.07 (1H, t, J = 7.1 Hz), 7.08(1H, t, J = 7.1 Hz), 7.32 (1H, d, J = 7.1 Hz), 7.46 (1H, d, J = 7.1 Hz), 8.79 (1H, br s).

EIMS m/z (relative intensity): 460 (M^+), 150 (100).

Example 56 (Compound No. 807 in Table)

Production of 8-(benzimidazol-2-ylthio)-N-[2,4-bis(methylthio)-6-methyl-3-pyridyl]octanamide:

The reaction and the treatment were conducted in the same manner as in Example 48 except that 2-mercaptobenzimidazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless crystal.

Melting point: 186 - 187℃
IR (KBr) cm^{-1}: 3430, 3222, 2925, 1661, 1564, 1522, 1437, 808.
^{1}H-NMR (d_6—DMSO) δ:
1.35 - 1.43 (4H, m), 1.47 (2H, quint, J = 7.2 Hz),
1.60 - 1.68 (2H, m), 1.76 (2H, quint, J = 7.2 Hz),
2.23 - 2.32 (2H, m), 2.40 (3H, s), 2.42 (3H, s),
2.45 (3H,s), 3.28 (2H, t, J = 7.2 Hz), 6.89 (1H, s),
7.09 (1H, t, J = 5.9 Hz),
7.09 (1H, t, J = 5.9 Hz), 7.40 (1H, d, J = 5.9 Hz),
7.41 (1H, d, J = 5.9 Hz), 8.80 (1H, br s).
12.09 (1H, br s).
EIMS m/z (relative intensity): 474 (M^+), 150 (100).

Example 57 (Compound No. 813 in Table)

Production of 4-(benzoxazol-2-ylthio)-N-[2,4-bis(ethylthio)-6-methyl-3-pyridyl]butanamide:

The reaction and the treatment were conducted in the same manner as in Example 27 except that 4-bromobutanoyl chloride was used instead of 6-bromohexanoyl chloride to obtain the desired compound as a colorless crystal.

Melting point: 123 - 125℃
IR (KBr) cm^{-1}: 3436, 3239, 2974, 2929, 1656, 1502, 1454, 1130.

^{1}H-NMR (d_6−DMSO) δ:
1.23 - 1.28 (6H, m),2.12 - 2.19 (2H, m), 2.43 (3H, s),
2.48 - 2.50 (2H,m), 2.93 (2H, q, J = 7.1 Hz),
3.06 (2H, q, J = 7.1 Hz), 3.41 - 3.48 (2H, m),
6.89 (3H, s), 7.29 - 7.34 (2H, m), 7.56 - 7.62 (2H, m),
8.96 (1H, br s).
EIMS m/z (relative intensity): 447 (M^+), 227 (100).

Example 58 (Compound No. 814 in Table)

Production of 5-(benzoxazol-2-ylthio)-N-[2,4-bis(ethylthio)-6-methyl-3-pyridyl]pentanamide:

The reaction and the treatment were conducted in the same manner as in Example 27 except that 5-bromopentanoyl chloride was used instead of 6-bromohexanoyl chloride to obtain the desired compound as a colorless needle crystal.

Melting point: 122 - 123℃.
^{1}H-NMR (d_6−DMSO) δ:
1.25 (3H, t, J = 7.3 Hz), 1.26 (3H, t, J = 7.3 Hz),
1.76 - 1.87 (2H, m), 1.87 - 1.97 (2H, m),
2.29 - 2.40 (2H, m), 2.43 (3H, s),
2.92 (2H, q, J = 7.3 Hz), 3.05 (2H, q, J = 7.3 Hz),
3.38 (2H, t, J = 7.2 Hz), 6.88 (1H, s),
7.26 - 7.35 (2H, m), 7.55 - 7.60 (2H, m),
8.82 (1H, br s).
EIMS m/z (relative intensity): 461 (M^+), 227 (100).

Example 59 (Compound No. 816 in Table)

Production of 7-(benzoxazol-2-ylthio)-N-[2,4-bis(ethylthio)-6-methyl-3-pyridyl]heptanamide:

The reaction and the treatment were conducted in the same

manner as in Example 27 except that 7-bromoheptanoyl chloride was used instead of 6-bromohexanoyl chloride to obtain the desired compound as a colorless needle crystal.

Melting point: 103 - 105℃.
IR (KBr) cm^{-1}: 3247, 1663, 1501, 1455.
^{1}H-NMR (d_6−DMSO) δ:
1.24 (3H, t, J = 7.3 Hz), 1.25 (3H, t, J = 7.3 Hz),
1.38 - 1.54 (4H, m), 1.57 - 1.72 (2H, m),
1.73 - 1.89 (2H, m), 2.19 - 2.32 (2H, m), 2.41 (3H, s),
2.92 (2H, q, J = 7.3 Hz), 3.05 (2H, q, J = 7.3 Hz),
3.33 (2H, t, J = 7.1 Hz), 6.86 (1H, s),
7.24 - 7.32 (2H, m), 7.52 - 7.60 (2H, m),
8.65 (1H, br s).
EIMS m/z (relative intensity): 489 (M^+), 228 (100).

Example 60 (Compound No. 817 in Table)

Production of 8-(benzoxazol-2-ylthio)-N-[2,4-bis(ethylthio)-6-methyl-3-pyridyl]octanamide:

The reaction and the treatment were conducted in the same manner as in Example 27 except that 8-bromooctanoyl chloride was used instead of 6-bromohexanoyl chloride to obtain the desired compound as a colorless needle crystal.

Melting point: 82 - 84℃
IR (KBr) cm^{-1}: 3449, 3245, 2932, 1669, 1500, 1455, 1132.
^{1}H-NMR (d_6−DMSO) δ:
1.26 (3H, t, J = 7.3 Hz), 1.27 (3H, t, J = 7.3 Hz),
1.37 - 1.42 (4H, m), 1.48 (2H, quint. J = 7.2 Hz),
1.60 - 1.67 (2H, m), 1.82 (2H, quint. J = 7.2 Hz),
2.24 - 2.30 (2H, m), 2.43 (3H, s),
2.94 (2H, q, J = 7.3 Hz),
3.07 (2H, q, J = 7.3 Hz), 3.34 (2H, t, J = 7.2 Hz),
6.88 (1H, s), 7.27 - 7.33 (2H, m), 7.56 - 7.61 (2H, m),

8.73 (1H, br s).

EIMS m/z (relative intensity): 503 (M^+), 229 (100).

Example 61 (Compound No. 823 in Table)

Production of 4-(benzothiazol-2-ylthio)-N-[2,4-bis(ethylthio)-6-methyl-3-pyridyl]butanamide:

The reaction and the treatment were conducted in the same manner as in Example 57 except that 2-mercaptobenzothiazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless powdery crystal.

Melting point: 119 - 120℃

^{1}H-NMR (d_6—DMSO) δ:

1.25 (3H, t, J = 7.4 Hz), 1.26 (3H, t, J = 7.4 Hz), 2.07 - 2.23 (2H, m), 2.43 (3H, s), 2.45 - 2.55 (2H, m,), 2.93 (2H, q, J = 7.4 Hz), 3.06 (2H, q, J = 7.4 Hz), 3.41 - 3.54 (2H, m), 6.89 (1H, s), 7.35 (1H, t, J = 8.1Hz), 7.45 (1H, t, J = 8.1 Hz), 7.83 (1H, d, J = 8.1 Hz). 7.94 (1H, d, J = 8.1 Hz), 8.95 (1H, br s).

EIMS m/z (relative intensity): 463 (M^+), 229 (100).

Example 62 (Compound No. 824 in Table)

Production of 5-(benzothiazol-2-ylthio)-N-[2,4-bis(ethylthio)-6-methyl-3-pyridyl]pentanamide:

The reaction and the treatment were conducted in the same manner as in Example 58 except that 2-mercaptobenzothiazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless needle crystal.

Melting point: 102 - 104℃

^{1}H-NMR (d_6−DMSO) δ :
1.25 (3H, t, J = 7.3 Hz), 1.26 (3H, t, J = 7.3 Hz),
1.77 - 1.88 (2H, m), 1.88 - 2.00 (2H, m),
2.29 - 2.41 (2H, m), 2.43 (3H, s),
2.93 (2H, q, J = 7.3 Hz),
3.06 (2H, q, J = 7.3 Hz),
3.41 (2H, t, J = 7.0 Hz), 6.89 (1H, s),
7.35 (1H, ddd, J = 8.2 , 7.2 , 1.2 Hz),
7.45 (1H, ddd, J = 8.2 , 7.2 , 1.2 Hz),
7.84 (1H, dd, J = 8.2 , 1.2 Hz),
7.94 (1H, dd, J = 8.2 , 1.2 Hz), 8.84 (1H, br s).
EIMS m/z (relative intensity): 477 (M^+), 229 (100).

Example 63 (Compound No. 826 in Table)

Production of 7-(benzothiazol-2-ylthio)-N-[2,4-bis(ethylthio)-6-methyl-3-pyridyl]heptanamide:

The reaction and the treatment were conducted in the same manner as in Example 59 except that 2-mercaptobenzothiazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless needle crystal.

Melting Point : 114 - 116℃
IR (KBr) cm^{-1}: 3245, 1665, 1536, 1509, 1426.
^{1}H-NMR (d_6−DMSO) δ :
1.24 (3H, t, J = 7.3 Hz), 1.25 (3H, t, J = 7.3 Hz),
1.39 - 1.56 (4H, m), 1.58 - 1.71 (2H, m),
1.75 - 1.88 (2H, m), 2.19 - 2.31 (2H, m), 2.42 (3H, s),
2.92 (2H, q, J = 7.3 Hz),
3.05 (2H, q, J = 7.3 Hz), 3.35 (2H, t, J = 7.2 Hz),
6.86 (1H, s), 7.32 (1H, td, J = 7.6 , 1.2 Hz),
7.42 (1H, td, J = 7.6 , 1.2 Hz),
7.81 (1H, dd, J = 7.6 , 1.2 Hz),
7.91 (1H, dd, J = 7.6 , 1.2 Hz),
8.67 (1H, br s).
EIMS m/z (relative intensity): 505 (M^+), 227 (100).

Example 64 (Compound No. 827 in Table)

Production of 8-(benzothiazol-2-ylthio)-N-[2,4-bis(ethylthio)-6-methyl-3-pyridyl]octanamide:

The reaction and the treatment were conducted in the same manner as in Example 60 except that 2-mercaptobenzothiazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless needle crystal.

Melting point: 94 - 96℃
IR (KBr) cm^{-1}: 3433, 3243, 2929, 1669, 1511, 1428.
^{1}H-NMR (d_6−DMSO) δ:
1.26 (3H, t, J = 7.3 Hz), 1.27 (3H, t, J = 7.3 Hz),
1.37 - 1.43 (4H, m), 1.45 - 1.52 (2H, m),
1.57 - 1.68 (2H, m), 1.82 (2H, quint, J = 7.2 Hz),
2.20 - 2.32 (2H, m), 2.43 (3H, s),
2.94 (2H, q, J = 7.3 Hz), 3.07 (2H, q, J = 7.3 Hz),
3.37 (2H, t, J = 7.2 Hz), 6.88 (1H, s),
7.34 (1H, td, J = 7.6 , 1.1 Hz),
7.44 (1H, td, J = 7.6 , 1.1 Hz),
7.83 (1H, dd, J = 7.6 , 1.1 Hz),
7.93 (1H, dd, J = 7.6 , 1.1 Hz),
8.73 (1H, br s).

EIMS m/z (relative intensity): 519 (M^+), 227 (100).

Example 65 (Compound No. 833 in Table)

Production of 4-(benzimidazol-2-ylthio)-N-[2,4-bis(ethylthio)-6-methyl-3-pyridyl]butanamide:

The reaction and the treatment were conducted in the same manner as in Example 57 except that 2-mercaptobenzimidazole was used instead of 2-mercaptobenzoxazole to obtain the desired

compound as a pale-yellow powdery crystal.

Melting point: 160 - 161℃
^{1}H-NMR (d_6—DMSO) δ:
1.25 (3H, t, J = 7.3 Hz), 1.26 (3H, t, J = 7.3 Hz),
2.27 - 2.37 (2H, m), 2.44 (3H, s),
2.48 - 2.50 (2H, m), 2.93 (2H, q, J = 7.3 Hz),
3.06 (2H, q, J = 7.3 Hz), 3.34 - 3.46 (2H, m),
6.89 (1H, s), 7.05 - 7.14 (2H, m), 7.33 (1H, m),
7.46 (1H, m), 8.95 (1H, br s).
EIMS m/z (relative intensity): 446 (M^+), 195 (100).

Example 66 (Compound No. 834 in Table)

Production of 5-(benzimidazol-2-ylthio)-N-[2,4-bis(ethylthio)-6-methyl-3-pyridyl]pentanamide:

The reaction and the treatment were conducted in the same manner as in Example 58 except that 2-mercaptobenzimidazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless needle crystal.

Melting point: 163 - 165℃
^{1}H-NMR (d_6—DMSO) δ:
1.23 (3H, t, J = 7.3 Hz), 1.24 (3H, t, J = 7.3 Hz),
1.74 - 1.88 (4H, m), 2.27 - 2.38 (2H, m),
2.41 (3H, s), 2.90 (2H, q, J = 7.3 Hz),
3.03 (2H, q, J = 7.3 Hz), 3.26 - 3.34 (2H, m),
6.86 (1H, s), 7.04 - 7.11 (2H, m),
7.32 (1H, m), 7.46 (1H, m), 8.79 (1H, br s).
EIMS m/z (relative intensity): 460 (M^+), 195 (100).

Example 67 (Compound No. 836 in Table)

Production of 7-(benzimidazol-2-ylthio)-N-[2,4-bis(ethylthio)-6-methyl-3-pyridyl]heptanamide:

The reaction and the treatment were conducted in the same manner as in Example 59 except that 2-mercaptobenzimidazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless needle crystal.

Melting point: 151 - 156℃
IR (KBr) cm^{-1}: 3136, 3106, 1656, 1518, 1438, 1401, 1337, 1268.
^{1}H-NMR (d_6—DMSO) δ:
1.24 (3H, t, J = 7.3 Hz), 1.25 (3H, t, J = 7.3 Hz),
1.36 - 1.54 (4H, m), 1.55 - 1.82 (4H, m),
2.15 - 2.32 (2H, m),
2.41 (3H, s), 2.92 (2H, q, J = 7.3 Hz),
3.05 (2H, q, J = 7.3 Hz),
3.26 (2H, t, J = 7.3 Hz), 6.86 (1H, s),
7.03 - 7.11 (2H, m), 7.34 - 7.44 (2H, m),
8.67 (1H, br s).

EIMS m/z (relative intensity): 488 (M^+), 151 (100).

Example 68 (Compound No. 837 in Table)

Production of 8-(benzoimidazol-2-ylthio)-N-[2,4-bis(ethylthio)-6-methyl-3-pyridyl]octanamide:

The reaction and the treatment were conducted in the same manner as in Example 60 except that 2-mercaptobenzoimidazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless crystal.

Melting point: 166 - 168℃
IR (KBr) cm^{-1}: 3427, 3147, 2928, 1660, 1560, 1526, 1437.
^{1}H-NMR (d_6—DMSO) δ:
1.26 (3H, t, J = 7.3 Hz), 1.27 (3H, t, J = 7.3 Hz),
1.36 - 1.41 (4H, m), 1.47 (2H, quint, J = 7.2 Hz),
1.60 - 1.67 (2H, m), 1.75 (2H, quint, J = 7.2 Hz),
2.22 - 2.32 (2H, m), 2.43 (3H, s),

2.94 (2H, q, J = 7.3 Hz),
3.07 (2H, q, J = 7.3 Hz), 3.28 (2H, t, J = 7.2 Hz),
6.88 (1H, s), 7.08 (1H, t, J = 5.9 Hz),
7.09 (1H, t, J = 5.9 Hz),
7.40 (1H, d, J = 5.9 Hz), 7.41 (1H, d. J = 5.9 Hz),
8.73 (1H, br s).

EIMS m/z (relative intensity): 502 (M^+), 151 (100).

Example 69 (Compound No. 843 in Table)

Production of 4-(benzoxazol-2-ylthio)-N-[2,4-bis(isopropylthio)-6-methyl-3-pyridyl]butanamide:

The reaction and the treatment were conducted in the same manner as in Example 36 except that 4-bromobutanoyl chloride was used instead of 6-bromohexanoyl chloride to obtain the desired compound as a colorless needle crystal.

Melting point: 128 - 129℃
IR (KBr) cm^{-1}: 3448, 3235, 2962, 1683, 1657, 1555, 1515, 1500, 1456, 1131.
^{1}H-NMR (d_6−DMSO) δ:
1.27 (6H, d, J = 6.6 Hz), 1.30 (6H, d, J = 6.8 Hz),
2.10 - 2.17 (2H, m), 2.42 (3H, s),
2.47 - 2.50 (2H, m), 3.39 - 3.47 (2H, m),
3.55 (1H, sept, J = 6.6 Hz),
3.89 (1H, sept, J = 6.8 Hz),
6.92 (1H, s), 7.28 (1H, td, J = 7.3 , 1.7 Hz),
7.30 (1H, td, J = 7.3 , 1.7 Hz),
7.56 (1H, dd, J = 7.3 , 1.7 Hz),
7.58 (1H, dd, J = 7.3 , 1.7 Hz), 8.90 (1H, br s).

EIMS m/z (relative intensity): 475 (M^+), 207 (100).

Example 70 (Compound No. 844 in Table)

Production of 5-(benzoxazol-2-ylthio)-N-[2,4-

bis(isopropylthio)-6-methyl-3-pyridyl]pentanamide:

The reaction and the treatment were conducted in the same manner as in Example 36 except that 5-bromopentanoyl chloride was used instead of 6-bromohexanoyl chloride to obtain the desired compound as a colorless prism crystal.

Melting point: 129 - 130℃
IR (KBr) cm^{-1}: 3448, 3215, 3167, 2965, 1654, 1555, 1525, 1500, 1454, 1128.
^{1}H-NMR (d_6−DMSO) δ:
1.27 (6H, d, J = 6.8 Hz), 1.30 (6H, d, J = 6.8 Hz),
1.75 - 1.85 (2H, m), 1.86 - 1.96 (2H, m),
2.26 - 2.40 (2H, m),
2.42 (3H, s), 3.37 (2H, t, J = 7.1 Hz),
3.54 (1H, sept, J = 6.8 Hz),
3.88 (1H, sept, J = 6.8 Hz),
6.91 (1H, s), 7.27 (1H, td, J = 7.6 , 1.7 Hz),
7.30 (1H, td, J = 7.6 , 1.7 Hz),
7.55 (1H, dd, J = 7.6 , 1.7 Hz),
7.58 (1H, dd, J = 7.6 , 1.7 Hz), 8.75 (1H, br s).
EIMS m/z (relative intensity): 489 (M^+), 221 (100).

Example 71 (Compound No. 846 in Table)

Production of 7-(benzoxazol-2-ylthio)-N-[2,4-bis(isopropylthio)-6-methyl-3-pyridyl]heptanamide:

The reaction and the treatment were conducted in the same manner as in Example 36 except that 7-bromoheptanoyl chloride was used instead of 6-bromohexanoyl chloride to obtain the desired compound as a colorless needle crystal.

Melting point: 76 - 78℃
IR (KBr) cm^{-1}: 3436, 3265, 2929, 1663, 1503, 1455.

^{1}H-NMR (d_6-DMSO) δ:
1.29 (6H, d, J = 6.8 Hz), 1.32 (6H, d, J = 6.8 Hz),
1.43 - 1.54 (4H, m), 1.65 (2H, quint, J = 7.2 Hz),
1.83 (2H, quint, J = 7.2 Hz), 2.20 - 2.33 (2H, m),
2.43 (3H, s), 3.35 (2H, t, J = 7.2 Hz),
3.56 (1H, sept, J = 6.8 Hz),
3.90 (1H, sept, J = 6.8 Hz), 6.93 (1H, s),
7.27 - 7.34 (2H, m),
7.56 - 7.61 (2H, m), 8.72 (1H, br s).

EIMS m/z (relative intensity): 517 (M^+), 249 (100).

Example 72 (Compound No. 847 in Table)

Production of 8-(benzoxazol-2-ylthio)-N-[2,4-bis(isopropylthio)-6-methyl-3-pyridyl]octanamide:

The reaction and the treatment were conducted in the same manner as in Example 36 except that 8-bromooctanoyl chloride was used instead of 6-bromohexanoyl chloride to obtain the desired compound as a colorless oil.

IR (KBr) cm^{-1}: 3241, 1664, 1559, 1526, 1501, 1454.
^{1}H-NMR (d_6-DMSO) δ:
1.29 (6H, d, J = 6.8 Hz), 1.31 (6H, d, J = 6.8 Hz),
1.34 - 1.54 (6H, m), 1.55 - 1.69 (2H, m),
1.73 - 1.89 (2H, m),
2.15 - 2.28 (2H, m), 2.42 (3H, s),
3.27 (2H, t, J = 7.3 Hz),
3.54 (1H, sept, J = 6.8 Hz), 3.89 (1H, sept, J = 6.8 Hz),
6.90 (1H, s), 7.24 - 7.32 (2H, m), 7.51 - 7.60 (2H, m),
8.59 (1H, br s).

EIMS m/z (relative intensity): 531 (M^+), 263 (100).

Example 73 (Compound No. 848 in Table)

Production of 9-(benzoxazol-2-ylthio)-N-[2,4-bis(isopropylthio)-6-methyl-3-pyridyl]nonanamide:

The reaction and the treatment were conducted in the same manner as in Example 36 except that 9-bromononanoyl chloride was used instead of 6-bromohexanoyl chloride to obtain the desired compound as a pale yellow oil.

IR (Cap) cm^{-1}: 3243, 2962, 2927, 1668, 1558, 1505, 1455, 1130.

^{1}H-NMR (d_6-DMSO) δ:
1.28 (6H, d, J = 6.8 Hz) 1.31 (6H, d, J = 6.8 Hz)
1.28 - 1.50 (8H, m), 1.55 - 1.65 (2H, m),
1.80 (2H, quint, J = 7.3 Hz), 2.17 - 2.27 (2H, m),
2.42 (3H, s), 3.32 (2H, t, J = 7.3 Hz),
3.55 (1H, sept, J = 6.8 Hz), 3.89 (1H, sept, J = 6.8 Hz),
6.91 (1H, s), 7.27 (1H, td, J = 7.3 , 1.7 Hz),
7.30 (1H, td, J = 7.3 , 1.7 Hz), 7.54 - 7.60 (2H, m),
8.65 (1H, br s).

EIMS m/z (relative intensity): 545 (M^+), 277 (100).

Example 74 (Compound No. 851 in Table)

Production of 2-(benzothiazol-2-ylthio)-N-[2,4-bis(isopropylthio)-6-methyl-3-pyridyl]acetamide:

The reaction and the treatment were conducted in the same manner as in Example 49 except that 2-bromo-N-[2,4-bis(isopropylthio)-6-methy-3-pyridyl]acetamide was used instead of 2-bromo-N-2,4-bis(methylthio)-6-methyl-3-pyridyl]acetamide to obtain the desired compound as a colorless needle crystal.

7.43 (1H, t, J = 7.8 Hz), 7.81 (1H, d, J = 7.8 Hz),
7.92 (1H, d, J = 7.8 Hz), 8.90 (1H, br s).
EIMS m/z (relative intensity): 491 (M^+), 69 (100).

Example 76 (Compound No. 854 in Table)

Production of 5-(benzothiazol-2-ylthio)-N-[2,4-bis(isopropylthio)-6-methyl-3-pyridyl]pentanamide:

The reaction and the treatment were conducted in the same manner as in Example 70 except that 2-mercaptobenzothiazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless powdery crystal.

Melting point: 107 - 109℃
IR (KBr) cm^{-1}: 3441, 3215, 2963, 1656, 1557, 1523, 1460, 1429, 996.
^{1}H-NMR (d_6−DMSO) δ:
1.27 (6H, d, J = 6.8 Hz), 1.30 (6H, d, J = 6.8 Hz),
1.76 - 1.85 (2H, m), 1.86 - 1.96 (2H, m),
2.26 - 2.40 (2H, m),
2.42 (3H, s), 3.39 (2H, t, J = 7.1 Hz),
3.54 (1H, sept, J = 6.8 Hz), 3.89 (1H, sept, J = 6.8 Hz),
6.91 (1H, s), 7.33 (1H, td, J = 8.1 , 1.2 Hz),
7.43 (1H, td, J = 8.1 , 1.2 Hz),
7.82 (1H, dd, J = 8.1 , 1.2 Hz),
7.92 (1H, dd, J = 8.1 , 1.2 Hz), 8.75 (1H, br s).
EIMS m/z (relative intensity): 505 (M^+), 221 (100).

Example 77 (Compound No. 855 in Table)

Production of 6-(benzothiazol-2-ylthio)-N-[2,4-bis(isopropylthio)-6-methyl-3-pyridyl]hexanamide:

The reaction and the treatment were conducted in the same

Melting point: 117 - 118℃
IR (KBr) cm^{-1}: 3431, 3179, 2967, 1660, 1559, 1526, 1428.
^{1}H-NMR ($CDCl_3$) δ:
1.19 (6H, d, J = 6.7 Hz), 1.21 (6H, d, J = 6.7 Hz),
2.41 (3H, s), 3.39 (1H, sept, J = 6.7 Hz),
3.92 (1H, sept, J = 6.7 Hz),
4.18 (2H, s), 6.68 (1H, s),
7.32 (1H, td, J = 7.7 , 1.2 Hz),
7.41 (1H, td, J = 7.7 , 1.2 Hz),
7.77 (1H, d, J = 7.7 Hz),
7.91 (1H, d, J = 7.7 Hz), 8.80 (1H, br s).
EIMS m/z (relative intensity): 463 (M^+), 180 (100).
Elemental Analysis : as $C_{21}H_{25}N_3OS_4$
Calculated : C, 54.39; H, 5.43; N, 9.06; S, 27.66.
Found : C, 54.28; H, 5.45; N, 8.93; S, 27.73.

Example 75 (Compound No. 853 in Table)

Production of 4-(benzothiazol-2-ylthio)-N-[2,4-bis(isopropylthio)-6-methyl-3-pyridyl]butanamide:

The reaction and the treatment were conducted in the same manner as in Example 69 except that 2-mercaptobenzothiazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless powdery crystal.

Melting point: 116 - 117℃
IR (KBr) cm^{-1}: 3450, 3257, 2962, 1667, 1557, 1510, 1457, 1429, 987.
^{1}H-NMR (d_6−DMSO) δ:
1.27 (6H, d, J = 6.8 Hz), 1.30 (6H, d, J = 6.8 Hz),
2.08 - 2.17 (2H, m), 2.42 (3H, s),
2.43 - 2.47 (2H, m), 3.45 (2H, t, J = 7.1 Hz),
3.55 (1H, sept, J = 6.8 Hz),
3.89 (1H, sept, J = 6.8 Hz), 6.92 (1H, s),
7.33 (1H, t, J = 7.8 Hz),

manner as in Example 36 except that 2-mercaptobenzothiazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless crystal.

Melting point: 84 - 86℃
IR (KBr) cm^{-1}: 3436, 3212, 2961, 2925, 1655, 1555, 1522, 1428.
^{1}H-NMR (d_6-DMSO) δ:
1.30 (6H, d, J = 6.6 Hz), 1.33 (6H, d, J = 6.8 Hz),
1.54 - 1.62 (2H, m), 1.65 - 1.73 (2H, m),
1.85 (2H, quint, J = 7.0 Hz), 2.22 - 2.33 (2H, m),
2.43 (3H, s),
3.38 (2H, t, J = 7.0 Hz), 3.57 (1H, sept, J = 6.6 Hz),
3.91 (1H, sept, J = 6.8 Hz), 6.93 (1H, s),
7.34 (1H, t, J = 7.8 Hz),
7.44 (1H, t, J = 7.8 Hz), 7.83 (1H, d, J = 7.8 Hz),
7.93 (1H, d, J = 7.8 Hz), 8.73 (1H, br s).
EIMS m/z (relative intensity): 519 (M^+), 235 (100).

Example 78 (Compound No. 856 in Table)

Production of 7-(benzothiazol-2-ylthio)-N-[2,4-bis(isopropylthio)-6-methyl-3-pyridyl]heptanamide:

The reaction and the treatment were conducted in the same manner as in Example 71 except that 2-mercaptobenzothiazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless crystal.

Melting point: 74 - 76℃
IR (KBr) cm^{-1}: 3436, 3200, 3158, 2961, 2928, 1654, 1525, 1427.
^{1}H-NMR (d_6-DMSO) δ:
1.29 (6H, d, J = 6.6 Hz), 1.32 (6H, d, J = 6.8 Hz),
1.43 - 1.55 (4H, m), 1.65 (2H, quint, J = 7.2 Hz),
1.83 (2H, quint, J = 7.2 Hz), 2.22 - 2.33 (2H, m),

2.43 (3H, s), 3.37 (2H, t, J = 7.2 Hz),
3.56 (1H, sept, J = 6.6 Hz),
3.90 (1H, sept, J = 6.8 Hz), 6.93 (1H, s),
7.34 (1H, td, J = 7.7 , 1.2 Hz),
7.44 (1H, td, J = 7.7 , 1.2 Hz),
7.83 (1H, dd, J = 7.7 , 1.2 Hz),
7.94 (1H, dd, J = 7.7 , 1.2 Hz),
8.68 (1H, br s).

EIMS m/z (relative intensity): 533 (M^+), 249 (100).

Example 79 (Compound No. 857 in Table)

Production of 8-(benzothiazol-2-ylthio)-N-[2,4-bis(isopropylthio)-6-methyl-3-pyridyl]octanamide:

The reaction and the treatment were conducted in the same manner as in Example 72 except that 2-mercaptobenzothiazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless neddle crystal.

Melting point: 107 - 108℃
IR (KBr) cm^{-1}: 3239, 1664, 1559, 1526, 1456, 1428.
^{1}H-NMR (d_6−DMSO) δ:
1.29 (6H, d, J = 6.8 Hz), 1.31 (6H, d, J = 6.8 Hz),
1.34 - 1.54 (6H, m), 1.55 - 1.70 (2H, m),
1.73 - 1.88 (2H, m),
2.15 - 2.29 (2H, m), 2.42 (3H, s),
3.35 (2H, t, J = 7.3 Hz),
3.54 (1H, sept, J = 6.8 Hz),
3.89 (1H, sept, J = 6.8 Hz),
6.90 (1H, s), 7.31 (1H, t, J = 7.8 Hz),
7.42 (1H, t, J = 7.8 Hz),
7.81 (1H, d, J = 7.8 Hz), 7.90 (1H, d, J = 7.8 Hz),
8.59 (1H, br s).

EIMS m/z (relative intensity): 547 (M^+), 263 (100).

Example 80 (Compound No. 858 in Table)

Production of 9-(benzothiazol-2-ylthio)-N-[2,4-bis(isopropylthio)-6-methyl-3-pyridyl]nonanamide:

The reaction and the treatment were conducted in the same manner as in Example 73 except that 2-mercaptobenzothiazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a pale yellow oil.

IR (Cap) cm^{-1}: 3243, 2962, 2927, 1668, 1559, 1526, 1456.
^{1}H-NMR (d_6—DMSO) δ:
1.28 (6H, d, J = 6.8 Hz), 1.31 (6H, d, J = 6.8 Hz),
1.28 - 1.50 (8H, m), 1.55 - 1.65 (2H, m),
1.80 (2H, quint, J = 7.0 Hz), 2.17 - 2.27 (2H, m),
2.42 (3H, s), 3.34 (2H, t, J = 7.0 Hz),
3.55 (1H, sept, J = 6.8 Hz), 3.89 (1H, sept, J = 6.8 Hz),
6.91 (1H, s), 7.32 (1H, td, J = 7.1 , 1.2 Hz),
7.43 (1H, td, J = 7.1 , 1.2 Hz),
7.81 (1H, dd, J = 7.1 , 1.2 Hz),
7.91 (1H, dd, J = 7.1 , 1.2 Hz), 8.65 (1H, br s).
EIMS m/z (relative intensity): 561 (M^+), 277 (100).

Example 81 (Compound No. 861 in Table)

Production of 2-(benzimidazol-2-ylthio)-N-[2,4-bis(isopropylthio)-6-methyl-3-pyridyl]acetamide:

The reaction and the treatment were conducted in the same manner as in Example 53 except that 2-bromo-N-[2,4-bis(isopropylthio)-6-methylpyridyl]acetamide was used instead of 2-bromo-N-[2,4-bis(methylthio)-6-methylpyridyl]acetamide to obtain the desired compound as a colorless needle crystal.

Melting point: 223 - 224℃

IR (KBr) cm^{-1}: 3437, 3138, 3106, 2960, 1668, 1534, 1414.
^1H-NMR ($CDCl_3$) δ:
1.22 (6H, d, J = 6.8 Hz), 1.25 (6H, d, J = 6.8 Hz),
2.42 (3H, s), 3.41 (1H, sept, J = 6.8 Hz),
3.95 (1H, sept, J = 6.8 Hz),
4.05 (2H, s), 6.69 (1H, s), 7.18 (1H, t, J = 6.1 Hz),
7.19 (1H, t, J = 6.1 Hz), 7.34 (1H, br s),
7.62 (1H, br s), 9.33 (1H, br s), 10.61 (1H, br s).
EIMS m/z (relative intensity): 446 (M^+), 371 (100).

Elemental analysis: as $C_{21}H_{26}N_4OS_3$

calculated: C, 56.47; H, 5.87; N, 12.54.

found: C, 56.42; H, 5.87; N, 12.56.

Example 82 (Compound No. 863 in Table)

Production of 4-(benzomidazol-2-ylthio)-N-[2,4-bis(isopropylthio)-6-methyl-3-pyridyl]butanamide:

The reaction and the treatment were conducted in the same manner as in Example 69 except that 2-mercaptobenzimidazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a pale-yellow powdery crystal.

Melting point: 209 - 211℃
IR (KBr) cm^{-1}: 3480, 3196, 2963, 1664, 1557, 1529, 1428.
^1H-NMR (d_6-DMSO) δ:
1.25 (6H, d, J = 6.8 Hz), 1.28 (6H, d, J = 6.8 Hz),
2.04 (2H, quint, J = 7.1 Hz), 2.43 (3H, s),
2.44 (2H, t, J = 7.1 Hz), 3.36 (2H, t, J = 7.1 Hz),
3.61 (1H, sept, J = 6.8 Hz),
3.86 (1H, sept, J = 6.8 Hz),
6.96 (1H, s), 7.09 (1H, dd, J = 7.3 , 5.4 Hz),
7.12 (1H, dd, J = 7.3 , 5.4 Hz), 7.35 (1H, m),
7.49 (1H, m), 9.38 (1H, s), 12.53 (1H, s).

EIMS m/z (relative intensity): 474 (M^+), 207 (100).

Example 83 (Compound No. 864 in Table)

Production of 5-(benzimidazol-2-ylthio)-N-[2,4-bis(isopropylthio)-6-methyl-3-pyridyl]pentanamide:

The reaction and the treatment were conducted in the same manner as in Example 70 except that 2-mercaptobenimidazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless powdery crystal.

Melting point: 175 - 176℃

IR (KBr) cm^{-1}: 3447, 3195, 2965, 1663, 1557, 1526, 1428, 1400.

^{1}H-NMR (d_6—DMSO) δ:
1.28 (6H, d, J = 6.8 Hz), 1.30 (6H, d, J = 6.8 Hz), 1.75 - 1.90 (4H, m), 2.26 - 2.38 (2H, m), 2.42 (3H, s), 3.30 (2H, t, J = 7.1 Hz), 3.54 (1H, sept, J = 6.8 Hz), 3.88 (1H, sept, J = 6.8 Hz), 6.91 (1H, s), 7.07 (1H, t, J = 6.1 Hz), 7.08 (1H, t, J = 6.1 Hz), 7.32 (1H, d, J = 6.1 Hz), 7.46 (1H, d, J = 6.1 Hz), 8.72 (1H, br s).

EIMS m/z (relative intensity): 488 (M^+), 221 (100).

Example 84 (Compound No. 865 in Table)

Production of 6-(benzimidazol-2-ylthio)-N-[2,4-bis(isopropylthio)-6-methyl-3-pyridyl]hexanamide:

The reaction and the treatment were conducted in the same manner as in Example 36 except that 2-mercaptobenzimidazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless powdery crystal.

Melting point: 175 - 176℃

^{1}H-NMR (d_6-DMSO) δ:
1.30 (6H, d, J = 6.7 Hz), 1.32 (6H, d, J = 6.7 Hz),
1.47 - 1.61 (2H, m), 1.62 - 1.72 (2H, m),
1.73 - 1.84 (2H, m), 2.18 - 2.35 (2H, m),
2.43 (3H, s), 3.21 - 3.33 (2H, m),
3.55 (1H, sept, J = 6.7 Hz),
3.90 (1H, sept, J = 6.7 Hz), 6.92 (1H, s),
7.03 - 7.12 (2H, m), 7.33 (1H, m), 7.47 (1H, m),
8.75 (1H, br s), 12.05 (1H, br s).

EIMS m/z (relative intensity): 502 (M^+), 235 (100).

Example 85 (Compound No. 866 in Table)

Production of 7-(benzoimidazol-2-ylthio)-N-[2,4-bis(isopropylthio)-6-methyl-3-pyridyl]heptanamide:

The reaction and the treatment were conducted in the same manner as in Example 71 except that 2-mercaptobenzoimidazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a pale-yellow needle crystal.

Melting point: 118 - 121℃
IR (KBr) cm^{-1}: 3393, 3219, 2963, 2928, 1663, 1559, 1526, 1439.
^{1}H-NMR (d_6-DMSO) δ:
1.29 (6H, d, J = 6.6 Hz), 1.32 (6H, d, J = 6.8 Hz),
1.41 - 1.53 (4H, m), 1.64 (2H, quint, J = 7.2 Hz),
1.76 (2H, quint, J = 7.2 Hz), 2.18 - 2.33 (2H, m),
2.43 (3H, s),
3.28 (2H, t, J = 7.2 Hz), 3.56 (1H, sept, J = 6.6 Hz),
3.90 (1H, sept, J = 6.8 Hz), 6.93 (1H, s),
7.08 (1H, t, J = 5.9 Hz),
7.09 (1H, t, J = 5.9 Hz), 7.40 (1H, d, J = 5.9 Hz),
7.41 (1H, d, J = 5.9 Hz), 8.86 (1H, br s).

EIMS m/z (relative intensity): 516 (M^+), 399 (100).

Example 86 (Compound No. 867 in Table)

Production of 8-(benzimidazol-2-ylthio)-N-[2,4-bis(isopropylthio)-6-methyl-3-pyridyl]octanamide:

The reaction and the treatment were conducted in the same manner as in Example 72 except that 2-mercaptobenzimidazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless powdery crystal.

Melting point: 170 - 171℃

IR (KBr) cm^{-1} : 3158, 2963, 2930, 1665, 1559, 1526, 1508, 1429.

^{1}H-NMR (d_6−DMSO) δ:

1.28 (6H, d, J = 6.8 Hz), 1.31 (6H, d, J = 6.8 Hz)
1.32 - 1.50 (6H, m), 1.56 - 1.66 (2H, m),
1.74 (2H, quint, J = 7.3 Hz), 2.17 - 2.27 (2H, m),
2.42 (3H, s), 3.26 (2H, t, J = 7.3 Hz),
3.54 (1H, sept, J = 6.8 Hz),
3.89 (1H, sept, J = 6.8 Hz),
6.91 (1H, s), 7.05 - 7.10 (2H, m), 7.32 (1H, m),
7.45 (1H, m), 8.65 (1H, br s).

EIMS m/z (relative intensity): 530 (M^+), 413 (100).

Example 87 (Compound No. 868 in Table)

Production of 9-(benzimidazol-2-ylthio)-N-[2,4-bis(isopropylthio)-6-methyl-3-pyridyl]nonanamide:

The reaction and the treatment were conducted in the same manner as in Example 73 except that 2-mercaptobenzimidazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a pale brown powdery crystal.

Melting point: 112 - 114℃

IR (KBr) cm^{-1} : 3435, 3185, 2927, 1660, 1558, 1526, 1437.
^{1}H-NMR (d_6-DMSO) δ :
1.28 (6H, d, J = 6.8 Hz) 1.31 (6H, d, J = 6.8 Hz)
1.28 - 1.48 (8H, m), 1.52 - 1.65 (2H, m),
1.73 (2H, quint, J = 7.1 Hz), 2.18 - 2.28 (2H, m),
2.42 (3H, s), 3.25 (2H, t, J = 7.1 Hz),
3.55 (1H, sept, J = 6.8 Hz), 3.89 (1H, sept, J = 6.8Hz),
6.91 (1H, s), 7.07 (1H, t, J = 6.1 Hz),
7.08 (1H, t, J= 6.1 Hz),
7.32 (1H, d, J = 6.1 Hz), 7.46 (1H, d, J = 6.1 Hz),
8.80 (1H, br s), 12.05 (1H, br s).

EIMS m/z (relative intensity): 544 (M^+), 151 (100).

Example 88 (Compound No. 1145 in Table)

Production of 6-(benzoxazole-2-ylthio)-N-[2-methyl-4,6-bis(methylthio)-5-pyrimidyl]hexanamide:

4,6-Dihydroxy-2-methylpyrimidine (1.0 g, 7.9 mmol) was added gradualy to ice-cooled fuming nitric acid (3 ml) stirring. The mixture was stirred for 2 hours cooling with ice and for 1 hour at the room temperature, and then the precipitated crystal was filtered and dried to obtain 207 mg (yield 15%) of 4,6-dihydroxy-2-methy-5-nitropyrimidine.

This nitropyrimidine (205 mg, 1.2 mmol) was dissolved in phosphoryl chloride (1 ml) and diethylaniline (0.3 ml, 1.9 mmol) was added thereto, and the mixture was stirred for 1 hour at 100 ℃ and for 1 hour at 120 ℃. The reaction solution was added to ice and then extracted with ethyl acetate. The organic layer was washed with water and then with a saturated aqueous solution of sodium chloride, and dried over magnesium sulfate.

Thereafter, the solvent was distilled off, and the resulting crude product was purified through silica gel chromatography (eluent-hexane:ethyl acetate = 20:1) to obtain 194 mg (yield 77%) of 4,6-dichloro-2-methyl-5-nitropyrimidine as a colorless needle crystal.

And then a methanol (10 mml) solution of 4,6-dichloro-2-methyl-5-nitropyrimidine (1.0 g. 4.81 mmol) was added dropwise to a methanol (10 ml) solution of sodium thiomethoxide (780 mg, 10.6 mmol) while being cooled with ice, and after the mixture was stirred for 1 hour while being cooled with ice, water added to the reaction mixture and the mixture was extracted with ethyl acetate. The organic layer was washed with water and then with a saturated aqueous solution of sodium chloride, and dried over magnesium sulfate. Thereafter, the solvent was distilled off, and the resulting crude product was recrystalized with ethyl acetate-hexan to obtain 609 mg (yield 55%) of 4,6-bis(methylthio)-2-methyl-5-nitropyrimidine.

Potassium carbonate (119 mg, 0.865 mmol) and pratinum dioxide (40 mg, 0.18 mmol) were added to ethanol (100 ml) solution of this nitropyrimidine (100 mg, 0.43 mmol) and stirred in hydrogen. After 1.5 hours, the reaction mixture was filtered, the fltrate was distilled off, and the resulting crude product was purified through silica gel chromatography (eluent - hexane:ethyl acetate = 6:1) to obtain 66 mg (yield 76%) of 5-amino-4,6-bis(methylthio)-2-methylpyrimidine.

And then the reaction and the treatment were conducted in the same manner as in Example 18 except that 5-amino-4,6-bis(methylthio)-2-methylthiopyrimidine was used instead of 3-amino-2,4-bis(methlthio)-6-methylpyridine to obtain the desired compound as a colorless powdery crystal.

Melting point: 148 - 151℃
IR (KBr) cm^{-1} : 3440, 3245, 2929, 1660, 1530.
^{1}H-NMR ($CDCl_3$) δ :
1.43 - 1.55 (2H, m), 1.57 - 1.69 (2H, m),
1.72 - 1.84 (2H,m),
2.14 - 2.29 (2H, m), 2.38 (6H, s), 2.48 (3H, m),
3.28 (2H, t, J = 7.3 Hz), 7.21 (1H, td, J = 7.4 , 1.7Hz),
7.24 (1H, td, J = 7.4 , 1.7 Hz), 7.49 (1H, dd, J = 7.4Hz),
7.51 (1H, dd, J = 7.4 , 1.7 Hz), 8.91 (1H, br s).

EIMS m/z (relative intensity): 448 (M^+, 100).

Example 89 (Compound No. 1247 in Table)

Production of 2-(7-trifluoromethylbenzoxazol-2-ylthio)-N-[2,4-bis(methylthio)-6-methyl-3-pyridyl]acetamide:

The reaction and the treatment were conducted in the same manner as in Example 49 except that 2-mercapto-7-trifluoromethylbenzoxazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless needle crystal.

Melting point: 207 - 209℃
IR (KBr) cm^{-1} : 3435, 3235, 1673, 1509, 1433, 1329, 1130.
^{1}H-NMR ($CDCl_3$) δ :
2.32 (3H, s), 2.41 (3H, s), 2.48 (3H, s), 4.14 (2H,s),
6.81 (1H, s), 7.41 (1H, t, J = 7.8 Hz),
7.52 (1H, d, J = 7.8 Hz), 7.79 (1H, d, J = 7.8 Hz),

8.46 (1H, br s).

EIMS m/z (relative intensity): 459 (M^+), 227 (100).

Elemental analysis: as $C_{18}H_{16}F_3N_3O_2S_3$

Calculated : C, 47.05; H, 3.51; N, 9.14.

Found : C, 46.84; H, 3.66; N, 9.03.

Example 90 (Compound No. 1250 in Table)

Production of 5-(7-trifluoromethylbenzoxazol-2-ylthio)-N-[2,4-bis(methylthio)-6-methyl-3-pyridyl]pentanamide:

The reaction and the treatment were conducted in the same manner as in Example 46 except that 2-mercapto-7-trifluoromethylbenzoxazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless crystal.

Melting point: 179 - 180℃.

^{1}H-NMR (d_6-DMSO) δ :

1.75 - 1.87 (2H, m), 1.87 - 2.00 (2H, m), 2.37 (3H, s), 2.39 (3H, s), 2.30 - 2.39 (2H, m), 2.43 (3H, s), 3.36 - 3.46 (2H, m), 6.84 (1H, s), 7.50 (1H, t, J = 7.9 Hz), 7.59 (1H, d, J = 7.9 Hz), 7.89 (1H, d, J = 7.9 Hz), 8.85 (1H, br s).

EIMS m/z (relative intensity): 501 (M^+), 200 (100).

Example 91 (Compound No. 1252 in Table)

Production of 7-(7-trifluoromethylbenzoxazol-2-ylthio)-N-[2,4-bis(methylthio)-6-methyl-3-pyridyl]heptanamide:

The reaction and the treatment were conducted in the same

manner as in Example 47 except that 2-mercapto-7-trifluoromethylbenzoxazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless needle crystal.

Melting point: 129 - 131℃
IR (KBr) cm^{-1} : 3247, 1662, 1505, 1435, 1337, 1128.
^{1}H-NMR (d_6−DMSO) δ :
1.40 - 1.55 (4H, m), 1.60 - 1.71 (2H, m),
1.80 - 1.89 (2H,m),
2.20 - 2.34 (2H, m), 2.38 (3H, s), 2.40 (3H, s),
2.44 (3H, s), 3.37 (2H, t, J = 7.1 Hz), 6.84 (1H, s),
7.49 (1H, t, J = 7.8 Hz), 7.58 (1H, d, J = 7.8 Hz),
7.88 (1H, d, J = 7.8 Hz), 8.78 (1H, br s).

EIMS m/z (relative intensity): 529 (M^+), 200 (100).

Example 92 (Compound No. 1253 in Table)

Production of 8-(7-trifluoromethylbenzoxazol-2-ylthio)-N-[2,4-bis(methylthio)-6-methyl-3-pyridyl]octanamide:

The reaction and the treatment were conducted in the same manner as in Example 48 except that 2-mercapto-7-trifluoromethylbenzoxazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless powdery crystal.

Melting point: 115 - 116℃
^{1}H-NMR (d_6−DMSO) δ :
1.40 - 1.54 (6H, m), 1.56 - 1.72 (2H, m),
1.85 (2H, quint, J = 7.0 Hz), 2.18 - 2.36 (2H, m),
2.40 (3H, s), 2.43 (3H, s), 2.46 (3H, s), 3.38 (2H, t, J = 7.3 Hz),
6.86 (1H, s), 7.51 (1H, t, J = 7.5 Hz), 7.60 (1H, d,

J = 7.5 Hz),
7.90 (1H, d, J = 7.5 Hz), 8.16 (1H, br s).

EIMS m/z (relative intensity): 543 (M^+), 200 (100).

Example 93 (Compound No. 1260 in Table)

Production of 5-(5-chloro-7-isopropyl-4-methylbenzoxazol-2-ylthio)-N-[2,4-bis(methylthio)-6-methyl-3-pyridyl]pentanamide:

The reaction and the treatment were conducted in the same manner as in Example 46 except that 5-chloro-7-isopropyl -2-mercapto-4-metylbenzoxazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless needle crystal.

Melting point: 155 - 156℃.

^{1}H-NMR (d_6-DMSO) δ :
1.31 (6H, d, J = 7.1 Hz), 1.72 - 1.85 (2H, m), 1.85-1.98 (2H, m),
2.36 (3H, s), 2.39 (3H, s), 2.32 - 2.40 (2H, m),
2.43 (3H, s), 2.46 (3H, s), 3.22 (1H, sept, J = 7.1Hz),
3.31 - 3.42 (2H, m), 6.84 (1H, s), 7.13 (1H, s), 8.73 (1H, br s).

EIMS m/z (relative intensity): 525 (M^+:^{37}Cl), 523 (M^+:^{35}Cl), 200 (100).

Example 94 (Compound No. 1262 in Table)

Production of 7-(5-chloro-7-isopropyl-4-methylbenzoxazol-2-ylthio)-N-[2,4-bis(methylthio)-6-methyl-3-pyridyl]heptanamide:

The reaction and the treatment were conducted in the same manner as in Example 47 except that 5-chloro-7-isopropyl-2-mercapto-4-metylbenzoxazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless prism crystal.

Melting point: 129 - 131℃
IR (KBr) cm^{-1} : 3413, 3241, 2964, 2924, 1655, 1567, 1505, 1490, 1435, 1149.
^{1}H-NMR (d_6—DMSO) δ :
1.31 (6H, d, J = 7.1 Hz), 1.40 - 1.55 (4H, m),
1.56 - 1.70 (2H, m),
1.83 (2H, quint, J = 7.1 Hz), 2.30 (2H, t, J = 7.1 Hz),
2.38 (3H, s), 2.40 (3H, s), 2.41 (3H, s), 2.46 (3H,s),
3.21 (1H, sept, J = 7.1 Hz), 3.34 (2H, t, J = 7.1 Hz),
6.84 (1H, s), 7.14 (1H, s), 8.51 (1H, br s).

EIMS m/z (relative intensity): 553 (M^+:^{37}Cl), 551 (M^+:^{35}Cl), 200 (100).

Example 95 (Compound No. 1260 in Table)

Production of 8-(5-chloro-7-isopropyl-4-methylbenzoxazol-2-ylthio)-N-[2,4-bis(methylthio)-6-methyl-3-pyridyl]octanamide:

The reaction and the treatment were conducted in the same manner as in Example 48 except that 5-chloro-7-isopropyl-2-mercapto-4-methylbenzoxazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless needle crystal.

Melting point: 128 - 131℃
IR (KBr) cm^{-1} : 3423, 3231, 2929, 1662, 1504, 1489.

^{1}H-NMR (d_6-DMSO) δ:
1.32 (6H, d, J = 7.0 Hz), 1.38 - 1.43 (4H, m),
1.49 (2H, quint, J = 7.2 Hz), 1.60 - 1.69 (2H, m),
1.84 (2H, quint, J = 7.2 Hz), 2.23 - 2.33 (2H, m),
2.40 (3H, s),
2.42 (3H, s), 2.45 (3H, s), 2.47 (3H, s),
3.23 (1H, sept, J = 7.0 Hz), 3.35 (1H, t, J = 7.2 Hz),
6.86 (1H, s), 7.15 (1H, s), 8.78 (1H, br s).

EIMS m/z (relative intensity): 567 (M^+;^{37}Cl), 565 (M^+;^{35}Cl), 200 (100).

Example 96 (Compound No. 1267 in Table)

Production of 2-(7-trifluoromethylbenzoxazol-2-ylthio)-N-[2,4-bis(ethylthio)-6-methyl-3-pyridyl]acetamide:

The reaction and the treatment were conducted in the same manner as in Example 89 except that 3-amino-2,4-bis(ethylthio)-6-methylpyridine was used instead of 3-amino-2,4-bis(methylthio)-6-methylpyridine to obtain the desired compound as a colorless prism crystal.

Melting point: 182 - 183℃
IR (KBr) cm^{-1} : 3435, 3244, 1663, 1508, 1432, 1332.
^{1}H-NMR ($CDCl_3$) δ:
1.16 (3H, t, J = 7.4 Hz), 1.20 (3H, t, J = 7.4 Hz),
2.42 (3H, s), 2.81 (2H, q, J = 7.4 Hz),
3.03 (2H, q, J = 7.4 Hz), 4.14(2H,s),
6.63 (1H, s), 7.40 (1H, t, J = 7.8 Hz),
7.52 (1H, d, J = 7.8Hz),
7.68 (1H, d, J = 7.8 Hz), 8.34 (1H, br s).
EIMS m/z (relative intensity): 487 (M^+), 235 (100).
Elemental Analysis : $C_{20}H_{20}F_3N_3O_2S_3$
Calculated :'C, 49.27; H, 4.13; N, 8.62; F, 11.69.
Found : C, 49.41; H, 4.20; N, 8.62; F, 11.59.

Example 97 (Compound No. 1269 in Table)

Production of 4-(7-trifluoromethylbenzoxazol-2-ylthio)-N-[2,4-bis(ethylthio)-6-methyl-3-pyridyl]butanamide:

The reaction and the treatment were conducted in the same manner as in Example 57 except that 2-mercapto-7-trifluoromethylbenzoxazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless powdery crystal.

Melting point: 148 - 150℃

IR (KBr) cm^{-1} : 3439, 3256, 2975, 2929, 1656, 1509, 1433, 1332, 1125.

^{1}H-NMR (d_6−DMSO) δ:
1.23 (3H, t, J = 7.3 Hz), 1.24 (3H, t, J = 7.3 Hz),
2.04 - 2.22 (2H, m), 2.42 (3H, s),
2.47 - 2.48 (2H, m), 2.92 (2H, q, J = 7.3 Hz),
3.04 (2H, q, J = 7.3 Hz), 3.42 - 3.51 (2H, m),
6.87(1H,s),
7.51 (1H, t, J = 7.8 Hz) 7.59 (1H, d, J = 7.8 Hz),
7.89 (1H, d, J = 7.8 Hz), 8.95 (1H, br s).

EIMS m/z (relative intensity): 515 (M^+), 227 (100).

Example 98 (Compound No. 1270 in Table)

Production of 5-(7-trifluoromethylbenzoxazol-2-ylthio)-N-[2,4-bis(ethylthio)-6-methyl-3-pyridyl] pentanamide:

The reaction and the treatment were conducted in the same manner as in Example 58 except that 2-mercapto-7-trifluoromethylbenzoxazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a

colorless powdery crystal.

Melting point: 155 - 156℃

^{1}H-NMR (d_6-DMSO) δ :
1.20 - 1.30 (6H, m), 1.73 - 2.05 (4H, m),
2.30 - 2.41 (2H, m), 2.42 (3H, s),
2.85 - 3.00 (2H, m), 3.01- 3.09 (2H, m),
3.37 - 3.48 (2H, m), 6.88 (1H, s),
7.51 (1H, t, J = 7.5 Hz), 7.60 (1H, d, J = 7.5 Hz),
7.90 (1H, d, J = 7.5 Hz), 8.75 (1H, br s).

EIMS m/z (relative intensity): 529 (M^+), 227 (100).

Example 99 (Compound No. 1272 in Table)

Production of 7-(7-trifluoromethylbenzoxazol-2-ylthio)-N-[2,4-bis(ethylthio)-6-methyl-3-pyridyl] heptanamide:

The reaction and the treatment were conducted in the same manner as in Example 59 except that 2-mercapto-7-trifluoromethylbenzoxazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless needle crystal.

Melting point: 127 - 128℃
IR (KBr) cm^{-1} : 3448, 1659, 1506, 1336, 1128, 1116.
^{1}H-NMR (d_6−DMSO) δ :
1.24 (3H, t, J = 7.3 Hz), 1.25 (3H, t, J = 7.3 Hz),
1.39 - 1.56 (4H, m), 1.56 - 1.72 (2H, m),
1.78 - 1.91 (2H, m), 2.19 - 2.33 (2H, m),
2.42 (3H, s), 2.92 (2H, q, J = 7.3 Hz),
3.05 (2H, q, J = 7.3 Hz), 3.37 (2H, t, J = 7.2 Hz),
6.86 (1H, s), 7.49 (1H, t, J = 7.9 Hz),
7.58 (1H, d, J = 7.9 Hz),
7.88 (1H, d, J = 7.9 Hz), 8.67 (1H, br s).

EIMS m/z (relative intensity): 557 (M^+), 227 (100).

Example 100 (Compound No. 1273 in Table)

Production of 8-(7-trifluoromethylbenzoxazol-2-ylthio)-N-[2,4-bis(ethylthio)-6-methyl-3-pyridyl]octanamide:

The reaction and the treatment were conducted in the same manner as in Example 60 except that 2-mercapto-7-trifluoromethylbenzoxazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless crystal.

Melting point: 99 - 100℃

IR (KBr) cm^{-1} : 3425, 3245, 2923, 1655, 1509, 1433, 1332, 1125.

^{1}H-NMR (d_6−DMSO) δ :

1.26 (3H, t, J = 7.3 Hz), 1.27 (3H, t, J = 7.3 Hz),
1.38 - 1.43 (4H, m), 1.49 (2H, quint, J = 7.2 Hz),
1.60 - 1.68 (2H, m), 1.85 (2H, quint, J = 7.2 Hz),
2.20 - 2.30 (2H, m), 2.43 (3H, s),
2.94 (2H, q, J = 7.3 Hz),
3.06 (2H, q, J = 7.3 Hz), 3.38 (2H, t, J = 7.2 Hz),
6.88 (1H, s), 7.51 (1H, t, J = 7.8 Hz),
7.60 (1H, d, J = 7.8 Hz),
7.90 (1H, d, J = 7.8 Hz), 8.73 (1H, br s).

EIMS m/z (relative intensity): 571 (M^+), 227 (100).

Example 101 (Compound No. 1274 in Table)

Production of 9-(7-trifluoromethylbenzoxazol-2-ylthio)-N-[2,4-bis(ethylthio)-6-methyl-3-pyridyl]nonanamide:

The reaction and the treatment were conducted in the same manner as in Example 28 except that 2-mercapto-7-

trifluoromethylbenzoxazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless powdery crystal.

Melting point: 115 - 116℃

^{1}H-NMR (d6-DMSO) δ :
1.26 (3H, t, J = 7.2 Hz), 1.27 (3H, t, J = 7.2 Hz),
1.31 - 1.55 (8H, m), 1.57 - 1.69 (2H, m),
1.84 (2H, quint, J = 6.9 Hz), 2.18 - 2.34 (2H, m),
2.43 (3H, s), 2.94 (2H, q, J = 7.2 Hz),
3.06 (2H, q, J = 7.2 Hz),
3.37 (2H, t, J = 7.3 Hz), 6.88 (1H, s),
7.51 (1H, t, J = 8.4 Hz),
7.61 (1H, d, J = 8.4 Hz), 7.90 (1H, d, J = 8.4 Hz),
8.73 (1H, br s).

EIMS m/z (relative intensity): 585 (M^+), 227 (100).

Example 102 (Compound No. 1279 in Table)

Production of 4-(5-chloro-7-isopropyl-4-methylbenzoxazol-2-ylthio)-N-[2,4-bis(ethylthio)-6-methyl-3-pyridyl]butanamide:

The reaction and the treatment were conducted in the same manner as in Example 57 except that 5-chloro-7-isopropyl-2-mercapto-4-methylbenzoxazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless needle crystal.

Melting point: 122 - 123℃.
IR (KBr) cm^{-1} : 3258, 1665, 1502, 1145.
^{1}H-NMR (d_6-DMSO) δ :

1.23 (3H, t, J = 7.3 Hz), 1.24 (3H, t, J = 7.3 Hz), 1.31 (6H, d, J = 6.8 Hz), 2.15 (2H, t, J = 7.0 Hz), 2.42 (3H, s), 2.46 (3H, s), 2.47 - 2.50 (2H, m), 2.92 (2H, q, J = 7.3 Hz), 3.04 (2H, q, J = 7.3 Hz), 3.22 (1H, sept, J = 6.8 Hz), 3.43 (2H, t, J = 7.0 Hz), 6.87 (1H, s), 7.14(1H, s), 8.83 (1H, br s).

EIMS m/z (relative intensity): 559 (M^+;^{37}Cl), 557 (M^+;^{35}Cl), 227 (100).

Example 103 (Compound No. 1280 in Table)

Production of 5-(5-chloro-7-isopropyl-4-methylbenzoxazol-2-ylthio)-N-[2,4-bis(ethylthio)-6-methyl-3-pyridyl]pentanamide:

The reaction and the treatment were conducted in the same manner as in Example 58 except that 5-chloro-7-isopropyl-2-mercapto-4-methylbenzoxazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless powdery crystal.

Melting point: 141 - 142℃

^{1}H-NMR (d6-DMSO) δ :
1.25(3H, t, J = 7.4 Hz), 1.26 (3H, t, J = 7.4 Hz), 1.32 (6H, d, J = 6.9 Hz), 1.75 - 1.86 (2H, m), 1.87 - 2.00 (2H, m), 2.30 - 2.40 (2H, m), 2.43 (3H,s), 2.45 - 2.52 (3H, s), 2.92 (2H, q, J = 7.4 Hz), 3.04 (2H, q, J = 7.4 Hz), 3.23 (1H, sept, J = 6.9 Hz), 3.33 - 3.43 (2H, m), 6.88 (1H, s), 7.15 (1H, s), 8.82 (1H, br s).

EIMS m/z (relative intensity): 553 (M^+;^{37}Cl), 551 (M^+;^{35}Cl), 227 (100).

Example 104 (Compound No. 1282 in Table)

Production of 7-(5-chloro-7-isopropyl-4-methylbenzoxazol-2-ylthio)-N-[2,4-bis(ethylthio)-6-methyl-3-pyridyl]heptanamide:

The reaction and the treatment were conducted in the same manner as in Example 59 except that 5-chloro-7-isopropyl-2-mercapto-4-methylbenzoxazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless prism crystal.

Melting point: 117 - 120℃.

IR (KBr) cm^{-1} : 3320, 1668, 1506, 1482, 1150.

^{1}H-NMR (d_6−DMSO) δ:

1.24 (3H, t, J = 7.3 Hz), 1.25 (3H, t, J = 7.3 Hz),
1.31 (6H, d, J = 6.8 Hz), 1.39 - 1.57 (4H, m),
1.57 - 1.71 (2H, m),
1.77 - 1.89 (2H, m), 2.19 - 2.30 (2H, m), 2.42 (3H,s),
2.46 (3H, s), 2.92 (2H, q, J = 7.3 Hz),
3.05 (2H, q, J = 7.3 Hz),
3.21 (1H, sept, J = 6.8 Hz), 3.33 (2H, t, J = 7.2 Hz),
6.86 (1H, s), 7.13 (1H, s), 8.66 (1H, br s).

EIMS m/z (relative intensity): 581 (M^+:^{37}Cl), 579 (M^+:^{35}Cl), 227 (100).

Example 105 (Compound No. 1283 in Table)

Production of 8-(5-chloro-7-isopropyl-4-methylbenzoxazol-2-ylthio)-N-[2,4-bis(ethylthio)-6-methyl-3-pyridyl]octanamide:

The reaction and the treatment were conducted in the same

manner as in Example 60 except that 5-chloro-7-isopropyl-2-mercapto-4-methylbenzoxazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless needle crystal.

Melting point: 82 - 84℃

IR (KBr) cm^{-1} : 3435, 3259, 2929, 1655, 1504, 1490.

^{1}H-NMR (d_6—DMSO) δ :

1.26 (3H, t, J = 7.3 Hz), 1.27 (3H, t, J = 7.3 Hz), 1.32 (6H, d, J = 6.8 Hz), 1.39 - 1.43 (4H, m), 1.49 (2H, quint, J = 7.2 Hz), 1.60 - 1.68 (2H, m), 1.84 (2H, quint, J = 7.2 Hz), 2.22 - 2.32 (2H, m), 2.43 (3H, s), 2.47 (3H, s), 2.94 (2H, q, J = 7.3 Hz), 3.06 (2H, q, J = 7.3 Hz), 3.22 (1H, sept, J = 6.8 Hz), 3.35 (2H, t, J = 7.2 Hz), 6.88 (1H, s), 7.15 (1H, s), 8.73 (1H, br s).

EIMS m/z (relative intensity): 595 (M^+;^{37}Cl), 593 (M^+;^{35}Cl),

Example 106 (Compound No. 1284 in Table)

Production of 9-(5-chloro-7-isopropyl-4-methylbenzoxazol-2-ylthio)-N-[2,4-bis(ethylthio)-6-methyl-3-pyridyl]nonanamide:

The reaction and the treatment were conducted in the same manner as in Example 28 except that 5-chloro-7-isopropyl-2-mercapto-4-methylbenzoxazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless powdery crystal.

Melting point: 93 - 94℃

^{1}H-NMR (d_6-DMSO) δ :

1.27 (3H, t, J = 7.3 Hz), 1.28 (3H, t, J = 7.3 Hz),
1.32 (6H, d, J = 7.0 Hz), 1.29 - 1.55 (8H, m),
1.56 - 1.69 (2H, m), 1.83 (2H, quint, J = 6.9 Hz),
2.07 - 2.17 (2H, m), 2.43 (3H, s),
2.45 - 2.49 (3H, m), 2.94 (2H, q, J = 7.3 Hz),
3.07 (2H, q, J = 7.3 Hz), 3.22 (1H, sept, J = 7.0 Hz),
3.34 (2H, t, J = 7.3 Hz), 6.88 (1H, s), 7.15 (1H, s),
8.73 (1H, br s).

EIMS m/z (relative intensity): 609 (M^+;^{37}Cl), 607 (M^+;^{35}Cl), 229 (100).

Example 107 (Compound No. 1287 in Table)

Production of 2-(7-triffluoromethylbenzoxazol-2-ylthio)-N-[2,4-bis(isopropylthio)-6-methyl-3-pyridyl] acetamide:

The reaction and the treatment were conducted in the same manner as in Example 89 except that 2-bromo-N-[2,4-bis(isopropylthio)-6-methylpyridyl]amide was used instead of 2-bromo-[2,4-bis(methylthio)-6-methylpyridyl]acetamide to obtain the desired compound as a colorless needle crystal.

Melting point: 121 - 122℃
IR (KBr) cm^{-1} : 3426, 3210, 2967, 1655, 1507, 1431, 1329.
^1H-NMR ($CDCl_3$) δ :
1.17 (6H, d, J = 6.8 Hz), 1.19 (6H, d, J = 6.8 Hz),
2.42 (3H, s),
3.39 (1H, sept, J = 6.8 Hz), 3.90 (1H, sept, J = 6.8Hz),
4.13 (2H, s), 6.68 (1H, s), 7.41 (1H, t, J = 7.9 Hz),
7.52 (1H, d, J = 7.9 Hz), 7.80 (1H, d, J = 7.9 Hz),
8.30 (1H, br s).

EIMS m/z (relative intensity): 515 (M^+), 181 (100).

Elemental analysis: as $C_{22}H_{24}F_3N_3O_2S_3$

Calculated : C, 51.25; H, 4.69; N, 8.15; F, 11.05.

Found : C, 51.28; H, 4.73; N, 8.07; F, 11.02.

Example 108 (Compound No. 1289 in Table)

Production of 4-(7-trifluoromethylbenzoxazol-2-ylthio)-N-[2,4-bis(isopropylthio)-6-methyl-3-pyridyl] butanamide:

The reaction and the treatment were conducted in the same manner as in Example 69 except that 2-mercapto-7-trifluoromethylbenzoxazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless prism crystal.

Melting point: 135 - 136℃

IR (KBr) cm^{-1} : 3446, 3255, 2968, 1660, 1559, 1531, 1504, 1491, 1433, 1139.

^{1}H-NMR (d_6—DMSO) δ :

1.27 (6H, d, J = 6.8 Hz), 1.29 (6H, d, J = 6.8 Hz),
2.13 - 2.21 (2H, m), 2.42 (3H, s),
2.47 - 2.50 (2H, m), 3.44 - 3.50 (2H, m),
3.55 (1H, sept, J = 6.8 Hz), 3.88 (1H, sept, J = 6.8Hz),
6.92 (1H, s), 7.51 (1H, t, J = 7.8 Hz),
7.59 (1H, d, J = 7.8 Hz),
7.88 (1H, d, J = 7.8 Hz), 8.91 (1H, br s).

EIMS m/z (relative intensity): 543 (M^+), 207 (100).

Example 109 (Compound No. 1290 in Table)

Production of 5-(7-trifluoromethylbenzoxazol-2-ylthio)-N-[2,4-bis(isopropylthio)-6-methyl-3-pyridyl] pentanamide:

The reaction and the treatment were conducted in the same

manner as in Example 70 except that 2-mercapto-7-trifluoromethylbenzoxazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless needle crystal.

Melting point: 118 - 120℃
IR (KBr) cm^{-1} : 3208, 3163, 1663, 1506, 1431, 1328, 1139.
^{1}H-NMR (d_6−DMSO) δ :
1.27 (6H, d, J = 6.8 Hz), 1.30 (6H, d, J = 6.8 Hz),
1.73 - 1.87 (2H, m), 1.87 - 2.01 (2H, m),
2.23 - 2.38 (2H, m), 2.41 (3H, s),
3.41 (2H, t, J = 7.0 Hz), 3.54 (1H, sept, J = 6.8 Hz),
3.88 (1H, sept, J = 6.8 Hz), 6.91 (1H, s),
7.49 (1H, t, J = 7.9 Hz),
7.58 (1H, d, J = 7.9 Hz), 7.88 (1H, d, J = 7.9 Hz),
8.67 (1H, br s).

EIMS m/z (relative intensity): 557 (M^+), 221 (100).

Example 110 (Compound No. 1291 in Table)

Production of 6-(7-trifluoromethylbenzoxazol-2-ylthio)-N-[2,4-bis(isopropylthio)-6-methyl-3-pyridyl] hexanamide:

The reaction and the treatment were conducted in the same manner as in Example 36 except that 2-mercapto-7-trifluoromethylbenzoxazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless needle crystal.

Melting point: 102 - 103℃
IR (KBr) cm^{-1} : 3136, 1648, 1507, 1431, 1332, 1129.
^{1}H-NMR (d_6−DMSO) ,δ :
1.28 (6H, d, J = 6.8 Hz), 1.31 (6H, d, J = 6.8 Hz),
1.49 - 1.76 (4H, m), 1.77 - 1.94 (2H, m),

2.19 - 2.32 (2H, m), 2.42 (3H, s), 3.38 (2H, t, J = 7.3 Hz),
3.55 (1H, sept, J = 6.8 Hz), 3.89 (1H, sept, J = 6.8Hz),
6.91 (1H, s), 7.49 (1H, t, J = 7.8 Hz), 7.58 (1H, d, J = 7.8 Hz),
7.87 (1H, d, J = 7.8 Hz), 8.62 (1H, br s).

EIMS m/z (relative intensity): 571 (M^+), 235 (100).

Example 111(Compound No. 1292 in Table)

Production of 7-(7-trifluoromethylbenzoxazol-2-ylthio)-N-[2,4-bis(isopropylthio)-6-methyl-3-pyridyl] heptanamide:

The reaction and the treatment were conducted in the same manner as in Example 71 except that 2-mercapto-7-trifluoromethylbenzoxazole was used instead of 2-mercaptobenzothiazole to obtain the desired compound as a colorless crystal.

Melting point: 76 - 78℃
IR (KBr) cm^{-1} : 3423, 3268, 2931, 1660, 1506, 1433, 1334.
^{1}H-NMR (d_6−DMSO) δ :
1.29 (6H, d, J = 6.8 Hz), 1.31 (6H, d, J = 6.8 Hz),
1.43 - 1.54 (4H, m), 1.61 - 1.69 (2H, m),
1.86 (2H, quint, J = 7.2 Hz), 2.18 - 2.32 (2H, m),
2.43 (3H, s), 3.39 (2H, t, J = 7.2 Hz),
3.56 (1H, sept, J = 6.8 Hz),
3.90 (1H, sept, J = 6.8 Hz), 6.93 (1H, s),
7.51 (1H, dd, J = 8.1, 7.8 Hz), 7.60 (1H, d, J = 7.8Hz),
7.90 (1H, d, J = 8.1 Hz), 8.68 (1H, br s).

EIMS m/z (relative intensity): 585 (M^+), 249 (100).

Example 112 (Compound No. 1293 in Table)

Production of 8-(7-trifluoromethylbenzoxazol-2-ylthio)-

N-[2,4-bis(isopropylthio)-6-methyl-3-pyridyl] octanamide:

The reaction and the treatment were conducted in the same manner as in Example 72 except that 2-mercapto-7-trifluoromethylbenzoxazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a pale yellow oil.

IR (Cap) cm^{-1}: 3246, 2964, 2930, 1664, 1559, 1506, 1432.

^1H-NMR (d_6—DMSO) δ:

1.28 (6H, d, J = 6.8 Hz), 1.30 (6H, d, J = 6.8 Hz),
1.32 - 1.50 (6H, m), 1.56 - 1.66 (2H, m),
1.83 (2H, quint, J = 7.1 Hz), 2.17 - 2.27 (2H, m),
2.42 (3H, s), 3.36 (2H, t, J = 7.1 Hz),
3.55 (1H, sept, J = 6.8 Hz),
3.89 (1H, sept, J = 6.8 Hz),
6.91 (1H, s), 7.50 (1H, t, J = 7.8 Hz),
7.59 (1H, d, J = 7.8 Hz),
7.88 (1H, d, J = 7.8 Hz), 8.65 (1H, br s).

EIMS m/z (relative intensity): 599 (M^+), 263 (100)

Example 113 (Compound No. 1294 in Table)

Production of 9-(7-trifluoromethylbenzoxazol-2-ylthio)-N-[2,4-bis(isopropylthio)-6-methyl-3-pyridyl] nonanamide:

The reaction and the treatment were conducted in the same manner as in Example 73 except that 2-mercapto-7-trifluoromethylbenzoxazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a pale-yellow powdery crystal.

Melting point: 97 - 98℃

IR (KBr) cm^{-1} : 3446, 3266, 2928, 1661, 1560, 1506, 1335, 1127.

^{1}H-NMR (d_6-DMSO) δ :
1.28 (6H, d, J = 6.6 Hz), 1.30 (6H, d, J = 6.8 Hz)
1.28 - 1.51 (8H, m), 1.55 - 1.64 (2H, m),
1.83 (2H, quint, J = 7.3 Hz), 2.20 - 2.30 (2H, m),
2.42 (3H, s), 3.36 (2H, t, J = 7.3 Hz),
3.55 (1H, sept, J = 6.6 Hz), 3.89 (1H, sept, J = 6.8Hz),
6.91 (1H, s), 7.50 (1H, t, J = 7.8 Hz),
7.59 (1H, d, J = 7.8 Hz),
7.89 (1H, d, J = 7.8 Hz), 8.71 (1H, br s).

EIMS m/z (relative intensity): 613 (M^+), 277 (100).

Example 114 (Compound No. 1299 in Table)

Production of 4-(5-chloro-7-isopropyl-4-methylbenzoxazol-2-ylthio)-N-[2,4-bis(isopropylthio)-6-methyl-3-pyridyl]butanamide:

The reaction and the treatment were conducted in the same manner as in Example 69 except that 5-chloro-2-mercapto-4-methylbenzoxazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless needle crystal.

Melting point: 141 - 143℃.

^{1}H-NMR (d_6-DMSO) δ :
1.27 (6H, d, J = 6.8 Hz), 1.29 (6H, d, J = 6.8 Hz),
1.31 (6H, d, J = 6.8 Hz), 2.03 - 2.21 (2H, m),
2.42 (3H, s), 2.43 - 2.50 (5H, m),
3.22 (1H, sept, J = 6.8 Hz),
3.38 - 3.48 (2H, m), 3.55 (1H, sept, J = 6.8 Hz),
3.88 (1H, sept, J = 6.8 Hz), 6.92 (1H, s), 7.14 (1H,s),
8.87 (1H, br s).

EIMS m/z (relative intensity): 567 (M^+:^{37}Cl), 565 (M^+:^{35}Cl),
207 (100).

Example 115 (Compound No. 1300 in Table)

Production of 5-(5-chloro-7-isopropyl-4-methylbenzoxazol-2-ylthio)-N-[2,4-bis(isopropylthio)-6-methyl-3-pyridyl]pentanamide:

The reaction and the treatment were conducted in the same manner as in Example 70 except that 5-chloro-7-isopropyl-2-mercapto-4-methylbenzoxazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless needle crystal.

Melting point: 143 - 145℃.

IR (KBr) cm^{-1} : 3231, 2924, 1720, 1657, 1508, 1297

^{1}H-NMR (d6-DMSO) δ :
1.27 (6H, d, J = 6.8 Hz), 1.29 (6H, d, J = 6.8 Hz),
1.31 (6H, d, J = 6.8 Hz), 1.73 - 1.85 (2H, m),
1.85 - 1.98 (2H, m),
2.25 - 2.37 (2H, m), 2.41 (3H, s),
2.43 - 2.50 (3H, s), 3.21 (1H, sept, J = 6.8 Hz),
3.37 (2H, t, J = 7.2 Hz), 3.54 (1H, sept, J = 6.8 Hz),
3.88 (1H, sept, J = 6.8 Hz), 6.92 (1H, s), 7.14 (1H,s),
8.76 (1H, br s).

EIMS m/z (relative intensity): 581 (M^+:^{37}Cl),
579 (M^+:^{35}Cl, 100).

Example 116 (Compound No. 1301 in Table)

Production of 6-(5-chloro-7-isopropyl-4-methylbenzoxazol-2-ylthio)-N-[2,4-bis(isopropylthio)-6-methyl-3-pyridyl]hexanamide:

The reaction and the treatment were conducted in the same

manner as in Example 36 except that 5-chloro-7-isopropyl-2-mercapto-4-methylbenzoxazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless needle crystal.

Melting point: 99 - 101℃
IR (KBr) cm^{-1} : 3413, 3224, 2964, 1663, 1506, 1148.
^{1}H-NMR (d_6—DMSO) δ :
1.29 (6H, d, J = 6.8 Hz), 1.32 (12H, d, J = 6.8 Hz),
1.54 - 1.62 (2H, m), 1.70 (2H, quint, J = 7.1 Hz),
1.87 (2H, quint, J = 7.1 Hz), 2.22 - 2.33 (2H, m),
2.43 (3H, s), 2.48 (3H, s),
3.23 (1H, sept, J = 6.8 Hz), 3.36 (2H, t, J = 7.1 Hz),
3.57 (1H, sept, J = 6.8 Hz), 3.90 (1H, sept, J = 6.8Hz),
6.93 (1H, s), 7.15 (1H, s), 8.72 (1H, br s).

EIMS m/z (relative intensity): 595 (M^+;^{37}Cl), 593 (M^+;^{35}Cl), 518 (100)

Example 117 (Compound No. 1302 in Table)

Production of 7-(5-chloro-7-isopropyl-4-methylbenzoxazol-2-ylthio)-N-[2,4-bis(isopropylthio)-6-methyl-3-pyridyl]heptanamide:

The reaction and the treatment were conducted in the same manner as in Example 71 except that 5-chloro-7-isopropyl-2-mercapto-4-methylbenzoxazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a colorless needle crystal.

Melting point: 91 - 93℃
IR (KBr) cm^{-1} : 3436, 3213, 3169, 2962, 2929, 1666, 1505, 1152.

^{1}H-NMR (d_6—DMSO) δ:
1.29 (6H, d, J = 6.8 Hz), 1.31 (6H, d, J = 6.8 Hz),
1.31 (6H, d, J = 6.8 Hz), 1.40 - 1.52 (4H, m),
1.60 - 1.68 (2H, m), 1.85 (2H, quint, J = 7.1 Hz),
2.17 - 2.32 (2H, m), 2.43 (3H, s),
2.47 (3H, s), 3.22 (1H, sept, J = 6.8 Hz),
3.35 (2H, t, J = 7.1 Hz),
3.56 (1H, sept, J = 6.8 Hz), 3.90 (1H, sept, J = 6.8Hz),
6.93 (1H, s), 7.15 (1H, s), 8.67 (1H, br s).

EIMS m/z (relative intensity): 609 (M^+;^{37}Cl), 607 (M^+;^{35}Cl),
532 (100).

Example 118 (Compound No. 1303 in Table)

Production of 8-(5-chloro-7-isopropyl-4-methylbenzoxazol-2-ylthio)-N-[2,4-bis(isopropylthio)-6-methyl-3-pyridyl]octanamide:

The reaction and the treatment were conducted in the same manner as in Example 72 except that 5-chloro-7-isopropyl-2-mercapto-4-methylbenzoxazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a pale yellow oil.

IR (Cap) cm^{-1}: 3242, 2964, 2928, 1668, 1559, 1506, 1148.
^{1}H-NMR (d_6—DMSO) δ:
1.28 (6H, d, J = 6.6 Hz), 1.31 (12H, d, J = 6.8 Hz),
1.32 - 1.50 (6H, m), 1.57 - 1.67 (2H, m),
1.82 (2H, quint, J = 7.1 Hz), 2.17 - 2.27 (2H, m),
2.42 (3H, s), 2.46 (3H, s), 3.21 (1H, sept, J = 6.8 Hz),
3.33 (2H, t, J = 7.1 Hz), 3.55 (1H, sept, J = 6.6 Hz),
3.89 (1H, sept, J = 6.8 Hz), 6.91 (1H, s),
7.14 (1H, s), 8.65 (1H, br s).

EIMS m/z (relative intensity): 623 (M^+:^{37}Cl), 621 (M^+:^{35}Cl),
546 (100).

Example 119 (Compound No. 1304 in Table)

Production of 9-(5-chloro-7-isopropyl-4-methylbenzoxazol-2-ylthio)-N-[2,4-bis(isopropylthio)-6-methyl-3-pyridyl]nonanamide:

The reaction and the treatment were conducted in the same manner as in Example 73 except that 5-chloro-7-isopropyl-2-mercapto-4-methylbenzoxazole was used instead of 2-mercaptobenzoxazole to obtain the desired compound as a pale yellow oil.

IR (Cap) cm^{-1}: 3249, 2961, 2926, 1667, 1563, 1505.

^{1}H-NMR (d_6—DMSO) δ:

1.28 (6H, d, J = 6.8 Hz), 1.30 (12H, d, J = 7.1 Hz)
1.28 - 1.50 (8H, m), 1.55 - 1.65 (2H, m),
1.81 (2H, quint, J = 7.1 Hz), 2.17 - 2.27 (2H, m),
2.41 (3H, s), 2.46 (3H, s), 3.21 (1H, sept, J = 7.1 Hz),
3.32 (2H, t, J = 7.1 Hz), 3.54 (1H, sept, J = 6.8 Hz),
3.89 (1H, sept, J = 7.1 Hz), 6.91 (1H, s),
7.14 (1H, s), 8.65 (1H, br s).

EIMS m/z (relative intensity): 637 (M^+:^{37}Cl), 635 (M^+:^{35}Cl), 560 (100).

Example 120 (Compound No. 1317 in Table)

Production of 2-(7-methansulfonylbenzoxazol-2-ylthio)-N-[2,4-bis(ethylthio)-6-methyl-3-pyridyl]acetamide:

The reaction and the treatment were conducted in the same manner as in Example 96 except that 2-mercapto-7-methansulfonylbenzoxazole was used instead of 2-mercapto-7-

trifluoromethylbenzoxazole to obtain the desired compound as a colorless needle crystal.

Melting point: 159 - 162℃
IR (KBr) cm^{-1} : 3449, 3271, 2966, 2928, 1678, 1508, 1315, 1118.
^{1}H-NMR ($CDCl_3$) δ :
1.14 (3H, t, J = 7.3 Hz), 1.20 (3H, t, J = 7.3 Hz), 2.43 (3H, s), 2.82 (2H, q, J = 7.3 Hz), 3.01 (2H, q, J = 7.3 Hz), 3.27 (2H, s), 4.15 (2H, s), 6.63 (1H, s), 7.49 (1H, t, J = 7.9 Hz), 7.83 (1H, dd, J = 7.9 , 1.2 Hz), 7.90 (1H, dd, J = 7.9 , 1.2 Hz), 8.17 (1H, br s).
EIMS m/z (relative intensity): 497 (M^+), 311 (100).
Elemental analysis: as $C_{20}H_{23}N_3O_4S_4$
Calculated : C, 48.27; H, 4.66; N, 8.44; S, 25.77.
Found : C, 48.36; H, 4.66; N, 8.31; S, 25.76.

Example 121 (Compound No. 1327 in Table)

Production of 2-(7-methansulfonylbenzoxazol-2-ylthio)-N-[2,4-bis(isopropylthio)-6-methyl-3-pyridyl]acetamide:

The reaction and the treatment were conducted in the same manner as in Example 74 except that 2-mercapto-7-methansulfonylbenzoxazole was used instead of 2-mercaptobenzothiazole to obtain the desired compound as a pale yellow amorphous.

IR (KBr) cm^{-1} : 3435, 3337, 2965, 2926, 1695, 1506, 1424, 1319, 1117.
^{1}H-NMR ($CDCl_3$) δ :
1.16 (6H, d, J = 6.8 Hz), 1.21 (6H, d, J = 6.8 Hz), 2.42 (3H, s),

3.26 (3H, s), 3.40 (1H, sept, J = 6.8 Hz),
3.90 (1H, sept, J = 6.8 Hz), 4.15 (2H, s), 6.68 (1H,s),
7.49 (1H, t, J = 7.9 Hz), 7.83 (1H, dd, J = 7.9 , 1.0Hz),
7.90 (1H, dd, J = 7.9 , 1.0 Hz), 8.11 (1H, br s).

EIMS m/z (relative intensity): 525 (M^+), 339 (100).

Example 122 (Compound No. 1341 in Table)

Production of 6-(benzoxasole-2-ylthio)-N-(4-methyl-2-(methylthio)-5-pyridyl)hexanamide:

A methanol (8 mml) solution of 2-dichloro-4-methyl-5-nitropyrimidine (2.0 g. 10.4 mmol) was added dropwise to a methanol (8 ml) solution of sodium thiomethoxide (436 mg, 5.9 mmol) while being cooled with ice, and after the mixture was stirred for 15 hours while raising its temperature to the room temperature, water added to the reaction mixture and the mixture was extracted with ethyl acetate. The organic layer was washed with water and then with a saturated aqueous solution of sodium chloride, and dried over sodium sulfate. Thereafter, the solvent was distilled off, and the resulting crude product was recrystalized with ethyl acetate-hexan to obtain 1.02 g (yield 98%) of 4-methyl-2-methylthio-5-nitropyridine as a pale-yellow needle crystal.

This nitropyridine (497 mg, 2.7 mmol) was dissolved in a mixed solvent of acetic acid (15 ml) and conc. hydrochloric acid (0.5 ml), and zinc (2.12 g, 32.4 mmol) was added thereto in small portions while being cooled with ice for 5 minutes. After the

mixture was stirred for 30 minutes at the room temperature, the reaction mixture was filtered, and the filtrate was neutralized with an aqueous solution of sodium hydrogencarbonate, and extracted with methylene chloride. The organic layer was washed with water and then with a saturated aqueous solution of sodium chloride, and dried over sodium sulfate. Thereafter, the solvent was distilled off, and the resulting crude product was purified through silica gel chromatography (eluent - hexane:ethyl acetate = 1:1) to obtain 352 mg (yield 85%) of 5-amino-4-methyl-2-methylthiopyridine as a pale-yellow powdery crystal.

And then the reaction and the treatment were conducted in the same manner as in Example 18 except that 5-amino-4-methyl-2-methylthiopyridine was used instead of 3-amino-2,4-bis(methlthio)-6-methylpyridine to obtain the desired compound as a colorless powdery crystal.

Melting point: 125 - 127℃
IR (KBr) cm^{-1} : 3433, 3284, 2930, 1654, 1598.
^{1}H-NMR (CDCl3) δ :
1.61 (2H, quint, J = 7.4 Hz),
1.83 (2H, quint, J = 7.4Hz),
1.92 (2H, quint, J = 7.4 Hz), 2.19 (3H, s),
2.43 (2H, t, J = 7.4 Hz), 2.54 (3H, s),
3.33 (2H, t, J = 7.4 Hz),
6.92 (1H, br s), 7.03 (1H, s),
7.24 (1H, td, J = 7.7 , 1.7 Hz),
7.28 (1H, td, J = 7.7 , 1.7 Hz),
7.43 (1H, dd, J = 7.7 , 1.7 Hz),
7.57 (1H, dd, J = 7.7 , 1.7 Hz), 8.57 (1H, s).

EIMS m/z (relative intensity): 401 (M^+), 69 (100).

Example 123 (Compound No. 1371 in Table)

Production of 6-(benzoxasole-2-ylthio)-N-(5-methylthio-2-pyridyl)hexanamide:

After conc. sulfuric acid (50 ml) was cooled with ice, 30% aqueous solution of hydrogen peroxide (25 ml) was dropped thereto stirring, and then conc. sulfuric acid (50 ml) solution of 2-amino-5-chloropyridine (5.0 g, 38.9 mmol) was dropped thereto further and stirred for 48 hours at the room temperature. The reaction mixture was added into ice and filtered. The residue was recrystallized with ethanol to obtain 4.38 g (yield 71 %) of 5-chloro-2-nitoropyriine as a colorless powdery crystal.

A methanol (40 mml) solution of 5-chloro-2-nitropyridine (2.0 g. 12.6 mmol) was added dropwise to a methanol (20 ml) solution of sodium thiomethoxide (1.02 g, 13.9 mmol) while being cooled with ice, and after the mixture was stirred for 13 hours while raising its temperature to the room temperature, water added to the reaction mixture and the mixture was extracted with ethyl acetate. The organic layer was washed with water and then with a saturated aqueous solution of sodium chloride, and dried over magnesium sulfate. Thereafter, the solvent was distilled off, and the resulting crude product was recrystalized with ethyl acetate-hexane to obtain 972 mg (yield 45%) of 5-methylthio-2-nitropyridine.

This nitropyridine (300 mg, 1.8 mmol) was dissolved in a mixed solvent of acetic acid (7 ml) and conc. hydrochloric acid (0.5 ml), and zinc (692 g, 10.6 mmol) was added thereto in small portions while being cooled with ice for 5 minutes. After the mixture was stirred for 30 minutes at the room temperature, the reaction mixture was filtered, and the filtrate was neutralized with an aqueous solution of sodium hydrogencarbonate, and extracted with methylene chloride. The organic layer was washed with water and then with a saturated aqueous solution of sodium chloride, and dried over sodium sulfate. Thereafter, the solvent was distilled off, and the resulting crude product was purified through silica gel chromatography (eluent - hexane:ethyl acetate = 1:1 → chloroform:methanol = 20:1) to obtain 158 mg (yield 64%) of 2-amino-5-methylthiopyridine as a pale-yellow powdery crystal.

And then the reaction and the treatment were conducted in the same manner as in Example 18 except that 2-amino-5-methylthiopyridine was used instead of 3-amino-2,4-bis(methlthio)-6-methylpyridine to obtain the desired compound as a colorless powdery crystal.

Melting point: 83 - 85℃
IR (KBr) cm^{-1} : 3246, 2930, 1684, 1576, 1522.
^{1}H-NMR (CDCl3) δ :
1.59 (2H, quint, J = 7.4 Hz),
1.81 (2H, quint, J = 7.4Hz),
1.90 (2H, quint, J = 7.4 Hz), 2.42 (2H, t, J = 7.4 Hz),
2.48 (3H, s), 3.32 (2H, t, J = 7.4 Hz),

7.23 (1H, td, J = 7.4 , 1.4 Hz),
7.28 (1H, td, J = 7.4 , 1.4 Hz),
7.43 (1H, dd, J = 7.4 , 1.4 Hz),
7.59 (1H, dd, J = 7.4 , 1.4 Hz),
7.64 (1H, dd, J = 8.6 , 2.5 Hz), 7.82 (1H, br s),
8.15 (1H, d, J = 8.6 Hz), 8.18 (1H, d, J = 2.5 Hz).

EIMS m/z (relative intensity): 387 (M^+, 100).

Example 124 (Compound No. 1401 in Table)

Production of 6-(benzoxazol-2-ylthio)-N-[2,4,6-tris(methylthio)-5-pyrimidyl]hexanamide:

The reaction and the treatment were conducted in the same manner as in Example 88 except that 4,6-dihydroxy-2-methylthiopyrimidine was used instead of 4,6-dihydroxy-2-methylpyrimidine to obtain the desired compound as a colorless powdery crystal.

Melting point: 149 - 153℃
IR (KBr) cm^{-1} : 3448, 3247, 2926, 1667, 1496.
^{1}H-NMR (CDCl3) δ :
1.46 - 1.62 (2H, m), 1.63 - 1.76 (2H, m),
1.77 - 1.91 (2H, m), 2.20 - 2.36 (2H, m),
2.46 (9H, s), 3.36 (2H, t, J = 7.1 Hz),
7.22 - 7.35 (2H, m), 7.51 - 7.62 (2H, m),
9.02 (1H, br s).

EIMS m/z (relative intensity): 480 (M^+, 100).

Example 125 (Compound No. 1427 in Table)

Production of 2-(7-methoxycarbonylbenzoxazol-2-ylthio)-N-[2,4-bis(ethylthio)-6-methyl-3-pyridyl]acetamide:

The reaction and the treatment were conducted in the same

manner as in Example 26 except that 2-mercapto-7-methoxycarbonylbenzoxazole was used instead of 2-mercaptobenzoxasole to obtain the desired compound as a colorless needle crystal.

Melting point: 168 - 169℃
IR (KBr) cm^{-1} : 3433, 3257, 1727, 1677, 1513, 1297, 1120.
^{1}H-NMR ($CDCl_3$) δ :
1.16 (3H, t, J = 7.4 Hz), 1.19 (3H, t, J = 7.4 Hz),
2.42 (3H, s), 2.80 (2H, q, J = 7.4 Hz),
3.03 (2H, q, J = 7.4 Hz), 4.00 (3H, s),
4.12 (2H, s), 6.63 (1H, s),
7.38 (1H, dd, J = 8.1,7.8Hz),
7.80 (1H, dd, J = 8.1 , 1.2 Hz),
7.92 (1H, dd, J = 7.8 , 1.2 Hz),
8.48 (1H, br s).

EIMS m/z (relative intensity): 477 (M^+), 323 (100).

Elemental analysis: as $C_{21}H_{23}N_3O_4S_3$
Calculated : C, 52.81; H, 4.85; N, 8.80; S, 20.14.
Found : C, 52.90; H, 4.91; N, 8.73; S, 20.12.

Example 126 (Compound No. 1428 in Table)

Production of 2-(oxazolo[4,5-b]pyridine-2-ylthio)-N-[2,4-bis(ethylthio)-6-methyl-3-pyridyl]acetamide:

The reaction and the treatment were conducted in the same manner as in Example 49 except that 2-mercaptoxazolo[4,5-b]pyridine was used instead of 2-mercaptobenzoxasole to obtain the desired compound as a colorless crystal.

IR (KBr) cm^{-1} : 3460, 3167, 2972, 1685, 1561.
^{1}H-NMR ($CDCl_3$) δ :
1.14 (3H, t, J = 7.4 Hz), 1.21 (3H, t, J = 7.4 Hz),

2.42 (3H, s), 2.82 (2H, q, J = 7.4 Hz),
3.02 (2H, q, J = 7.4 Hz), 4.16 (2H, s), 6.62 (1H, s),
7.25 (1H, dd, J = 8.3, 5.1 Hz),
7.78 (1H, dd, J = 8.3, 1.2 Hz),
8.40 (1H, br s), 8.49 (1H, dd, J = 5.1, 1.2 Hz).

EIMS m/z (relative intensity): 420 (M^+, 100).

Example 127 (Compound No. 1257 in Table)

Production of 2-(5-chloro-7-isopropyl-4-methylbenzoxazol-2-ylthio)-N-[2,4-bis(methylthio)-6-methyl-3-pyridyl]acetamide:

The reaction and the treatment were conducted in the same manner as in Example 49 except that 5-chloro-7-isopropyl-2-mercapto4-methylbenzoxazole was used instead of 2-mercaptobenzothiazole to obtain the desired compound as a colorless powdery crystal.

EIMS m/z (relative intensity): 481 (M^+), 210 (100).

Example 128 (Compound No. 1277 in Table)

Production of 2-(5-chloro-7-isopropyl-4-methylbenzoxazol-2-ylthio)-N-[2,4-bis(ethylthio)-6-methyl-3-pyridyl]acetamide:

The reaction and the treatment were conducted in the same manner as in Example 127 except that 3-amino-2,4-bis(isopropylthio)-6-methylpyridine was used instead of 3-amino-2,4-bis(methylthio)-6-methylpyridine to obtain the desired compound as a colorless powdery crystal.

EIMS m/z (relative intensity): 511 (M^+; ^{37}Cl), 509 (M^+; ^{35}Cl), 235 (100).

Example 129 (Compound No. 1297 in Table)

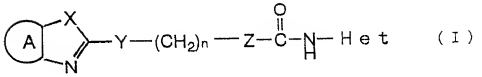
Production of 2-(5-chloro-7-isopropyl-4-methylbenzoxazol-2-ylthio)-N-[2,4-bis(isopropylthio)-6-methyl-3-pyridyl]acetamide:

The reaction and the treatment were conducted in the same manner as in Example 127 except that 3-amino-2,4-bis(isopropylthio)-6-methylpyridine was used instead of 3-amino-2,4-bis(methylthio)-6-methylpyridine to obtain the desired compound as a colorless powdery crystal.

EIMS m/z (relative intensity): 539 (M^+; ^{37}Cl), 537 (M^+; ^{35}Cl), 223 (100).

CLAIMS

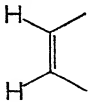
1. Compounds represented by the formula (I)



(I)

wherein

represents an optionally substituted divalent residue such as benzene, pyridine, cyclohexane or naphthalene, or a group,



Het represents a 5- to 8-membered, substituted or unsubstituted heterocyclic group containing at least one heteroatom selected from the group consisting of a nitrogen atom, an oxygen atom and a sulfur atom, such as a monocyclic group, a polycyclic group or a group of a fused ring,

X represents -NH-, an oxygen atom or a sulfur atom,

Y represents $-NR_4-$, an oxygen atom, a sulfur atom, a sulfoxide or a sulfone,

Z represents a single bond or $-NR_5-$,

R_4 represents a hydrogen atom, a lower alkyl group, an aryl

group or an optionally substituted silyl lower alkyl group,

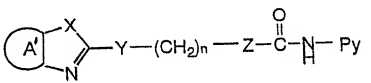
R_5 represents a hydrogen atom, a lower alkyl group, an aryl group or an optionally substituted silyl lower alkyl group, and

n is an integer of from 1 to 15,

or salts or solvates thereof.

2. The compounds, or the salts or the solvates thereof according to claim 1, wherein Het in formula (I) is a substituted or unsubstituted pyridyl or pyrimidyl group.

3. The compounds according to claim 1 or 2, which are represented by the formula (IA)



(I A)

wherein

represents an optionally substituted divalent residue such as benzen or pyridine,

Py represents an optionally substituted pyridyl or pyrimidyl group,

X represents -NH-, an oxygen atom or a sulfur atom,

Y represents $-NR_4-$, an oxygen atom, a sulfur atom, a

sulfoxide or a sulfone,

Z represents a single bond or $-NR_5-$,

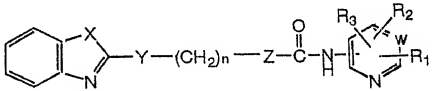
R_4 represents a hydrogen atom, a lower alkyl group, an aryl group or an optionally substituted silyl lower alkyl group,

R_5 represents a hydrogen atom, a lower alkyl group, an aryl group or an optionally substituted silyl lower alkyl group, and

n is an integer of from 1 to 15,

or salts or solvates thereof, and a pharmaceutical composition containing these compounds.

4. The compounds according to claim 1, 2 or 3, which are represented by the formula (III)



(III)

wherein

W represents =CH- or =N-,

X represents -NH-, an oxygen atom or a sulfur atom,

Y represents $-NR_4-$, an oxygen atom, a sulfur atom, a sulfoxide or a sulfone,

Z represents a single bond or $-NR_5-$,

R_1, R_2 and R_3 are the same or different, and each represents a hydrogen atom, a lower alkyl group, a lower alkoxy group, a halogen atom, a hydroxyl group, a phosphate group, a sulfonamide group, a lower alkylthio group or an optionally substituted amino group, or two of R_1, R_2 and R_3 together form an alkylenedioxide

group,

R_4 represents a hydrogen atom, a lower alkyl group, an aryl group or an optionally substituted silyl lower alkyl group,

R_5 represents a hydrogen atom, a lower alkyl group, an aryl group or an optionally substituted silyl lower alkyl group, and

n is an integer of from 1 to 15,

or salts or solvates thereof.

5. A pharmaceutical composition containing

at least one compound selected from the compounds according to any one of claims 1, 2, 3 and 4, or the salts or the solvates thereof, and

pharmaceutically acceptable carriers.

6. The pharmaceutical composition according to claim 5, which is an ACAT inhibitor, an intracellular cholesterol transfer inhibitor, a blood cholesterol depressant or a macrophage formation suppressant.

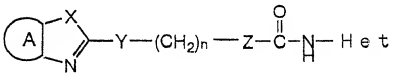
7. The pharmaceutical composition according to claim 5 or 6, which is a remedy or a medication for preventing for hyperlipemia, arteriosclerosis, cerebrovascular accidents, ischemic heart disease, ischemic intestinal disease and aortic aneurysm.

8. An ACAT inhibitor containing at least one compound selected from the compounds according to any one of claims 1, 2, 3 and 4 and the salts or the solvates thereof.

ABSTRACT

The present invention provides to a novel compound having an ACAT inhibiting activity.

The present invention relates to compounds represented by formula (I)



wherein

represents an optionally substituted divalent residue such as benzene, pyridine, cyclohexane or naphthalene, or a group,

Het represents a 5- to 8-membered, substituted or unsubstituted heterocyclic group containing at least one heteroatom selected from the group consisting of a nitrogen atom, an oxygen atom and a sulfur atom, such as a monocyclic group, a polycyclic group or a group of a fused ring,

X represents -NH-, an oxygen atom or a sulfur atom,

Y represents $-NR_4-$, an oxygen atom, a sulfur atom, a sulfoxide or a sulfone,

Z represents a single bond or $-NR_5-$,

R_4 represents a hydrogen atom, a lower alkyl group, an aryl group or an optionally substituted silyl lower alkyl group,

R_5 represents a hydrogen atom, a lower alkyl group, an aryl group or an optionally substituted silyl lower alkyl group, and

n is an integer of from 1 to 15,

or salts or solvates thereof, and a pharmaceutical composition containing at least one of these compounds.

Docket No.
49218

Declaration and Power of Attorney For Patent Application

English Language Declaration

As a below named inventor, I hereby declare that:

My residence, post office address and citizenship are as stated below next to my name,

I believe I am the original, first and sole inventor (if only one name is listed below) or an original, first and joint inventor (if plural names are listed below) of the subject matter which is claimed and for which a patent is sought on the invention entitled

NOVEL AMIDE COMPOUNDS AND MEDICATIONS CONTAINING THE SAME TECHNICAL FIELD

the specification of which

(check one)

☐ is attached hereto.

☒ was filed on July 21, 1999 as United States Application No. or PCT International Application Number 09/358,083

and was amended on _____ (if applicable)

☐ I hereby state that I have reviewed and understand the contents of the above identified specification, including the claims, as amended by any amendment referred to above.

☐ I acknowledge the duty to disclose to the United States Patent and Trademark Office all information known to me to be material to patentability as defined in Title 37, Code of Federal Regulations, Section 1.56.

I hereby claim foreign priority benefits under Title 35, United States Code, Section 119(a)-(d) or Section 365(b) of any foreign application(s) for patent or inventor's certificate, or Section 365(a) of any PCT International application which designated at least one country other than the United States, listed below and have also identified below, by checking the box, any foreign application for patent or inventor's certificate or PCT International application having a filing date before that of the application on which priority is claimed.

Prior Foreign Application(s)			Priority Not Claimed
9-330877/1997 (Number)	Japan (Country)	14/11/97 (Day/Month/Year Filed)	☒
PCT/JP98/05149 (Number)	International (Country)	16/11/98 (Day/Month/Year Filed)	☒
(Number)	(Country)	(Day/Month/Year Filed)	☐

I hereby claim the benefit under 35 U.S.C. Section 119(e) of any United States provisional application(s) listed below:

(Application Serial No.)	(Filing Date)
(Application Serial No.)	(Filing Date)
(Application Serial No.)	(Filing Date)

I hereby claim the benefit under 35 U. S. C. Section 120 of any United States application(s), or Section 365(c) of any PCT International application designating the United States, listed below and, insofar as the subject matter of each of the claims of this application is not disclosed in the prior United States or PCT International application in the manner provided by the first paragraph of 35 U.S.C. Section 112, I acknowledge the duty to disclose to the United States Patent and Trademark Office all information known to me to be material to patentability as defined in Title 37, C. F. R., Section 1.56 which became available between the filing date of the prior application and the national or PCT International filing date of this application:

(Application Serial No.)	(Filing Date)	(Status) (patented, pending, abandoned)
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(Application Serial No.)	(Filing Date)	(Status) (patented, pending, abandoned)

I hereby declare that all statements made herein of my own knowledge are true and that all statements made on information and belief are believed to be true; and further that these statements were made with the knowledge that willful false statements and the like so made are punishable by fine or imprisonment, or both, under Section 1001 of Title 18 of the United States Code and that such willful false statements may jeopardize the validity of the application or any patent issued thereon.

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